

(19)



Europäisches Patentamt

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(11)

**EP 0 471 372 B1**

(12)

## EUROPEAN PATENT SPECIFICATION

(45) Date of publication and mention  
of the grant of the patent:  
**21.11.2001 Bulletin 2001/47**

(51) Int Cl.<sup>7</sup>: **C07D 277/32**, A01N 47/44,  
**C07D 213/61**

(21) Application number: **91113705.7**

(22) Date of filing: **15.08.1991**

### (54) **Novel substituted guanidine derivatives, their preparation and use**

Substituierte Guanidinderivate, ihre Herstellung und Anwendung

Guanidines substituées, leur préparation et leur utilisation

(84) Designated Contracting States:  
**AT BE CH DE DK ES FR GB GR IT LI LU NL SE**

(30) Priority: **17.08.1990 JP 21735690**  
**20.08.1990 JP 21962890**  
**15.10.1990 JP 27662890**  
**01.03.1991 JP 3610891**  
**16.05.1991 JP 11198791**

(43) Date of publication of application:  
**19.02.1992 Bulletin 1992/08**

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**EP-A- 0 302 833**                      **EP-A- 0 375 907**  
**EP-A- 0 376 279**

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**EP 0 471 372 B1**

5 **[0001]** The present invention relates to substituted nitroguanidine derivatives and salts thereof, which are useful as pesticidal agents, their preparation and pesticidal compositions containing the same.

10 **[0002]** Various synthetic compounds having inhibitory actions against harmful pests and the like have been employed as insecticides. Most of such agents are classified into organic phosphate esters, carbamic esters, organic chlorine-containing compounds and pyrethroid compounds. It has been well known that frequent use of such limited compounds causes harmful effects, for example increase of insecticide-resistant pests, etc. and thus such problems raise public discussions in various places. Among the above-mentioned insecticides, some compounds exert potent insecticidal actions but possess high toxicities to human beings, domestic animals and fish, in some cases show toxic influence on natural enemies of pests, and a high residual property in soil or the like. Accordingly, they are still unsatisfactory in practical uses.

**[0003]** Guanidine derivatives and salts thereof have been disclosed as insecticides, for example, in EP-A-0,375,907 and 0,376,279; however, there are not described any nitroguanidine derivatives wherein the guanidine nitrogen is substituted with a cyano group or a group attached thereto through a sulfur or phosphorus atom or a carbonyl group except for formyl and acetyl.

25 **[0004]** Thus, it is the object of the present invention to provide a new class of pesticides which have potent insecticidal activity and excellent controlling effect on pests and are of low toxicity to human beings, domestic animals, fish and natural enemies of pests and safe. Further objects of the present invention are to provide chemical processes and intermediates for the preparation of such pesticides and their salts and derivatives and to provide agricultural and horticultural compositions comprising such pesticides and methods for controlling harmful living beings, i.e. pests, 30 insects, acarids, mites, etc. by using such pesticides and compositions thereof.

$$\begin{array}{c} \text{R}^1 - \text{CH}_2 - \text{N} \begin{array}{l} \text{R}^2 \\ \diagdown \\ \text{C} = \text{N} - \text{NO}_2 \\ \diagup \\ \text{N} \begin{array}{l} \text{R}^3 \\ \text{R}^4 \end{array} \end{array} \end{array} \quad [\text{I}]$$

wherein R<sup>1</sup> is a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different, and are selected from C<sub>1-15</sub> alkyl group, C<sub>3-10</sub> cycloalkyl group, C<sub>2-10</sub> alkenyl group, C<sub>2-10</sub> alkynyl group, C<sub>3-10</sub> cycloalkenyl group, C<sub>6-10</sub> aryl group, C<sub>7-10</sub> aralkyl group, phenethyl group, nitro, hydroxy, mercapto, oxo, thioxo, cyano, carbamoyl, carboxyl, C<sub>1-4</sub> alkoxy, sulfo, halogen, C<sub>1-4</sub> alkoxy group, C<sub>6-10</sub> aryloxy group, C<sub>1-4</sub> alkylthio group, C<sub>6-10</sub> arylthio group, C<sub>1-4</sub> alkylsulfinyl group, C<sub>6-10</sub> arylsulfinyl group, C<sub>1-4</sub> alkylsulfonyl group, C<sub>6-10</sub> arylsulfonyl group, amino, C<sub>2-6</sub> acylamino group, mono- or di-C<sub>1-4</sub> alkylamino group, C<sub>3-6</sub> cycloalkylamino group, C<sub>6-10</sub> arylamino group, C<sub>2-4</sub> acyl group, C<sub>6-10</sub> arylcarbonyl group and five- to six-membered heterocyclic group each containing 1 to 4 heteroatoms selected from oxygen, sulfur and nitrogen, and the above C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl, C<sub>7-10</sub> aralkyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> arylthio, C<sub>6-10</sub> arylsulfinyl, C<sub>6-10</sub> arylsulfonyl, C<sub>6-10</sub> arylamino or heterocyclic group may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>2-4</sub> alkynyl, C<sub>6-10</sub> aryl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio and phenylthio, and the above C<sub>1-15</sub> alkyl group, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub>

alkylthio, C<sub>1-4</sub> alkylsulfinyl, C<sub>1-4</sub> alkylsulfonyl, amino, mono- or di-C<sub>1-4</sub> alkylamino or C<sub>3-6</sub> cycloalkylamino may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C<sub>1-4</sub> alkoxy and C<sub>1-4</sub> alkylthio,

5 R<sup>2</sup> is cyano,

a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup>

wherein n is an integer of 1 or 2 and R<sup>13</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup>

wherein R<sup>14</sup> and R<sup>15</sup> are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom selected from C<sub>1</sub>-C<sub>15</sub> alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkoxy, C<sub>2</sub>-C<sub>10</sub> alkenyloxy, C<sub>2</sub>-C<sub>10</sub> alkynyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkenyloxy, C<sub>6</sub>-C<sub>10</sub> aryloxy or C<sub>7</sub>-C<sub>10</sub> aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; a heterocycloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>, a group of the formula: -CO-OR<sup>6</sup>

wherein R<sup>6</sup> is a hydrocarbon group consisting of C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>, or

a group of the formula: -CO-NR<sup>7</sup>R<sup>8</sup>

wherein R<sup>7</sup> and R<sup>8</sup>, which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; or R<sup>7</sup> and R<sup>8</sup>, taken together with the nitrogen atom to which they are attached are a cyclic amino group, which may be substituted with 1 to 4 C<sub>1-4</sub> alkyl groups;

45 R<sup>3</sup> is hydrogen,

cyano,

a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup> (except for one substituted with an oxo group at the binding site),

50 a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup>

wherein n is an integer of 0, 1 or 2 and R<sup>13</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup>

wherein R<sup>14</sup> and R<sup>15</sup> are each independently hydroxyl; a hydrocarbon group attached through an oxygen

atom selected from the group consisting of C<sub>1</sub>-C<sub>15</sub> alkoxy, C<sub>2</sub>-C<sub>10</sub> cycloalkoxy, C<sub>2</sub>-C<sub>10</sub> alkenyloxy, C<sub>2</sub>-C<sub>10</sub> alkynyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkenyloxy, C<sub>6</sub>-C<sub>10</sub> aryloxy or C<sub>7</sub>-C<sub>10</sub> aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; a heterocycloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula: -CO-R<sup>9</sup>

wherein R<sup>9</sup> is hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>;

a group of the formula: -CO-OR<sup>10</sup>

wherein R<sup>10</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>, or

a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup>

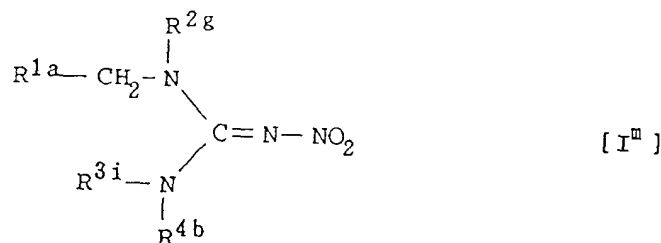
wherein R<sup>11</sup> and R<sup>12</sup>, which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; or R<sup>11</sup> and R<sup>12</sup>, taken together with the nitrogen atom to which they are attached are a cyclic amino group which may be substituted with 1 to 4 C<sub>1-4</sub> alkyl groups; and

R<sup>4</sup> is hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl group;

or a salt thereof,

which has unexpectedly potent pesticidal activity and very low toxicity.

[0006] As to preferred embodiments it is referred to the claims dependent from claim 1. The invention further relates to a compound of the formula:



wherein R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

R<sup>2g</sup> is C<sub>7-12</sub> aryloxythiocarbonyl,

R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> arylcarbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxy carbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and

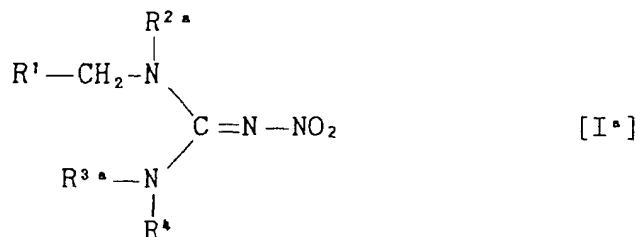
R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof.

[0007] As to preferred embodiments it is referred to the claims dependent from claim 22.

# DETAILED DESCRIPTION OF THE INVENTION

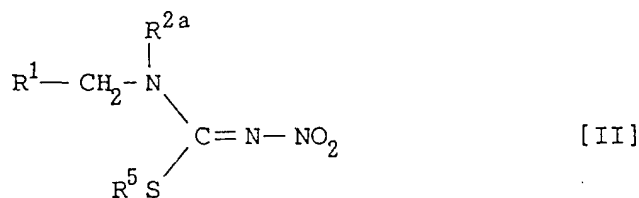
[0008] The present invention relates to

- (1) the substituted nitroguanidine compound of the formula [I] as defined above;
- (2) a pest control composition containing an effective amount of the substituted nitroguanidine compound of the formula [I] or the salt thereof, in admixture with a agrochemically acceptable carrier, vehicle, diluent or excipient;
- (3) a process for preparing a substituted nitroguanidine compound of the formula [I]:



wherein R<sup>1</sup> has the same meaning as defined above; R<sup>2a</sup> has the same meaning as above-defined for R<sup>2</sup>; R<sup>3a</sup> is hydrogen or a hydrocarbon group selected from C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl, this group optionally having 1 to 5 substituents as defined above for R<sup>1</sup>, and

R<sup>4</sup> is hydrogen or C<sub>1-4</sub> alkyl group; or a salt thereof, which comprises reacting a compound of the formula [II]:

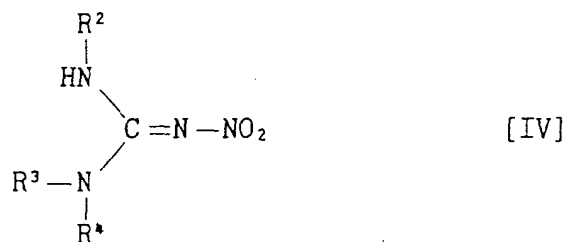


wherein R<sup>1</sup> and R<sup>2a</sup> have the same meanings as defined above and R<sup>5</sup> is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted acyl group, or a salt thereof, with a compound of the formula [III]:



wherein R<sup>3a</sup> and R<sup>4</sup> have the same meanings as defined above, or a salt thereof,

- (4) a process for preparing a substituted nitroguanidine compound of the formula [I] or a salt thereof, which comprises reacting a compound of the formula [IV]:

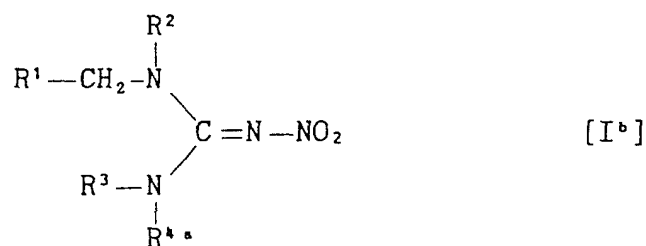


wherein each has the same meaning as defined above, or a salt thereof, with a compound of the formula [V]:

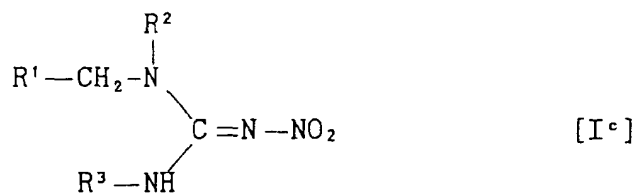


wherein  $R^1$  has the same meaning as defined above and Y is a leaving group,

(5) a process for preparing a substituted nitroguanidine compound of the formula [I<sup>b</sup>]:



wherein  $R^1$ ,  $R^2$  and  $R^3$  have the same meanings as defined above and  $R^{4a}$  is a  $C_1$ - $C_4$  alkyl group, or a salt thereof, which comprises reacting a compound of the formula [I<sup>c</sup>]:

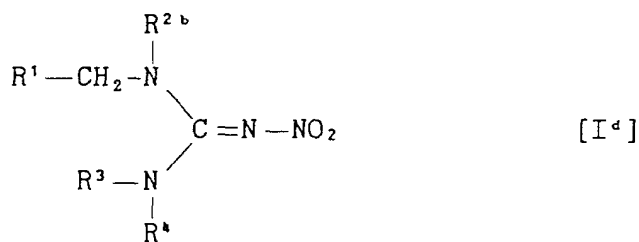


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VI]:

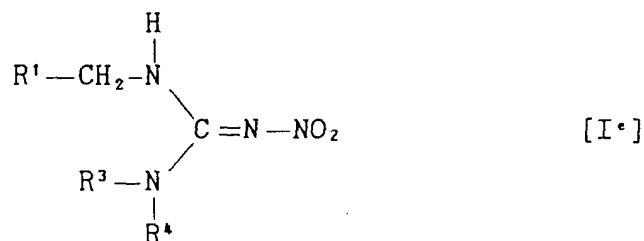


wherein each group has the same meaning as defined above,

(6) a process for preparing a substituted nitroguanidine compound of the formula [I<sup>d</sup>]:



wherein  $R^1$ ,  $R^3$  and  $R^4$  have the same meanings as defined above and  $R^{2b}$  has the same meaning as above-defined for  $R^2$ , or a salt thereof, which comprises reacting a compound of the formula [I<sup>e</sup>]:

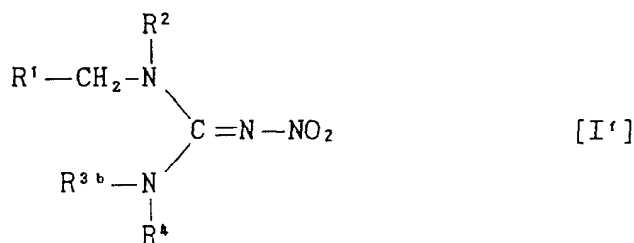


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VII]:



wherein each group has the same meaning as defined above,

(7) a process for preparing a substituted nitroguanidine compound of the formula [I<sup>f</sup>]:

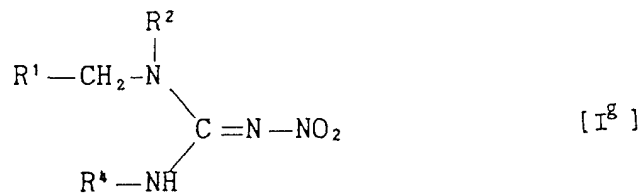


wherein

$R^1$ ,  $R^2$  and  $R^4$  have the same meanings as defined above and

$R^{3b}$  is cyano, a hydrocarbon group as defined above, a group of the formula:  $-S(O)_n-R^{13}$  as defined above, a group of the formula:  $-P(=O)R^{14}R^{15}$  as defined above, a group of the formula:  $-CO-R^9$  as defined above, a group of the formula:  $-CO-OR^{10}$  as defined above, or a group of the formula:  $-CO-NR^{11}R^{12}$  as defined above, or a salt thereof,

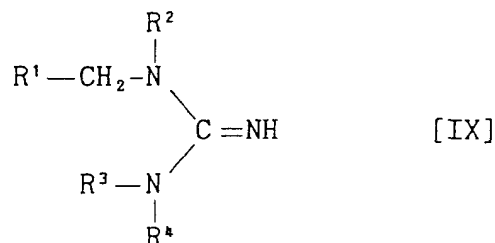
which comprises reacting a compound of the formula [I<sup>g</sup>]:



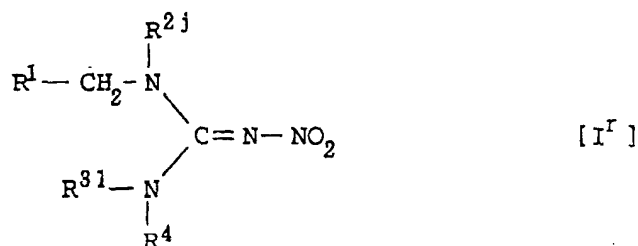
wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VIII]:



wherein each group has the same meaning as defined above,  
 (8) a process for preparing a substituted nitroguanidine compound of the formula [I] or a salt thereof,  
 which comprises reacting a compound of the formula [IX]:



wherein each group has the same meaning as defined above, or a salt thereof, with a nitrating reagent,  
 (g) a process for preparing a substituted nitroguanidine compound of the formula [I']:

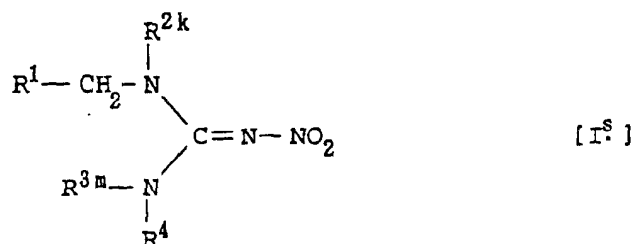


wherein

$\text{R}^1$  and  $\text{R}^4$  have the same meanings as defined above and  
 $\text{R}^{2j}$  corresponds to  $\text{R}^2$  as defined above,  
 $\text{R}^{3l}$  corresponds to  $\text{R}^3$  as defined above,

provided that at least one of  $\text{R}^{2j}$  and  $\text{R}^{3l}$  is the substituted or unsubstituted aminocarbonyl group as defined above;  
 or a salt thereof,  
 which comprises reacting a compound of the formula [I<sup>s</sup>]:





wherein

R<sup>1</sup> and R<sup>4</sup> have the same meanings as defined above and

R<sup>2k</sup> corresponds to R<sup>2</sup> as defined above,

R<sup>3m</sup> corresponds to R<sup>3</sup> as defined above,

provided that at least one of R<sup>2k</sup> and R<sup>3m</sup> is a reactive ester which is -CO-OR<sup>6</sup> or -CO-OR<sup>10</sup>, respectively; or a salt thereof, with a compound of the formula :

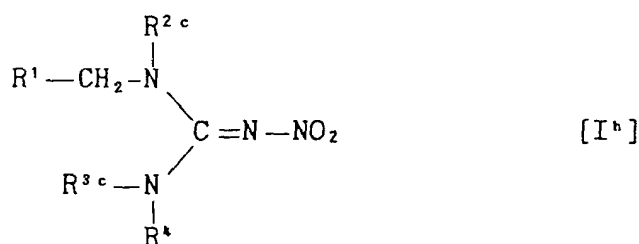


or

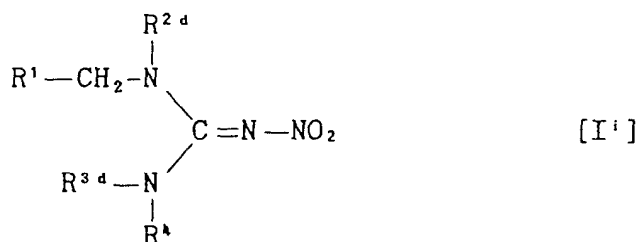


wherein each group has the same meaning as defined above.

(10) a process for preparing a substituted nitroguanidine compound of the formula [I<sup>h</sup>]:



wherein R<sup>1</sup> and R<sup>4</sup> have the same meanings as defined above; and R<sup>2c</sup> is as defined above for R<sup>2</sup>, R<sup>3c</sup> is as defined above for R<sup>3</sup> provided that at least one of R<sup>2c</sup> and R<sup>3c</sup> is the substituted or unsubstituted aminocarbonyl group as defined above for R<sup>2</sup> and R<sup>3</sup>, or a salt thereof, which comprises reacting a compound of the formula [I<sup>i</sup>]:



wherein  $R^1$  and  $R^4$  have the same meanings as defined above; and  $R^{2d}$  is as defined above for  $R^2$  or a reactive carboxyl group;  $R^{3d}$  is as defined above for  $R^3$  or a reactive ester which is  $-\text{CO}-\text{OR}^6$  or  $-\text{CO}-\text{OR}^{10}$  with  $R^6$  and  $R^{10}$  as defined above, respectively, or a salt thereof, with a compound of the formula:

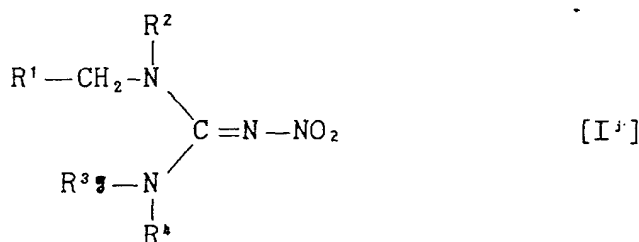


or



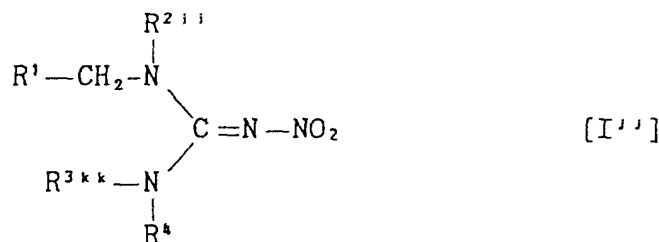
wherein each group has the same meaning as defined above, and (11) a method for controlling a pest which comprises applying an effective amount of the substituted nitroguanidine compound of the formula [I] or the salt thereof to prevent said pest.

[0009] An important group of compounds according to the present invention are the compounds of the formula [I]

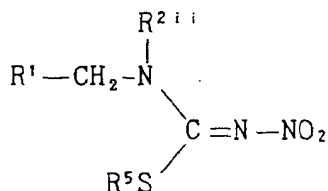


wherein  $R^1$ ,  $R^2$  and  $R^4$  are as defined above, and  $R^{3g}$  is a hydrogen or a hydrocarbon group selected from  $\text{C}_1$ - $\text{C}_{15}$  alkyl,  $\text{C}_3$ - $\text{C}_{10}$  cycloalkyl,  $\text{C}_2$ - $\text{C}_{10}$  alkenyl,  $\text{C}_2$ - $\text{C}_{10}$  alkynyl,  $\text{C}_3$ - $\text{C}_{10}$  cycloalkenyl,  $\text{C}_6$ - $\text{C}_{10}$  aryl or  $\text{C}_7$ - $\text{C}_{10}$  aralkyl, this group optionally having 1 to 5 substituents as defined above for  $R^1$  (except for one substituted with an oxo group at the binding site).

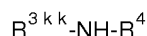
[0010] Among the compound [I], a compound the formula [I<sup>j</sup>]:



wherein  $R^1$  and  $R^4$  has the same meaning as defined above;  $R^{2ii}$  is  $\text{C}_{2-7}$  alkoxy carbonyl group such as or methoxy carbonyl or ethoxy carbonyl;  $R^{3kk}$  is hydrogen or  $\text{C}_{1-4}$  alkyl group such as methyl, ethyl or propyl; or a salt thereof, is preferred. The compound [I<sup>jj</sup>] can be prepared by the same process as for the compound [I<sup>a</sup>], which comprises reacting a compound of the formula:

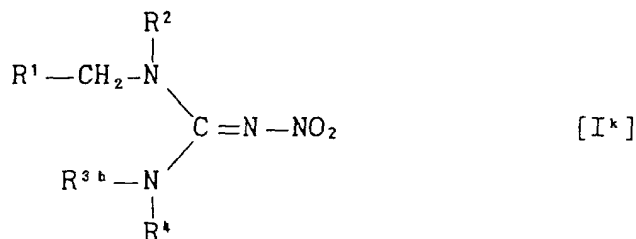


wherein  $R^1$ ,  $R^{2\text{ ii}}$  and  $R^5$  have the same meanings as defined above, or salt thereof, with a compound of the formula:



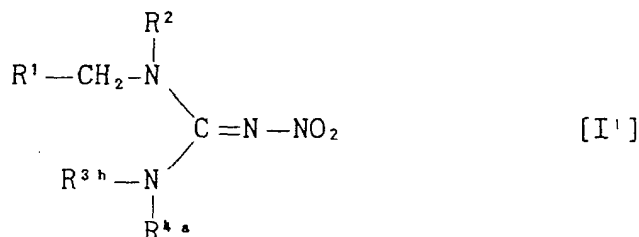
wherein  $R^{3\text{ k k}}$  and  $R^4$  have the same meanings as defined above, or a salt thereof.

**[0011]** Another important group of compounds according to the present invention are the compounds of the formula  $[I^k]$ :



wherein  $R^1$ ,  $R^2$  and  $R^4$  are as defined above and  $R^{3\text{ h}}$  is hydrogen; and salts thereof.

**[0012]** Still another important group of compounds according to the present invention are the compounds of the formula  $[I^l]$ :



wherein  $R^1$  and  $R^2$  are as defined above;  $R^{3\text{ h}}$  is hydrogen; and  $R^{4\text{ a}}$  is a  $C_1$  to  $C_4$  alkyl group; and salts thereof.

**[0013]** In the foregoing formulas,  $R^1$  represents a substituted or unsubstituted heterocyclic group. The heterocyclic group for  $R^1$  is a cyclic group containing only the same heteroatoms or a cyclic group containing two or more different heteroatoms, e.g. a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur. Examples of the heterocyclic group for  $R^1$  include 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxide of 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxide of 2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxide of 3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazolyl, dioxotriazinyl, pyrrolidinyl, piperidyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolyl, phthalazinyl, quinazolinyl, quinoxalinyl, indoliziny, quinoliziny, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl, and phenoxazinyl. Specific examples of suitable heterocyclic groups include five- or six-membered nitrogen-containing heterocyclic groups such as 2-, 3- or 4-pyridyl and 2-, 4- or 5-thiazolyl. These heterocyclic groups may have 1 to 5 (preferably

1) substituent groups which are the same or different. Examples of such substituent groups include but are not limited to C<sub>1-15</sub> alkyl groups such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, s-butyl, t-butyl, pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, and pentadecyl; C<sub>3-10</sub> cycloalkyl groups such as cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl; C<sub>2-10</sub> alkenyl groups such as vinyl, allyl, 2-methylallyl, 2-butenyl, 3-butenyl, and 3-octenyl; C<sub>2-10</sub> alkynyl groups such as ethynyl, 2-propynyl, and 2-hexynyl; C<sub>3-10</sub> cycloalkenyl groups such as cyclopropenyl, cyclopentenyl, and cyclohexenyl; C<sub>6-10</sub> aryl groups such as phenyl and naphthyl; C<sub>7-10</sub> aralkyl groups including phenylalkyl groups such as benzyl, and phenethyl; nitro; hydroxyl; mercapto; oxo; thio; cyano; carbamoyl; carboxyl; C<sub>1-4</sub> alkoxy carbonyl groups such as methoxycarbonyl and ethoxycarbonyl; sulfo (-SO<sub>3</sub>H); halogens such as fluorine, chlorine, bromine and iodine; C<sub>1-4</sub> alkoxy groups such as methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, s-butoxy, and t-butoxy; C<sub>6-10</sub> aryloxy groups such as phenoxy; C<sub>1-4</sub> alkylthio groups such as methylthio, ethylthio, n-propylthio, isopropylthio, n-butylthio, and t-butylthio; C<sub>6-10</sub> arylthio groups such as phenylthio; C<sub>1-4</sub> alkylsulfanyl groups such as methylsulfanyl and ethylsulfanyl; C<sub>6-10</sub> arylsulfanyl groups such as phenylsulfanyl; C<sub>1-4</sub> alkylsulfonyl groups such as methylsulfonyl and ethylsulfonyl; C<sub>6-10</sub> arylsulfonyl groups such as phenylsulfonyl; amino; C<sub>2-6</sub> acylamino groups including alkanoylamino groups such as acetylamino and propionylamino; mono- or di-C<sub>1-4</sub> alkylamino groups such as methylamino, ethylamino, n-propylamino, isopropylamino, n-butylamino, dimethylamino, and diethylamino; C<sub>3-6</sub> cycloalkylamino groups such as cyclohexylamino; C<sub>6-10</sub> arylamino groups such as anilino; C<sub>2-4</sub> acyl including alkanoyl groups such as acetyl; C<sub>6-10</sub> arylcarbonyl such as benzoyl; as well as five- to six-membered heterocyclic groups each containing 1 to 4 heteroatoms selected from oxygen, sulfur and nitrogen, such as 2- or 3-thienyl, 2- or 3-furyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-isothiazolyl, 2-, 4- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2-, 4- or 5-imidazolyl, 1,2,3- or 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, quinolyl, isoquinolyl, and indolyl.

**[0014]** In the case where the substituent group is, for example, C<sub>6-10</sub> aryl, C<sub>7-10</sub> aralkyl, C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> arylthio, C<sub>6-10</sub> arylsulfanyl, C<sub>6-10</sub> arylsulfonyl, C<sub>6-10</sub> arylamino, or heterocyclic group, it may be additionally substituted with one to five substituent groups selected from the group consisting of halogen as above-mentioned; hydroxyl; C<sub>1-4</sub> alkyl such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, s-butyl, and t-butyl; C<sub>2-4</sub> alkenyl such as vinyl, allyl, and 2-methylallyl; C<sub>2-4</sub> alkynyl such as ethynyl and 2-propynyl; C<sub>6-10</sub> aryl as above-mentioned; C<sub>1-4</sub> alkoxy as above-mentioned; phenoxy; C<sub>1-4</sub> alkylthio as above-mentioned; and phenylthio.

**[0015]** In the case where the substituent group is, for example, C<sub>1-15</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylsulfanyl, C<sub>1-4</sub> alkylsulfonyl, amino, mono- or di-C<sub>1-4</sub> alkylamino, C<sub>3-6</sub> cycloalkylamino it may be additionally substituted with one to five substituent groups selected from the group consisting of halogen as above-mentioned; hydroxyl; C<sub>1-4</sub> alkoxy as above-mentioned; and C<sub>1-4</sub> alkylthio as above-mentioned.

**[0016]** Preferred examples of R<sup>1</sup> are five- or six-membered nitrogen-containing heterocyclic groups such as pyridyl and thiazolyl which may be substituted with one or two halogens.

**[0017]** The hydrocarbon group in the "substituted or unsubstituted hydrocarbon group" for R<sup>3</sup>, R<sup>3a</sup>, R<sup>3b</sup>, R<sup>3c</sup>, R<sup>3d</sup>, R<sup>3e</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> includes C<sub>1-15</sub> alkyl groups, C<sub>3-10</sub> cycloalkyl groups, C<sub>2-10</sub> alkenyl groups, C<sub>2-10</sub> alkynyl groups, C<sub>3-10</sub> cycloalkenyl groups, C<sub>6-10</sub> aryl groups and C<sub>7-10</sub> aralkyl groups as mentioned in the substituent group for R<sup>1</sup>.

**[0018]** The substituent group on the "substituted or unsubstituted hydrocarbon group" for R<sup>3</sup>, R<sup>3a</sup>, R<sup>3b</sup>, R<sup>3c</sup>, R<sup>3d</sup>, R<sup>3e</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> includes those mentioned in the substituent group on the heterocyclic group for R<sup>1</sup>.

**[0019]** The heterocyclic group in the "substituted or unsubstituted heterocyclic group" for R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> includes those groups mentioned herein for R<sup>1</sup>.

**[0020]** The substituent group on the "substituted or unsubstituted heterocyclic group" for R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> includes those mentioned in the substituent group on the heterocyclic group for R<sup>1</sup>.

**[0021]** The groups attached through a sulfur atom for R<sup>2</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>2c</sup>, R<sup>2d</sup>, R<sup>2e</sup>, R<sup>2f</sup>, R<sup>3</sup>, R<sup>3b</sup>, R<sup>3c</sup>, and R<sup>3d</sup> include, for example, -S(O)<sub>n</sub>-R<sup>13</sup> wherein n is an integer of 0 (R<sup>3</sup>, R<sup>3b</sup>, R<sup>3c</sup> and R<sup>3d</sup> only), 1 or 2 and R<sup>13</sup> is a hydrocarbon group or a heterocyclic group. The hydrocarbon groups for R<sup>13</sup> include, for example, those mentioned herein for R<sup>1</sup>. The heterocyclic groups for R<sup>13</sup> include, for example, those mentioned herein for R<sup>1</sup>. Such hydrocarbon and heterocyclic groups for R<sup>13</sup> may have from one to five substituent groups as above-mentioned herein for R<sup>1</sup>.

**[0022]** The groups attached through a phosphorus atom for R<sup>2</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>2c</sup>, R<sup>2d</sup>, R<sup>2e</sup>, R<sup>2f</sup>, R<sup>3</sup>, R<sup>3b</sup>, R<sup>3c</sup>, and R<sup>3d</sup> include, for example, -P(=O)R<sup>14</sup>R<sup>15</sup> wherein R<sup>14</sup> and R<sup>15</sup>, which are the same or different, are hydroxyl, a hydrocarbon or heterocyclic group attached through an oxygen atom, or a hydrocarbon or heterocyclic group. The hydrocarbon groups for R<sup>14</sup> and R<sup>15</sup> include, for example, those as mentioned herein for R<sup>1</sup>. The heterocyclic groups for R<sup>14</sup> and R<sup>15</sup> include, for example, those mentioned herein for R<sup>1</sup>. Such hydrocarbon and heterocyclic groups for R<sup>14</sup> and R<sup>15</sup> may have from one to five substituent groups as above-mentioned herein for R<sup>1</sup>.

**[0023]** The cyclic amino groups which are formed from R<sup>7</sup> and R<sup>8</sup> taken together with the nitrogen to which they are attached, include, for example, aziridino, azetidino, pyrrolidino, piperazino, piperidino, morpholino or thiomorpholino. Such cyclic amino groups may be substituted with one to four substituents, for example, C<sub>1-4</sub> alkyl groups such as

methyl and ethyl.

[0024] The cyclic amino groups which are formed from  $R^1$  and  $R^2$  taken together with the nitrogen to which they are attached, include, for example, aziridino, azetidino, pyrrolidino, piperazino, piperidino, morpholino or thiomorpholino. Such cyclic amino groups may be substituted with one to four substituents, for example,  $C_{1-4}$  alkyl groups such as methyl and ethyl.

[0025] Preferred examples for  $R^2$  include, for example,  $C_{2-7}$  alkoxy carbonyl groups such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl and n-hexyloxycarbonyl;  $C_7$ - $C_{12}$  aryloxy carbonyl groups such as phenoxycarbonyl;  $C_8$ - $C_{13}$  aralkyloxy carbonyl such as benzyloxycarbonyl;  $C_{2-7}$  alkylaminocarbonyl groups such as methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl and n-hexylaminocarbonyl; di- $C_{1-4}$  alkylaminocarbonyl groups such as dimethylaminocarbonyl, diethylaminocarbonyl and ethylmethylaminocarbonyl; saturated cyclic aminocarbonyl groups such as morpholinocarbonyl, pyrrolidinocarbonyl and piperidinocarbonyl; and  $C_{1-4}$  alkylsulfonyl groups such as methanesulfonyl and ethanesulfonyl. Particularly preferred examples of the substituent groups for  $R^2$  are methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, or isopropoxycarbonyl.

[0026] Preferred examples for  $R^3$  include, for example, hydrogen,  $C_{1-4}$  alkyl such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, s-butyl, and t-butyl;  $C_{1-7}$  acyl groups such as formyl, acetyl, propionyl, n-butyryl, i-butyryl and heptanoyl;  $C_7$ - $C_{12}$  aryl carbonyl groups such as benzoyl;  $C_{2-7}$  alkoxy carbonyl groups such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl and n-hexyloxycarbonyl;  $C_7$ - $C_{12}$  aryloxy carbonyl groups such as phenoxycarbonyl;  $C_8$ - $C_{13}$  aralkyloxy carbonyl such as benzyloxycarbonyl;  $C_{2-7}$  alkylaminocarbonyl groups such as methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl and n-hexylaminocarbonyl; di- $C_{1-4}$  alkylaminocarbonyl groups such as dimethylaminocarbonyl, diethylaminocarbonyl and ethylmethylaminocarbonyl; saturated cyclic aminocarbonyl groups such as morpholinocarbonyl, pyrrolidinocarbonyl and piperidinocarbonyl; and  $C_{1-4}$  alkylsulfonyl groups such as methanesulfonyl and ethanesulfonyl. Particularly preferred examples of the substituent groups for  $R^3$  are hydrogen or  $C_{1-4}$  alkyl such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, s-butyl, and t-butyl. The most preferred example of the substituent group for  $R^3$  is hydrogen.

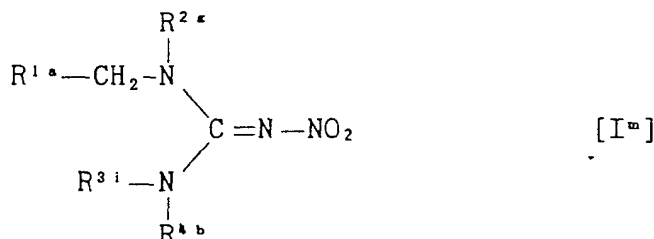
[0027] The lower alkyl groups for  $R^4$  and  $R^{4a}$  include, for example,  $C_{1-4}$  alkyl groups such as methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl or t-butyl. Preferred examples of  $R^4$  are, for example, hydrogen and  $C_{1-2}$  alkyl groups such as methyl and ethyl.

[0028]  $R^5$  represents a substituted or unsubstituted hydrocarbon, or substituted or unsubstituted acyl group. Examples of such hydrocarbon groups include, for example,  $C_{1-10}$  alkyl groups and  $C_{7-12}$  aralkyl groups as above-mentioned herein. Examples of such acyl groups include, for example,  $C_{1-10}$  acyl groups as above-mentioned herein. Such hydrocarbon and acyl groups for  $R^5$  may have from one to five substituent groups as above-mentioned herein for  $R^1$ . Preferred examples for  $R^5$  are, for example,  $C_{1-4}$  alkyl groups such as methyl, ethyl, propyl and butyl, which may be substituted with carboxyl or sulfo  $C_7$ - $C_{12}$  aralkyl groups such as benzyl, which may be substituted with carboxyl or sulfo.

[0029] Concrete examples for  $R^2$  and  $R^3$  are already mentioned hereinbefore. Among these, when the subject compound is an aminocarbonyl derivative, the group for  $-CO-OR^6$  or  $-CO-OR^{10}$  is one capable of easily leaving as  $-OR^6$  or  $-OR^{10}$ , respectively. Specific examples for  $R^6$  and  $R^{10}$  are 1-halogeno- $C_{1-4}$  alkyl groups such as 1-chloroethyl polyhalogenophenyl groups such as 2,4,5-trichlorophenyl or 2,3,4,5,6-pentachlorophenyl preferably.

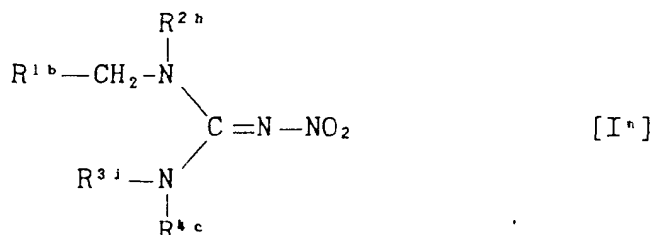
[0030] The leaving groups for Y include, for example, halogens such as fluorine, chlorine, bromine and iodine;  $C_{1-4}$  alkylsulfonyloxy groups optionally substituted with one to three halogens (e.g. Cl, Br, F, etc.), such as methanesulfonyloxy, ethanesulfonyloxy, butanesulfonyloxy and trifluoromethanesulfonyloxy; and  $C_{6-10}$  arylsulfonyloxy groups optionally substituted with one to four halogens (e.g. Cl, Br, F, etc.), such as benzenesulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy and mesitylenesulfonyloxy. Specific examples of the leaving groups for Y are, for example, halogens such as chlorine and bromine,  $C_{1-4}$  alkylsulfonyloxy groups optionally substituted with one to three halogens, for example, such as methanesulfonyloxy, and trifluoromethanesulfonyloxy; and  $C_{6-10}$  arylsulfonyloxy groups such as benzenesulfonyloxy and p-toluenesulfonyloxy.

[0031] Among the compounds represented by the above formula (I), a preferred embodiment of the invention is a compound of the formula:



wherein R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl; R<sup>2g</sup> is C<sub>2-7</sub> alkoxy-carbonyl; R<sup>3i</sup> is hydrogen, or C<sub>1-4</sub> alkyl such as methyl, ethyl and propyl, or C<sub>7-12</sub> arylcarbonyl; and R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl such as methyl, ethyl and propyl; or a salt thereof. Specific examples of the substituent groups for R<sup>1a</sup> are, for example, halogenopyridyl such as 6-chloro-3-pyridyl, 6-bromo-3-pyridyl and 5-bromo-3-pyridyl, or halogenothiazolyl such as 2-chloro-5-thiazolyl and 2-bromo-5-thiazolyl.

**[0032]** Among the compounds represented by the above formula (I), a more preferred embodiment of the invention is a compound of the formula:



wherein R<sup>1b</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl; R<sup>2h</sup> is C<sub>2-7</sub> alkoxy-carbonyl such as methoxy-carbonyl and ethoxy-carbonyl; R<sup>3j</sup> is hydrogen; and R<sup>4c</sup> is methyl or ethyl; or a salt thereof. In the compounds [I<sup>n</sup>], specific examples of the substituent groups for R<sup>1b</sup> are, for example, halogenopyridyl such as 6-chloro-3-pyridyl, 6-bromo-3-pyridyl and 5-bromo-3-pyridyl, or halogenothiazolyl such as 2-chloro-5-thiazolyl and 2-bromo-5-thiazolyl.

**[0033]** The salts of the guanidine derivatives [I], [I<sup>a</sup>], [I<sup>b</sup>], [I<sup>c</sup>], [I<sup>d</sup>], [I<sup>e</sup>], [I<sup>f</sup>], [I<sup>g</sup>], [I<sup>h</sup>], [I<sup>i</sup>], [I<sup>j</sup>], [I<sup>k</sup>], [I<sup>l</sup>], [I<sup>m</sup>] and [I<sup>n</sup>] are preferably agrochemically acceptable salts. Examples of the salts include salts with inorganic acids such as hydrochloric acid, hydrobromic acid, hydriodic acid, phosphoric acid, sulfuric acid, and perchloric acid, as well as organic acids such as formic acid, acetic acid, tartaric acid, malic acid, citric acid, oxalic acid, succinic acid, benzoic acid, picric acid, and p-toluenesulfonic acid.

**[0034]** The substituted nitroguanidine derivatives [I] or salts thereof can be employed as insecticide in any application form suitable for conventional agricultural chemicals. For example, one or more species of these compounds [I] and salts thereof can be dissolved or dispersed in a suitable liquid vehicle, or admixed with or adsorbed on a suitable solid carrier, according to purposes of use, to form a suitable formulation or preparation such as an emulsifiable concentrate, oil, water soluble solid, hydrate, wettable powder, dust, flowable dust, granule, tablet, liquid, spray, aerosol, fumigant, painting, paste or ointment. These formulations can be prepared by any conventional method known per se. For example, they may contain, if necessary, an emulsifier, suspending agent, spreader, penetrant, wetting agent, thickener, mucilage, stabilizer, etc.

**[0035]** The proportion of the active constituents in a pesticide can vary according to intended uses. For example, an appropriate range is 10 to 90 wt% in the case of an emulsifiable concentrate and wettable powder, 0.1 to 10 wt% in the case of oil and dust, 1 to 20 wt% in the case of granules, etc. The concentration of active ingredients may be changed according to intended uses. The emulsifiable concentrate and wettable powder are sprinkled after being diluted or extended with water or the like (e.g. 100 to 100,000-fold).

**[0036]** Suitable examples of the liquid vehicle or carrier (solvent) include water, alcohols (e.g. methyl alcohol, ethyl alcohol, n-propyl alcohol, isopropyl alcohol, ethylene glycol), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol monomethyl ether, diethylene glycol monomethyl ether, propylene glycol monomethyl ether), aliphatic hydrocarbons (e.g. kerosene, fuel oil, machine oil), aromatic hydrocarbons (e.g. benzene, toluene, xylene, solvent naphtha, methylnaphthalene), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride), acid amides (e.g. dimethylformamide, dimethylacetamide), esters (e.g. ethyl acetate, butyl acetate, fatty acid glycerol ester), and nitriles (e.g. acetonitrile, propionitrile). These solvents may be used individually or in a suitable mixed form of two or more ingredients in a suitable ratio.

**[0037]** Examples of the solid carrier (diluent/extender) include vegetable powders (e.g. soybean meal, tobacco powder, wheat flour, sawdust), mineral powders (e.g. clays such as kaolin, bentonite, terra alba, talcs such as talcum powder and agalmatolite powder, and silicas such as diatomaceous earth and mica powder), alumina, sulfur powder, and active carbon. These solid carriers may be used individually or in a suitable mixed form of two or more ingredients in a suitable ratio.

**[0038]** Examples of ointment bases include polyethylene glycol, pectin, polyhydric alcohol esters of higher fatty acids such as monostearic acid glycerol ester, cellulose derivatives such as methyl cellulose, sodium alginate, bentonite, higher alcohols, polyhydric alcohols such as glycerin, vaseline, white petrolatum, liquid paraffin, lard, various vegetable oils, lanolin, dehydrated lanolin, hardened oil, and resins. These ointment bases may be used alone, in a suitable

mixed form of two or more ingredients or in admixture with various surfactants as mentioned hereinbelow.

[0039] The surfactants which can be employed as said emulsifier, spreading agent, penetrating agent or dispersing agent include various soaps and nonionic or anionic surface active agents such as polyoxyethylene alkyl aryl ethers [e.g. Noigen™ and E · A 142™, Dai-ichi Kogyo Seiyaku K.K.; Nonal™, Toho Kagaku K.K.], alkyl sulfates [e.g. Emal 10™ and Emal 40™, Kao K.K.], alkyl sulfonates [e.g. Neogen™ and Neogen T™, Dai-ichi Kogyo Seiyaku K.K.; Neopellex, Kao K.K.], polyethylene glycol ethers [e.g. Nonipol 85™, Nonipol 100™ and Nonipol 160™, Sanyo Kasei K. K.] and polyhydric alcohol esters [e.g. Tween 20™ and Tween 80™, Kao K.K.].

[0040] The substituted nitroguanidine derivative [I] or salt thereof can be used, as the case may be, in combination with other insecticides (e.g. pyrethroid insecticides, organophosphorus insecticides, carbamate insecticides, natural insecticides), acaricides, miticides, nematocides, herbicides, plant hormones, plant growth regulators, fungicides (e.g. copper fungicides, organochlorine fungicides, organosulfur fungicides, phenolic fungicides), synergists, attractants, repellents, pigments, fertilizers and manures.

[0041] Representative examples of said insecticide, acaricide, miticide and fungicide which may be employed in admixture with the compound of the present invention include propoxur, isoprocarb, BPMC, xylylcarb, metolcarb, XMC, ethiofencarb, carbaryl, pirimicarb, bendiocarb, carbofuran, furathiocarb, carbosulfan, aminosulfan, methomyl, fenitrothion, fenitrothion, propaphos, cyanophos, prothiofos, sulprofos, profenofos, EPN, cyanofenphos, acephate, oxydeprofos, disulfoton, thiometon, phenthoate, malathion, dimethoate, vamidothion, mecarbam, trichlorphon, naled, dichlorvos, chlorofenvinphos, tetrachlorvinphos, monocrotophos, phosalone, dialifos, chlorpyrifos-methyl, chlorpyrifos, pirimiphos-methyl, diazinon, etrimfos, pyridaphenthion, quinalphos, isoxathion, methidathion, salithion, cyfluthrin, permethrin, cypermethrin, deltamethrin, cyhalothrin, fenpropathrin, fenvalerate, flucythrinate, flubalinate, cartap, thiocyclam, buprofezin, diflubenzuron, ethofenprox, fthalide, validamycin A, mepronil, flutolanil, Monguard™, pencycuron, edifenphos, isoprothiolane, tricyclazole, probenazole, kasugamycin, IBP, bensultap, pyraclophos, ferimzon, imidacloprid, nitenpyram, sigma-cypermethrin, fipronil, silanophane, novaluron, hydroprene, flufenprox, fenpyrad or tebufenpyrad, fenoxycarb, fenazaquin, chlorfluazuron, nomolt, hexaflumuron, flufenoxuron, alanycarb, diafenthion, clofentezine, fenpropathrin, tralomethrin, methoxadiazole, fluazinam, okimeranole, chlorthiophos, fortress, levamisol, dinoclor, cloethocarb, cycloprothrin, benfuracarb, isofenphos, avermectin, milbemycin, fenothiocarb, cyromazine, flucycloxuron, butathiofos, fenpyroximate, acrinathrin, benfluthrin, pyridaben, pyriproxyfen, hexythiazox, cycloprothrin, cherrytlure, sulfluramid, diamolure, thiodicarb, fenpropathrin, pyriproxyfen, diafenthion, fenarimol, flurprimidol, flutrimazole, tradimafon, triadimenol, diclobutazol, paclobutazol, diniconazole, uniconazole, triflumizole, propiconazole, flutriafol, flusilazole, penconazole, butiobate, prochloraz, teiapenthenol, EDDP, pyroquilon, chlombenthiazole, zineb, maneb, TPN, captan, captafol, folpet, dichlorfluand, carboxin, oxycarboxin, pyracarbolid, mebenil, furcarbanil, cyclafuramid, benodanil, granovax, thiabendazole, fuberidazole, benomyl, thiophanate-methyl, cypendazole, carbendazin, dichlozoline, iprodione, vinclozolin, procymidone, myclozolin, ftalaxyl, metalaxyl, ofrace, benalaxyl, oxadixyl, cyprofuram, tridemorph, fenpropimorph, triforine, triarimol, fenarimol, bitetanol, imazalil, etaconazole, paclobutrazol, phenapronil, triflumizole, viniconazole, ethirimol, dimethirimol, fluoroimide, hymexazol, ethazol, proxychlor, pyrazophos, prothiocarb, aliette, fenpropidin, flapenazole, pyrifenox, diethofencarb, pipanipirim, clozylacon, difenoconazole, dimethomorph, fenciclonil, thicyofen, bromuconazole, opus, ipconazole, dimetconazole, myclobutanil, myxothiazol, thioimiconazole, zarilamid, metsulfovax, hexaconazole, quinconazole, tecloftalam, tolclofos-methyl, fenpropidin, triclamide, flusulfamide, befran, cyproconazole, tecloftalam, furconazole-cis, fenethanil, dimefluazole, ethyltrianol, tebuconazole, oxolinic acid.

[0042] The substituted nitroguanidine derivative [I] and salts thereof are effective in controlling sanitary or horticultural insect pests and animal/plant parasitic insects, and exhibit potent insecticidal action when contacted directly with insects, for example, by being sprinkled directly over animals and plants with insect pests parasitic thereon. More interesting characteristics of the pesticide of the present invention are that they are highly insecticidal even when they are once absorbed into plants through their root, leaves, or stem and thereafter sucked, gnawed or chewed by insects or contacted with insects. Such properties are advantageous in controlling sucking, gnawing or chewing pests. Furthermore, the compounds [I] and salts thereof are of low side effects on plants and also of low toxicity against fish. Thus, they possess safe and advantageous properties as pest controlling agents in sanitary, horticultural and particularly agricultural fields.

[0043] The horticultural and agricultural compositions containing the substituted nitroguanidine derivatives [I] and/or salts thereof are advantageously effective in the control of Hemiptera pests such as, for example, Eurydema rugosum, Scotinophara lurida, Riptortus clavatus, Stephanitis nashi, Laodelphax striatellus, Nilaparvata lugens, Nephotettix cincticeps, Unaspis yanonensis, Aphis glycines, Lipaphis erysimi, Brevicoryne brassicae, and Aphis gossypii; Lepidoptera pests such as, for example, Spodoptera litura, Plutella xylostella, Pieris rapae crucivora, Chilo suppressalis, Autographa nigrisigna, Helicoverpa assulta, Pseudaletia separata, Mamestra brassicae, Adoxophyes orana fasciata, Notarcha derogata, Cnaphalocrocis medinalis, and Phthorimaea operculella; Coleoptera pests such as, for example, Epilachna vigintioctopunctata, Aulacophora femoralis, Phyllotreta striolata, Oulema oryzae, and Echinocnemus squameus; Diptera pests such as, for example, Musca domestica, Culex pipiens pallens, Tabanus trigonus, Delia antiqua,

and *Delia platura*; Orthoptera pests such as, for example, *Locusta migratoria* and *Gryllotalpa africana*; Blattidae pests such as, for example, *Blattella germanica* and *Periplaneta fuliginosa*; spider mites such as, for example, *Tetranychus urticae*, *Panonychus citri*, *Tetranychus kanzawai*, *Tetranychus cinnabarinus*, *Panonychus ulmi*, and *Aculops pelekassi*; and Nematoda such as, for example, *Aphelenchoides besseyi*.

[0044] The pesticidal composition thus obtained is extremely low in toxicity and is safe and excellent as an agro-chemical. It can be used in the same manner as in conventional insecticides and exert superior effects in comparison with conventional products. For example, the pesticide of the present invention can be applied to target pests by treating in nursery pots, sprinkling over stems and leaves of crop, sprinkling directly on insects, treating irrigation water in paddy fields, or soils. The application amount can vary over a wide range, depending on the season, place and method of application, etc. Preferably, the pesticide of the invention is employed, in general, in such a manner that the proportion of active ingredient (substituted nitroguanidine derivative [I] and/or salt thereof) is in the range of 0.3 to 3,000 g, more preferably 50 to 1,000 g, per hectare. In the case where the pesticide of the present invention is in the form of a wettable powder, it may be employed by diluting before use so that the final concentration of active ingredient is in the range of 0.1 to 1,000 ppm, preferably 10 to 500 ppm.

[0045] The substituted nitroguanidine derivatives [I] and their salts of the present invention can be prepared by Processes (A) to (G) described below.

[0046] In the case where the substituted nitroguanidine derivative [I] is obtained in its free form, it can be converted into the corresponding salt as mentioned above by conventional methods. When the substituted nitroguanidine derivative [I] is obtained in its salt form, it can be converted into the corresponding free form by conventional methods. Also, any substituted nitroguanidine derivative [I] may be in any of free or salt form when it is used as a raw material for preparing another substituted nitroguanidine derivatives [I]. Other raw materials than the substituted nitroguanidine derivative [I] which can form salts can be employed as any of free or salt form. Accordingly, raw materials to be employed and products in the below-mentioned Processes include their respective salts (e.g. salts with the acids as mentioned above in the compound [I]).

(A) The compound [II] or salt thereof is reacted with ammonia, primary or secondary amine or a salt thereof represented by the formula [III] to form the nitroguanidine derivative [I<sup>a</sup>] according to the present invention. In the reaction, it is especially preferred to use the compound [II] wherein R<sup>5</sup> is C<sub>1-4</sub> alkyl optionally substituted with carboxyl or sulfo such as methyl, carboxymethyl, sulfomethyl and 2-sulfoethyl, or benzyl optionally substituted with carboxyl or sulfo such as 4-carboxybenzyl.

The compound [III] or salt thereof is preferably used in an amount of 0.8 to 2.0 equivalents per compound [II] or salt thereof but may be used in an amount of 2.0 to 20 equivalents as far as the reaction is not impeded.

The reaction is usually carried out in a suitable solvent, although it may be performed in the absence of solvent. Examples of such solvent include water; alcohols such as methanol, ethanol, n-propanol and isopropanol; aromatic hydrocarbons such as benzene, toluene and xylene; halogenated hydrocarbons such as dichloromethane and chloroform; saturated hydrocarbons such as hexane, heptane and cyclohexane; ethers such as diethyl ether, tetrahydrofuran (hereinafter abbreviated as THF) and dioxane; ketones such as acetone; nitriles such as acetonitrile; sulfoxides such as dimethyl sulfoxide (hereinafter abbreviated as DMSO); acid amides such as N,N-dimethylformamide (hereinafter abbreviated as DMF); esters such as ethyl acetate; and carboxylic acids such as acetic acid and propionic acid. These solvents may each be used alone or, if necessary, in admixture of two or more kinds in a suitable ratio, for example in the range of 1:1 to 1:10. In the case where the reaction mixture is not homogeneous, the reaction may be carried out in the presence of a phase transfer catalyst such as a quaternary ammonium salt (e.g. triethylbenzylammonium chloride, tri-n-octylmethylammonium chloride, trimethyldecylammonium chloride, or tetramethylammonium bromide), or a crown ether.

The reaction may be accelerated by the addition of a base or metallic salt in an amount of 0.01 to 10 equivalents, preferably 0.1 to 3 equivalents. Examples of such bases include inorganic bases such as sodium hydrogencarbonate, potassium hydrogencarbonate, sodium carbonate, potassium carbonate, sodium hydroxide, potassium hydroxide, calcium hydroxide, phenyl lithium, butyl lithium, sodium hydride, potassium hydride, sodium methoxide, sodium ethoxide, metal sodium, and metal potassium, as well as organic bases such as triethylamine, tributylamine, N,N-dimethylaniline, pyridine, lutidine, collidine, 4-(dimethylamino)pyridine, and DBU (1,8-diazabicyclo[5.4.0]undecene-7). These organic bases per se can also be used as solvents. Examples of the metallic salts include copper salts such as copper chloride, copper bromide, copper acetate, and copper sulfate, as well as mercury salts such as mercury chloride, mercury nitrate and mercury acetate.

In the reaction, the reaction temperature is usually in the range of -50° to 150°C, preferably -20°C to 100°C and the reaction time is usually in the range of 10 minutes to 50 hours, preferably 1 to 20 hours.

(B) The compound [IV] or salt thereof is reacted with the compound [V] or salt thereof to form the nitroguanidine derivative [I] according to the present invention.

Preferred examples of the leaving groups represented by Y in the the compound [V] include, for example,



halogens such as chlorine and bromine; C<sub>1-4</sub> alkylsulfonyloxy groups such as methanesulfonyloxy; C<sub>6-10</sub> arylsulfonyloxy groups such as p-toluenesulfonyloxy; and C<sub>1-4</sub> acyl groups optionally substituted with one to three halogens (e.g. Cl, Br, F, etc.), such as acetyloxy and trifluoroacetyloxy.

The compound [V] or salt thereof is preferably used in an amount of 0.8 to 1.5 equivalents per compound [IV] or salt thereof although a large excess amount may be used as far as the reaction is not impeded.

In order to accelerate the reaction, it may be carried out in the presence of a base. Examples of such bases include those listed in Process (A). The base can be used in an amount of 0.5 equivalents to a large excess amount per compound [IV], preferably 0.8 to 1.5 equivalents. The organic base when used as the base can serve as the solvent. In some case, the addition of a catalytic amount (e.g. 0.003 to 0.05 molar equivalents) of cesium salts such as cesium fluoride, cesium carbonate and cesium acetate) can improve the yield.

The reaction is preferably carried out in a suitable solvent as mentioned in Process (A). In the case where the reaction mixture is not homogeneous, the reaction may be carried out in the presence of a phase transfer catalyst as mentioned in Process (A).

In the reaction, the reaction temperature is usually in the range of -20° to 150°C, preferably 0°C to 80°C and the reaction time is usually in the range of 10 minutes to 50 hours, preferably 2 to 20 hours.

(C) The compound [I<sup>c</sup>] or salt thereof is reacted with the compound [VI] to form the nitroguanidine derivative [I<sup>b</sup>] according to the present invention. In the reaction, preferred examples of Y and the reaction conditions are the same as those stated in Process (B).

(D) The compound [I<sup>e</sup>] or salt thereof is reacted with the compound [VII] to form the nitroguanidine derivative [I<sup>d</sup>] according to the present invention. In the reaction, when R<sup>2b</sup> is a cyano group, preferred examples of Y and the reaction conditions are the same as those stated in Process (B). When R<sup>2b</sup> represents a group as defined above for R<sup>2</sup>, the reaction may be carried out by or according to conventional or known methods. Examples of the reacting agents employed in the alkoxycarbonylation, aryloxycarbonylation, aralkyloxycarbonylation, heterocycloxy carbonylation, and heterocycle-substituted alkoxycarbonylation include, for example, oxycarbonyl halides and carbonate esters containing a group represented by R<sup>6</sup>. Examples of the reacting agents employed in the sulfenylation, sulfinylation, and sulfonylation include, for example, sulfenyl halides, sulfinyl halides, and sulfonyl halides, and sulfonic acid anhydrides containing a group represented by R<sup>13</sup>. Examples of the reacting agents employed in the phosphorylation include, for example, phosphoryl halides containing groups represented by R<sup>14</sup> and R<sup>15</sup>.

Preferred examples of the halogens in the above-mentioned reacting halides are bromine and chlorine. The reagent is preferably used in at least a molar equivalent per starting compound, more preferably in an amount of 1 to 5 molar equivalents. The solvent employed in the reaction is not limited to but includes any as long as it dissolves the compound [I<sup>e</sup>] and reagent. Preferred examples of the solvents include, for example, dichloromethane, chloroform, dichloroethane, tetrahydrofuran, dioxane, N,N-dimethylformamide, N,N-dimethylacetamide, dimethyl sulfoxide, hexamethylenephosphorotriamide, pyridine and acetonitrile.

In the reaction, the reaction temperature is usually in the range of -50° to 150°C, and the reaction time is usually in the range of 0.1 to 48 hours. For the purpose of accelerating the reaction or reducing the formation of by-product, the reaction may be performed in the presence of a base. Examples of the bases include, for example, amines such as triethylamine, dimethylaminopyridine, pyridine, N,N-dimethylaniline and N,N-diethylaniline; sodium hydride; potassium hydride; sodium amide; n-butyl lithium or lithium diisopropylethylamide.

(E) The compound [I<sup>g</sup>] or salt thereof is reacted with the compound [VIII] to form the nitroguanidine derivative [I<sup>f</sup>] according to the present invention. In the reaction, when R<sup>3b</sup> is a substituted or unsubstituted hydrocarbon group or cyano group, preferred examples of Y and the reaction conditions are the same as those stated in Process (B). When R<sup>3b</sup> represents -CO-R<sup>9</sup>, -CO-OR<sup>10</sup>, -CONR<sup>11</sup> R<sup>12</sup>, or a group attached through a sulfur or phosphorus atom, the reaction may be carried out by or according to conventional or known methods. Examples of the acylating agents employed in the acylation include, for example, acyl halides and (mixed) acid anhydrides containing a group represented by R<sup>9</sup>. Examples of the reacting agents employed in the alkoxycarbonylation, aryloxycarbonylation, aralkyloxycarbonylation, heterocycloxy carbonylation, and heterocycle-substituted alkoxycarbonylation include, for example, oxycarbonyl halides and carbonate esters containing a group represented by R<sup>10</sup>. Examples of the reacting agents employed in the sulfenylation, sulfinylation, and sulfonylation include, for example, sulfenyl halides, sulfinyl halides, and sulfonyl halides, and sulfonic acid anhydrides containing a group represented by R<sup>13</sup>. Examples of the reacting agents employed in the phosphorylation include, for example, phosphoryl halides containing groups represented by R<sup>14</sup> and R<sup>15</sup>.

Preferred examples of the halogens in the above-mentioned reacting halides are bromine and chlorine. The reagent is preferably used in at least a molar equivalent per starting compound, more preferably in an amount of 1 to 5 molar equivalents. When the acid anhydride is used as the acylating agent in the acylation, it can be employed in a large excess amount. The solvent employed in the reaction is not limited to but includes any as long as it dissolves the compound [I<sup>g</sup>] and reagent. Preferred examples of the solvents include, for example, dichloromethane, chloroform, dichloroethane, tetrahydrofuran, dioxane, N,N-dimethylformamide, N,N-dimethylacetamide,

dimethyl sulfoxide, hexamethylenephosphorotriamide, pyridine or acetonitrile. In the reaction, the reaction temperature is usually in the range of  $-50^{\circ}$  to  $150^{\circ}\text{C}$ , and the reaction time is usually in the range of about 0.1 to 48 hours. For the purpose of accelerating the reaction or reducing the formation of by-product, the reaction may be performed in the presence of a base. Examples of the bases include, for example, amines such as triethylamine, dimethylaminopyridine, pyridine, N,N-dimethylaniline and N,N-diethylaniline; sodium hydride; potassium hydride; sodium amide; n-butyl lithium or lithium diisopropylethylamide.

(F) The compound [IX] or salt thereof is nitrated to form the nitroguanidine derivative [I].

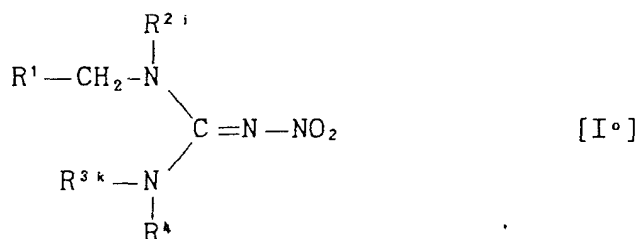
The nitrating reagents include 60 to 100% nitric acid; alkali metal nitrates such as sodium nitrate and potassium nitrate; alkyl nitrate esters such as ethyl nitrate and amyl nitrate; nitronium tetrafluoroborate ( $\text{NO}_2\text{BF}_4$ ) or nitronium trifluoromethanesulfonate ( $\text{NO}_2\text{CF}_3\text{SO}_3$ ). A preferred example of the nitrating reagent frequently used is 60 to 100% nitric acid. The nitrating reagent can be used in an amount of 1.0 to 20 equivalents per compound [IX] or salt thereof, preferably 2.0 to 10 equivalents in the case of nitric acid.

The reaction may be performed in the absence of solvent, but it is usually carried out in sulfuric acid, acetic acid, acetic anhydride, trifluoroacetic anhydride or trifluoromethanesulfonic acid, as the solvent. As the case may be, the solvents and their mixture may be employed. The reaction temperature is usually in the range of  $-50^{\circ}$  to  $100^{\circ}\text{C}$ , preferably  $-20^{\circ}$  to  $60^{\circ}\text{C}$ . The reaction time is usually in the range of 10 minutes to 10 hours, preferably 30 minutes to 2 hours.

(G) The compound [I<sup>i</sup>] or salt thereof is reacted with the compound [X] or [XI] to form the nitroguanidine derivative [I<sup>h</sup>]. Preferred examples of the compounds [I<sup>i</sup>] are those wherein at least one of  $\text{R}^{2\text{d}}$  and  $\text{R}^{3\text{d}}$  is the reactive ester such as  $-\text{COOR}^{16}$  wherein  $\text{OR}^{16}$  is capable of easily leaving. Examples of  $\text{R}^{16}$  are 1-halogeno- $\text{C}_{1-4}$  alkyl such as 1-chloroethyl and polyhalogenophenyl such as 2,4,5-trichlorophenyl and 2,3,4,5,6-pentachlorophenyl.

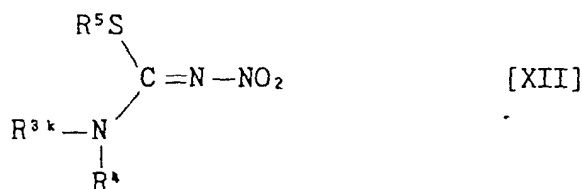
**[0047]** The reaction is performed preferably in an organic solvent (optionally in the co-existence of water). Examples of the solvent include dichloromethane, chloroform, 1,2-dichloroethane, tetrahydrofuran, 1,4-dioxane or acetonitrile. The compound [X] or [XI] can be used preferably in an amount of 1 to 20 molar equivalents. The reaction temperature and time can vary depending on the compound [X] or [XI] used; however, the reaction temperature is preferably in the range of  $0^{\circ}$  to  $100^{\circ}\text{C}$  and the reaction time is preferably in the range of 1 minute to 168 hours. The resulting compound [I] or salt thereof can be isolated and purified by means known per se, e.g. concentration, vacuum concentration, distillation, fractional distillation, extraction with solvent, change of basicity, redistribution, chromatography, crystallization, recrystallization.

**[0048]** A substituted nitroguanidine compound of the formula [I<sup>o</sup>]:

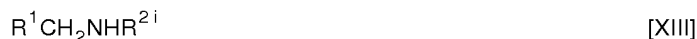


wherein  $\text{R}^1$  has the same meaning as defined above;  $\text{R}^{2\text{i}}$  is hydrogen or a substituted or unsubstituted hydrocarbon group;  $\text{R}^{3\text{k}}$  is a group attached through a carbonyl group except for formyl and acetyl, a group attached through a sulfur atom, a group attached through a phosphorus atom or cyano; and  $\text{R}^4$  has the same meaning as defined above; or a salt thereof,

is prepared by reacting a compound of the formula [XII]:



wherein R<sup>3k</sup>, R<sup>4</sup> and R<sup>5</sup> have the same meanings as defined above, or a salt thereof, with a compound of the formula [XIII]:



wherein R<sup>1</sup> and R<sup>2i</sup> have the same meanings as defined above, or a salt thereof.

**[0049]** The starting compound [XII] or salt thereof is reacted with the compound [XIII] or salt thereof to form the nitroguanidine derivative [I<sup>o</sup>]. Preferred examples of R<sup>5</sup> and the reaction conditions are the same as those stated in Process (A).

**[0050]** The compounds [II] and [XII] or salts thereof which are employed as the starting materials for preparing the compounds of the present invention can be prepared, for example, from the compounds described in EP-A-0,376, 279 by Processes (D) and (E) of the present invention or methods similar or analogous thereto.

**[0051]** The compounds [I<sup>c</sup>], [I<sup>g</sup>], and [I<sup>i</sup>] or salts thereof are included in the compounds [I] of the present invention and can be prepared by the processes as above-mentioned.

**[0052]** The amine [III], [X], [XI] or salt thereof can be prepared, for example by the method described in "Survey of Organic Synthesis," Wiley-Interscience (1970), Chapter 8, and "SHIN JIKENKAGAKU KOZA (New Experimental Chemistry Handbook)," Maruzen Publishing Co., Ltd., Japan, Vol. 14-III, pp. 1332-1399 or methods similar thereto.

**[0053]** The amino compound [XII] or salt thereof can be prepared, for example by the method described in "Organic Functional Group Preparations," Academic Press, Vol.1, Chapter 13 (1968), and Vol.3, Chapter 10 (1972), or by the method described in JP-A-171-1990 and 333721/1989, or methods similar thereto.

**[0054]** The compound [V] or salt thereof can be prepared, for example by the method described in "Organic Functional Group Preparations," Academic Press, Vol.1, Chapter 6 (1968), and JP-A-171/1990, or methods similar thereto.

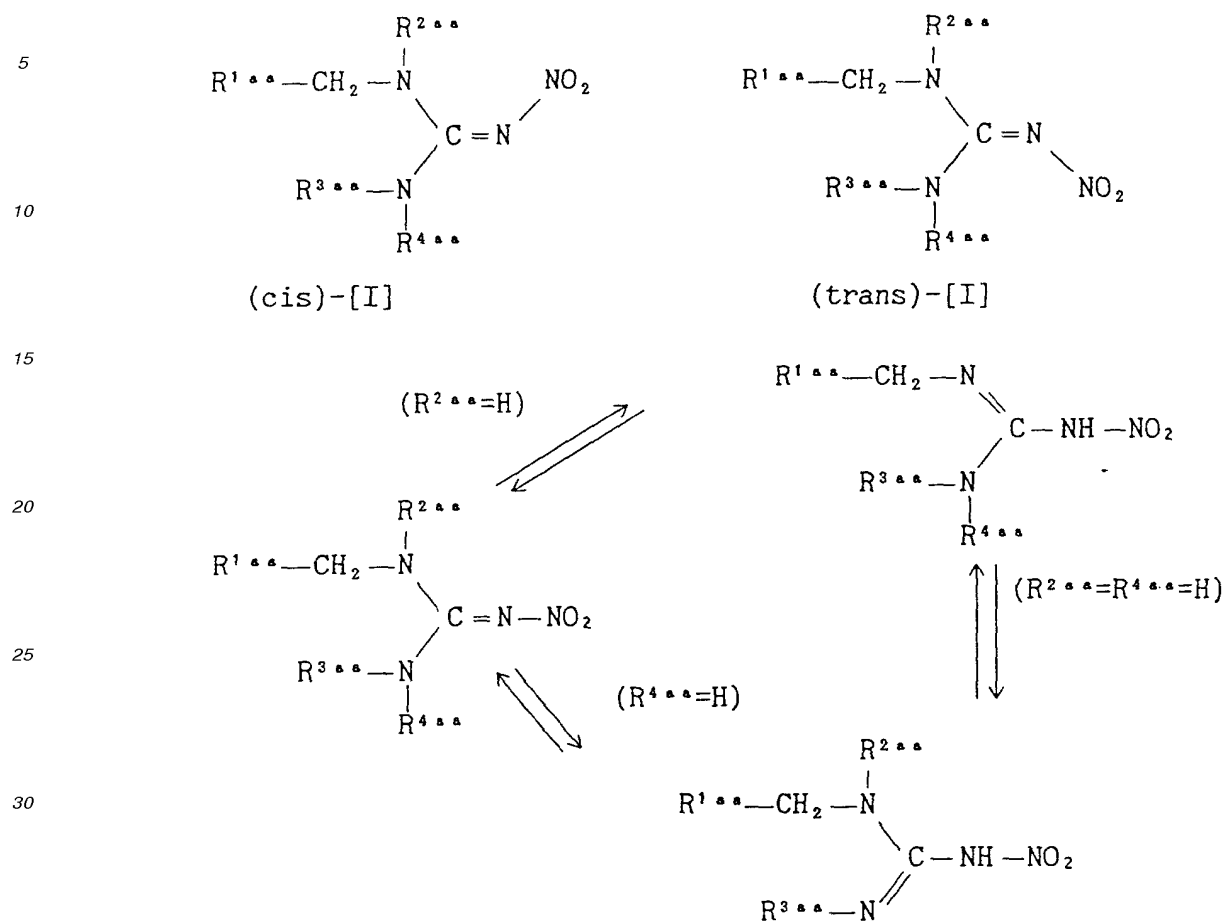
**[0055]** The compound [VI], [VII], [VIII] or salts thereof can be prepared, for example by the method described in "SHIN JIKENKAGAKU KOZA (New Experimental Chemistry Handbook)," Maruzen Publishing Co., Ltd., Japan, Vol. 14-I, pp. 307-450, and Vol. 14-II, pp. 1104-1133 or methods similar thereto.

**[0056]** The compound [IV], [IX] or salts thereof can be prepared, for example by the method described in "Rodd's Chemistry of Carbon Compounds, Vol. 1, Part c, pp. 341-353, and "Chemical Reviews," 51, 301 (1952), or methods similar thereto.

**[0057]** In the case where the substituted nitroguanidine derivative is obtained in its free form, it can be converted into the corresponding salt as mentioned above by conventional methods. When the substituted nitroguanidine derivative is obtained in its salt form, it can be converted into the corresponding free form by conventional methods. Also, any substituted nitroguanidine derivative may be in any of free or salt form when it is used as a raw material for preparing another substituted nitroguanidine derivatives. Other raw materials which can form salts can be employed as any of free or salt form. Accordingly, raw materials to be employed and products in the above-mentioned Processes include their respective salts (e.g. salts with the acids as mentioned above).

**[0058]** The substituted nitroguanidine derivatives or salts thereof form cis and trans stereoisomers with respect to the position of the substituent NO<sub>2</sub> and can theoretically form tautomers when at least one of R<sup>3aa</sup> and R<sup>4aa</sup> is hydrogen. The compounds [I] or their salts of the present invention include such isomers, i.e. the mixture of isomers and the individual stereoisomers.

Scheme 1:



wherein R<sup>1aa</sup>, R<sup>3aa</sup> and R<sup>4aa</sup> have the same meanings as defined herein above for R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup>, respectively and R<sup>2aa</sup> is as defined above for R<sup>2</sup> substituted or unsubstituted hydrocarbon group (except for one substituted with an oxo group at the binding site), a group of the formula -S(O)<sub>n</sub>-R<sup>13</sup>, wherein n is an integer of 1 or 2, a group attached through a phosphorus atom, cyano, -CO-R<sup>9aa</sup> wherein R<sup>9aa</sup> is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted heterocyclic group, -CO-OR<sup>6aa</sup> wherein R<sup>6aa</sup> is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted heterocyclic group, or -CO-NR<sup>7aa</sup> R<sup>8aa</sup> wherein R<sup>7aa</sup> and R<sup>8aa</sup>, which are the same or different, are each independently hydrogen, a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted heterocyclic group, or R<sup>7aa</sup> and R<sup>8aa</sup>, taken together with the nitrogen atom to which they are attached are a cyclic amino group.

#### Activity

**[0059]** The substituted nitroguanidine derivatives (I) and the salts thereof have potent pesticidal activity as supported by the following test examples.

#### Test Example 1 Effect against *Nilaparvata lugens*

**[0060]** Five milligrams each of test compounds (designated by each compound number assigned to the compound prepared in an Example as -described hereinafter) were respectively dissolved in 0.5 ml of acetone containing Tween 20™ and diluted with a 3,000-fold aqueous solution of Dyne™ (spreading agent manufactured by Takeda Chemical Industries, Ltd.) to a predetermined concentration (100 ppm). This solution was applied to the leaves and stems of rice seedlings at the 2-leaf stage raised in a nursery box at a rate of 10 ml/pot by a spray gun. The treated rice seedlings were placed into test tubes of which the bottom space had been filled with water. After the release of 10 third-instar

## EP 0 471 372 B1

larvae of Nilaparvata lugens to the test tube, the tube was sealed with an aluminum cap followed by incubation at 25 °C in a thermostatic room. The number of dead insects was counted 7 days after release. The mortality rate was calculated by means of the following equation and summarized in Table 1.

$$\text{Mortality (\%)} = \frac{\text{Number of dead insects}}{\text{Number of insects released}} \times 100$$

Table 1

Compound No.	Mortality (%)
1	100
2	100
6	100
7	100
8	100
9	100
11	100
19	100
27	100
28	100
44	100
45	100
46	100
47	100
48	100
49	100
54	100
55	100

**[0061]** Table 1 demonstrates the excellent pesticidal activity of the substituted nitroguanidine derivatives (I) and the salts thereof against Nilaparvata lugens.

Test Example 2 Effect against Spodoptera litura

**[0062]** One milligrams each of test compounds (designated by each compound number assigned to the compound prepared in an Example as described hereinafter) were respectively dissolved in 0.5 ml of acetone containing Tween 20™ and diluted with a 3,000-fold aqueous solution of Dyne™ to a predetermined concentration (100 ppm). This solution was applied to soybean seedlings at the simple leaf unfolding stage at a rate of 20 ml/pot by a spray gun. After the chemical solution had dried, two simple leaves per seedling were shorn off and placed into an ice cream cup to which 10 third-instar larvae of Spodoptera litura were released. After the release, the cup was placed in an incubator at 25 °C. The number of dead insects was counted 2 days after release. The mortality rate was calculated by means of the equation given in Test Example 1 and summarized in Table 2.

Table 2

Compound No.	Mortality (%)
1	100
2	100
6	100
7	100
9	100
19	100
45	100
46	100

# EP 0 471 372 B1

Table 2 (continued)

Compound No.	Mortality (%)
47	100
48	100
49	100
54	100

[0063] Table 2 demonstrates the excellent pesticidal activity of the substituted nitroguanidine derivatives (I) and the salts thereof against Spodoptera litura.

Test Example 3 Effect against Aphis gossypii

[0064] Five milligrams each of test compounds (designated by each compound number assigned to the compound prepared in an Example as described hereinafter) were respectively dissolved in 0.5 ml of acetone containing Tween 20™ and diluted with a 3,000-fold aqueous solution of Dyne™ to a predetermined concentration (100 ppm). This solution was applied at a rate of 10 ml/pot by a spray gun to the leaves and stems of Cucumis sativus at the first leaf unfolding stage to which 10 female adults of Aphis gossypii had been released one day before spraying. The tested plant was placed in a glass incubator at 27 °C. The number of survived insects was counted 2 days after the treatment. The mortality rate was calculated by means of the following equation and summarized in Table 3.

$$\text{Mortality (\%)} = \frac{\text{Number of insects released} - \text{Number of insects survived}}{\text{Number of insects released}} \times 100$$

Table 3

Compound No.	Mortality (%)
1	100
2	100
6	100
7	100
8	100
9	100
11	100
19	100
27	100
28	100
44	100
45	100
46	100
47	100
48	100
49	100
54	100

[0065] Table 3 demonstrates the excellent pesticidal activity of the substituted nitroguanidine derivatives (I) and the salts thereof against Aphis gossypii.

[0066] The following examples and reference examples are intended to illustrate the invention in further detail and should by no means be construed as limiting the scope of the invention.

[0067] The procedure of elution in column chromatography as described in Examples and Reference Examples was carried out under monitoring by thin layer chromatography (TLC). In TLC monitoring, Merck's Kieselgel 60F<sub>254</sub> (70-230 mesh, Merck Co.) was used as the TLC plate; the developing solvent was the same as that used for eluting in the column chromatography; and a UV detector was used for detection. The silica gel for column chromatography was Kieselgel 60 (70-230 mesh, a product manufactured by Merck Co.). NMR spectra were recorded by proton NMR, and measured using tetramethylsilane as an internal reference standard with Varian EM390 (90MHz) or Hitachi R-600 (60

MHz) spectrometer. All  $\delta$  values are expressed in ppm. The numerals given in parentheses for a mixed solvent as the developing solvent represent a volume ratio of the ingredients thereof.

**[0068]** The abbreviations used in the following Examples, Reference Examples and Tables 4-5 have the following meanings.

**[0069]** Me: methyl, Et: ethyl, Ph: phenyl, s: singlet, br: broad, d: doublet, t: triplet, q: quartet, m: multiplet, dd: doublet of doublets, J: coupling constant, Hz: hertz,  $\text{CDCl}_3$ : deuteriochloroform,  $\text{DMSO}-d_6$ : deuteriodimethylsulfoxide, %: wt%, mp: melting point. The term "room temperature" means about 15-25 °C.

#### Reference Example 1

**[0070]** To a stirred mixture of S-methyl-N-nitroisothiurea (0.30 g) and pyridine (5 ml) was added 1.05 g of isobutyric anhydride dropwise at room temperature (slightly exothermically). After stirring for 1 hour at room temperature and standing overnight, the reaction mixture was poured into 80 ml of 2N hydrochloric acid. The resulting mixture was extracted with 100 ml of  $\text{Et}_2\text{O}$ , dried over  $\text{MgSO}_4$  and concentrated in vacuo to afford 0.38 g of N-isobutyryl-S-methyl-N'-nitroisothiurea as pale yellow oil.

$\text{NMR}(\text{CDCl}_3)$   $\delta$ : 1.29(d, J=7.2Hz, 6H), 2.30-2.94(m, 1H), 2.46(s, 3H)

#### Reference Example 2

**[0071]** To a stirred mixture of S-methyl-N-nitroisothiurea (1.0 g) and pyridine (10 ml) was added 1.4 g of methyl chlorocarbonate dropwise below -9 °C under cooling. After stirring for 1 hour at -13 °C, for 30 minutes at 0 °C and then for 3 hour at room temperature, 1.4 g of methyl chlorocarbonate was added dropwise to the reaction mixture below 14 °C under cooling. After stirring at room temperature for 1.5 hours, 1.4 g of methyl chlorocarbonate was added dropwise to the reaction mixture below 11 °C under cooling. After stirring at room temperature for 1 hour, the reaction mixture was poured into a mixture of ice (50 g) and 2N hydrochloric acid (50 ml) and the resulting precipitated crystals were separated by filtration and dried to afford 0.50 g of white crystals. The filtrate was acidified with hydrochloric acid, extracted with 100 ml of  $\text{AcOEt}$ , dried and concentrated to afford 0.72 g of yellow crystals. The combined crystals were recrystallized from toluene-hexane to yield 1.0 g of N-methoxycarbonyl-S-methyl-N'-nitroisothiurea as a white crystal. Recrystallization of the product from toluene gave m.p. 90-93.5 °C.

$\text{NMR}(\text{CDCl}_3)$   $\delta$ : 2.43(s, 3H), 3.86(s, 3H), 11.09(br, 1H)

#### Reference Example 3

**[0072]** A mixture of N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea (1.00 g), pyridine (2.37 g),  $\text{CH}_2\text{Cl}_2$  (12 ml) and  $\text{CH}_3\text{CN}$  (12 ml) was cooled to 4 °C. To the cooled mixture was added 1.14 g of n-valeroyl chloride dropwise over 1 minute under stirring. After 5 minutes, the cooling bath was removed and then the mixture was stirred at room temperature for 1 hour. To the mixture was added 0.23 g of n-valeroyl chloride three times intervally 20, 145, and 165 minutes later and 0.30 g, 0.30 g and 1.2 g of pyridine 115, 200, and 220 minutes later, respectively and the mixture was continued to be stirred at room temperature. After 230 minutes, a mixed solution of conc. hydrochloric acid (3 ml) and water (22 ml) was added to the mixture followed by partition. The aqueous layer was extracted with  $\text{CH}_2\text{Cl}_2$  (25 ml x 2). The combined organic layers were washed with brine, dried over  $\text{MgSO}_4$  and evaporated in vacuo. The resulting residue was applied to column chromatography on silica gel. The column was eluted with  $\text{CHCl}_3$ - $\text{EtOH}$  (20:1) to afford 1.50 g of N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-n-valeroylisothiurea as a pale yellow oil.

$\text{NMR}(\text{CDCl}_3)$   $\delta$ : 0.7-2.0(m, 7H), 2.3-2.7(m, 2H), 2.5(s, 3H), 4.8(s, 3H), 7.5(s, 1H)

#### Reference Example 4

**[0073]** A mixture of N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea (0.93 g), pyridine (3 ml) and  $\text{CH}_3\text{CN}$  (3 ml) was cooled with iced water. To the cooled mixture was added 1.05 g of benzoyl chloride dropwise below 6 °C over 1 minute under stirring. After the addition, the cooling bath was removed and then the mixture was stirred at room temperature for 85 minutes. To the mixture was added a mixed solution of conc. hydrochloric acid (7 ml) and water (25 ml) and the resulting mixture was extracted with  $\text{CHCl}_3$  (70 ml). The aqueous layer was extracted with  $\text{CHCl}_3$  (20 ml x 3) and the combined organic layers were washed with brine, dried over  $\text{MgSO}_4$  and evaporated in vacuo. The resulting residue was applied to column chromatography on silica gel. The column was eluted with first  $\text{CHCl}_3$  and next  $\text{CHCl}_3$ - $\text{EtOH}$  (30:1 and next 20:1) to afford crude products which were purified by column chromatography on silica gel [hexane- $\text{AcOEt}$  (2:1)] to yield 0.93 g of N-benzoyl-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea as a pale yellow oil.  $\text{NMR}(\text{CDCl}_3)$   $\delta$ : 2.28(s, 3H), 5.12(s, 2H), 7.20-7.85(m, 6H)

Reference Example 5

**[0074]** The procedure of Reference Example 4 was repeated replacing the benzoyl chloride with phenyl chloroformate to obtain N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-phenoxycarbonylthiourea as a pale yellow oil.

NMR(CDCl<sub>3</sub>) δ : 2.48(s, 3H), 4.95(s, 2H), 6.95-7.45(m, 5H), 7.57(s, 1H)

Reference Example 6

**[0075]** The procedure of Reference Example 4 was repeated replacing the benzoyl chloride with 1-chloroethyl chloroformate to obtain N-(1-chloroethoxycarbonyl)-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea as a pale yellow oil.

NMR(CDCl<sub>3</sub>) δ : 1.84(d, J=6Hz, 3H), 2.98(s, 3H), 4.90(s, 2H), 6.50(q, J=6Hz, 1H), 7.55(s, 1H)

Reference Example 7

**[0076]** A mixture of S-methyl-N-nitroisothiurea (5.0 g), CH<sub>3</sub>CN (50 ml) and Et<sub>3</sub>N (11.2 g) was cooled with iced water. To the cooled mixture was added 10.6 g of n-propanesulfonyl chloride dropwise below 15 °C under stirring. After stirring at the same temperature for 1 hour, the mixture was poured into a mixed solution of conc. hydrochloric acid (20 ml) and water (180 ml) and the resulting mixture was extracted with AcOEt. The organic layer was dried over MgSO<sub>4</sub> and concentrated in vacuo to afford 9.9 g of crude crystals. Recrystallization of the product from CCl<sub>4</sub> (5 ml) gave 5.4 g of S-methyl-N-nitro-N'-(n-propanesulfonyl)isothiurea as a pale yellow crystal, m.p. 91-93 °C.

NMR(CDCl<sub>3</sub>) δ : 1.12(t, J=7.2Hz, 3H), 1.75-2.13(m, 2H), 2.47(s, 3H), 3.19-3.46(m, 2H), 9.62(br, 1H)

Reference Example 8

**[0077]** The procedure of Reference Example 4 was repeated replacing the N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea and the benzoyl chloride with S,N-dimethyl-N'-nitroisothiurea and 1-chloroethyl chloroformate to obtain N-(1-chloroethoxycarbonyl)-S, N-dimethyl-N'-nitroisothiurea as an orange oil.

NMR(CDCl<sub>3</sub>) δ : 1.82(d, J=6Hz, 3H), 2.50(s, 3H), 3.27(s, 3H), 6.48(q, J=6Hz, 1H)

Reference Example 9

**[0078]** The procedure of Reference Example 4 was repeated replacing the N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea and the benzoyl chloride with S,N-dimethyl-N'-nitroisothiurea and phenyl chloroformate to obtain S,N-dimethyl-N-phenoxycarbonyl-N'-nitroisothiurea as a yellow oil.

NMR(CDCl<sub>3</sub>) δ : 2.53(s, 3H), 3.33(s, 3H), 7.0-7.6(m, 5H)

Reference Example 10

**[0079]** The procedure of Reference Example 7 was repeated replacing the n-propanesulfonyl chloride and the S-methyl-N-nitroisothiurea with methanesulfonyl chloride and S,N-dimethyl-N'-nitroisothiurea to obtain S,N-dimethyl-N-methanesulfonyl-N'-nitroisothiurea as a oil.

NMR(CDCl<sub>3</sub>) δ : 2.57(s, 3H), 3.23(s, 3H), 3.33(s, 3H)

Reference Example 11

**[0080]** The procedure of Reference Example 4 was repeated replacing the N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea and the benzoyl chloride with S,N-dimethyl-N'-nitroisothiurea and methyl chloroformate to obtain S,N-dimethyl-N-methoxycarbonyl-N'-nitroisothiurea as a pale yellow crtstal, m.p. 46-47 °C. NMR(CDCl<sub>3</sub>) δ : 2.49(s, 3H), 3.26(s, 3H), 3.79(s, 3H)

Reference Example 12

**[0081]** The procedure of Reference Example 4 was repeated replacing the benzoyl chloride with methyl chloroformate to obtain N-(2-chloro-5-thiazolylmethyl)-N-methoxycarbonyl-S-methyl-N'-nitroisothiurea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.47(s, 3H), 3.82(s, 3H), 4.90(s, 2H), 7.55(s, 1H)



## Reference Example 13

**[0082]** To a mixture of S,N-dimethyl-N'-nitroisothiourea (0.5 g), CH<sub>3</sub>CN (20 ml) and potassium carbonate (0.93 g) was added a solution of phenylacetyl chloride (1.04 g) in CH<sub>3</sub>CN (2 ml) dropwise at room temperature over 10 minutes under stirring. After stirring for 3 hours, insolubles were filtered off and the filtrate was concentrated in vacuo. The resulting residue was applied to column chromatography on silica gel. The column was eluted with hexane-acetone (2:1) to afford 0.54 g of S,N-dimethyl-N'-nitro-N-phenylacetylthiourea as an oil.  
NMR(CDCl<sub>3</sub>) δ : 2.27(s, 3H), 3.15(s, 3H), 3.88(s, 2H), 7.28(s, 5H)

## Reference Example 14

**[0083]** The procedure of Reference Example 13 was repeated replacing the S,N-dimethyl-N'-nitroisothiourea with N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea to obtain N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-phenylacetylthiourea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.30(s, 3H), 3.87(s, 2H), 4.78(s, 2H), 7.29(s, 5H), 7.48(s, 1H)

## Reference Example 15

**[0084]** The procedure of Reference Example 13 was repeated replacing the phenylacetyl chloride with 2-thiophene-carbonyl chloride to obtain S,N-dimethyl-N'-nitro-N-(2-thiophenecarbonyl)isothiourea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.45(s, 3H), 3.38(s, 3H), 7.0-7.2(m, 1H), 7.5-7.8(m, 2H)

## Reference Example 16

**[0085]** The procedure of Reference Example 13 was repeated replacing the S,N-dimethyl-N'-nitroisothiourea and the phenylacetyl chloride with N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea and 2-thiophenecarbonyl chloride to obtain N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-(2-thiophenecarbonyl)-isothiourea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.37(s, 3H), 5.04(s, 2H), 7.0-7.2(m, 1H), 7.58(s, 1H), 7.5-7.8(m, 2H)

## Reference Example 17

**[0086]** The procedure of Reference Example 13 was repeated replacing the phenylacetyl chloride with benzyl chloroformate to obtain N-benzyloxycarbonyl-S,N-dimethyl-N'-nitroisothiourea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.42(s, 3H), 3.25(s, 3H), 5.18(s, 2H), 7.35(s, 5H)

## Reference Example 18

**[0087]** The procedure of Reference Example 13 was repeated replacing the S,N-dimethyl-N'-nitroisothiourea and the phenylacetyl chloride with N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea and benzyl chloroformate to obtain N-benzyloxycarbonyl-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea as an oil.

NMR(CDCl<sub>3</sub>) δ : 2.38(s, 3H), 4.90(s, 2H), 5.22(s, 2H), 7.38(s, 5H), 7.50(s, 1H)

## Reference Example 19

**[0088]** To a cooled, stirred mixture of S,N-dimethyl-N'-nitroisothiourea (1.0 g), triethylamine (0.68 g) and DMF (10 ml) was added 1.2 g of trichloromethanesulfonyl chloride dropwise at 3-7 °C. After stirring at the same temperature for 1 hour, the mixture was poured into 50 ml of 2N hydrochloric acid and the resulting mixture was extracted with toluene (50 ml). The toluene layer was washed with water (50 ml), dried over MgSO<sub>4</sub> and concentrated in vacuo. The resulting residue was applied to column chromatography on silica gel. The column was eluted with hexane-AcOEt (8:1). The fractions containing the desired product were concentrated to afford 1.1 g of S,N-dimethyl-N'-nitro-N-trichloromethanesulfonylthiourea as a pale yellow crystal, m.p. 75-78 °C.

NMR(CDCl<sub>3</sub>) δ : 2.48(s, 3H), 3.69(s, 3H)

**[0089]** The following compounds listed in Table 4 below were prepared by employing S,N-dimethyl-N'-nitroisothiourea (M) or N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea (T) and the acylating reagents, bases and reaction solvents listed in Table 4 according to the procedures of Reference Examples 1-19 or the analogues thereof.

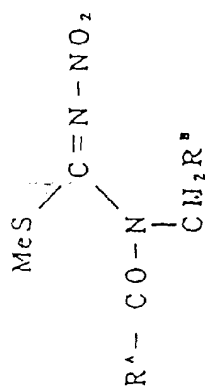




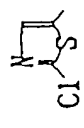
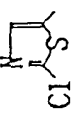


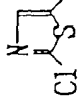
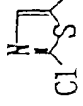
Table 4

Ref. Ex No.	R <sup>A</sup>	R <sup>B</sup>	mp(°C)	NMR(solvent) δ:	*	Acylating Agent	Base	Reaction Solvent
20	iPr	H	(oil)	(CDCl <sub>3</sub> ): 1.15(d, 6H), 2.50(s, 3H), 3.15(s, 3H)	M	(iPrCO) <sub>2</sub> O	pyridine	CH <sub>3</sub> CN
21	tBu- 	H	65-68	(CDCl <sub>3</sub> ): 1.31(s, 9H), 2.38(s, 3H), 3.38(s, 3H), 7.30~7.75(m, 4H)	M	tBu-  -COCl	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
22	Et		(oil)	(CDCl <sub>3</sub> ): 1.17(t, J=7.2Hz, 3H), 2.50(s, 3H), 2.54(q, J=7.2Hz, 2H), 4.77(s, 2H), 7.50(s, 1H)	T	(EtCO) <sub>2</sub> O	pyridine	pyridine
23	nPr		(oil)	(CDCl <sub>3</sub> ): 0.75~1.17(m, 3H), 1.18~ 2.07(m, 2H), 2.28~2.65(m, 2H), 2.51(s, 3H), 4.77(s, 2H), 7.50	T	nPrCOCl	pyridine	CH <sub>2</sub> Cl <sub>2</sub>

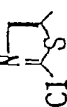
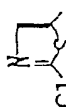
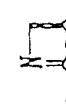
\* Starting material

Ref. Ex No.	R <sup>A</sup>	R <sup>B</sup>	mp(°C)	NMR (solvent) $\delta$ :	*	Acyating Agent	Base	Reaction Solvent
24	<i>i</i> Pr		(oil)	(CDCl <sub>3</sub> ): 1.17(d, J=6Hz, 6H), 2.30~2.98(m, 1H), 2.50(s, 3H), 4.77(s, 2H), 7.50(s, 1H)	T	( <i>i</i> PrCO) <sub>2</sub> O	pyridine	pyridine
25	<i>i</i> Bu		(oil)	(CDCl <sub>3</sub> ): 0.95(d, J=6Hz, 6H), 1.27 (m, 1H), 2.00~2.50(m, 2H), 2.51 (s, 3H), 4.78(s, 2H), 7.50(s, 1H)	T	<i>i</i> BuCOCl	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
26	Et	H	(oil)	(CDCl <sub>3</sub> ): 1.12(t, 3H), 2.47(q, 2H), 2.50(s, 3H), 3.15(s, 3H)	M	(EtCO) <sub>2</sub> O	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
27	<i>n</i> Pr	H	(oil)	(CDCl <sub>3</sub> ): 0.90(t, 3H), 1.65(m, 2H), 2.42(t, 2H), 2.50(s, 3H), 3.12 (s, 3H)	M	<i>n</i> PrCOCl	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
28	<i>n</i> Bu	H	(oil)	(CDCl <sub>3</sub> ): 0.6~1.9(m, 7H), 2.2~2.6 (m, 2H), 2.50(s, 3H), 3.15(s, 3H)	M	<i>n</i> BuCOCl	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
29	<i>i</i> Bu	H	(oil)	(CDCl <sub>3</sub> ): 0.95(d, 6H), 2.3(m, 3H), 2.50(s, 3H), 3.13(s, 3H)	M	<i>i</i> BuCOCl	pyridine	CH <sub>3</sub> CN

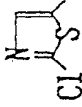
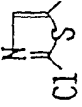
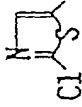
\* Starting material

Ref. Ex No.	R <sup>A</sup>	R <sup>B</sup>	mp(°C)	NMR (solvent) $\delta$ :	*	Acylating Agent	Base	Reaction Solvent
30	EtO	H	(oil)	(CDCl <sub>3</sub> ): 1.28(t, 3H), 2.48(s, 3H), 3.25(s, 3H), 4.20(q, 2H)	M	ClCOOEt	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
31	<sup>n</sup> BuO	H	(oil)	(CDCl <sub>3</sub> ): 0.7~2.0(m, 7H), 2.46(s, 3H), 3.22(s, 3H), 4.15(t, 2H)	M	ClCOOBu <sup>n</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
32	<sup>i</sup> BuO	H	(oil)	(CDCl <sub>3</sub> ): 0.94(d, 6H), 1.8~2.2(m, 1H), 2.48(s, 3H), 3.23(s, 3H), 3.95(d, 2H)	M	ClCOOBu <sup>i</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
33	<sup>t</sup> BuO	H	(oil)	(CDCl <sub>3</sub> ): 1.45(s, 9H), 2.45(s, 3H), 3.20(s, 3H)	M	( <sup>t</sup> BuOCO) <sub>2</sub> O	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
34	EtO		(oil)	(CDCl <sub>3</sub> ): 1.32(t, J=7.2Hz, 3H), 2.47(s, 3H), 4.27(q, J=7.2Hz, 2H), 4.90(s, 2H), 7.54(s, 1H)	T	ClCOOEt	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
35	<sup>n</sup> PrO		(oil)	(CDCl <sub>3</sub> ): 0.96(t, J=7.2Hz, 3H), 1.50 ~1.98(m, 2H), 2.46(s, 3H), 4.17(t, J=7.2Hz, 2H), 4.89(s, 2H), 7.53 (s, 1H)	T	ClCOOPr <sup>n</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN

\* Starting material

Ref. Ex No.	R <sup>A</sup>	R <sup>B</sup>	mp(°C)	NMR (solvent) $\delta$ :	*	Acylation Agent	Base	Reaction Solvent
36	iPrO		(oil)	(CDCl <sub>3</sub> ): 1.31(d, J=7.2Hz, 6H), 2.46(s, 3H), 4.75~5.28(m, 1H), 4.89(s, 2H), 7.52(s, 1H)	T	ClCOOPr <sup>i</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
37	nBuO		(oil)	(CDCl <sub>3</sub> ): 0.75~1.12(m, 3H), 1.13~1.85(m, 4H), 2.46(s, 3H), 3.95~4.37(m, 2H), 4.89(s, 2H), 7.54(s, 1H)	T	ClCOOBu <sup>n</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
38	iBuO		(oil)	(CDCl <sub>3</sub> ): 0.94(d, J=7.2Hz, 6H), 1.40~2.18(m, 1H), 2.46(s, 3H), 3.99(d, J=7.2Hz, 2H), 4.89(s, 2H), 7.53(s, 1H)	T	ClCOOBu <sup>i</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
39	nPrO	H	(oil)	(CDCl <sub>3</sub> ): 0.95(t, 3H), 1.5~2.0(m, 2H), 2.48(s, 3H), 3.25(s, 3H), 4.10(t, 2H)	M	ClCOOPr <sup>n</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
40	iPrO	H	(oil)	(CDCl <sub>3</sub> ): 1.25(d, 6H), 2.47(s, 3H), 3.25(s, 3H), 4.95(m, 1H)	M	ClCOOPr <sup>i</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
41	secBu	H	(oil)	(CDCl <sub>3</sub> ): 0.7~2.8(m, 9H), 2.50(s, 3H), 3.17(s, 3H)	M	ClCOBu <sup>sec</sup>	pyridine	CH <sub>3</sub> CN

\* Starting material

Ref. Ex No.	R <sup>A</sup>	R <sup>B</sup>	mp(°C)	NMR (solvent) $\delta$ :	*	Acylating Agent	Base	Reaction Solvent
42	MeOCH <sub>2</sub>	H	(oil)	(CDCl <sub>3</sub> ): 2.48(s, 3H), 3.20(s, 3H), 3.38(s, 3H), 4.23(s, 2H)	M	ClCOCH <sub>2</sub> OMe	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
43	tBuO		(oil)	(CDCl <sub>3</sub> ): 1.48(s, 9H), 2.46(s, 3H), 4.87(s, 2H), 7.53(s, 1H)	T	( <sup>t</sup> BuOCO) <sub>2</sub> O	pyridine	pyridine
44	secBu		(oil)	(CDCl <sub>3</sub> ): 0.7~1.9(m, 8H), 2.15~2.80 (m, 1H), 2.50(s, 3H), 4.79(s, 2H), 7.50(s, 1H)	T	ClCOBu <sup>sec</sup>	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN
45	MeOCH <sub>2</sub>		(oil)	(CDCl <sub>3</sub> ): 2.47(s, 3H), 3.39(s, 3H), 4.25(s, 2H), 4.85(s, 2H), 7.50(s, 1H)	T	ClCOCH <sub>2</sub> OMe	K <sub>2</sub> CO <sub>3</sub>	CH <sub>3</sub> CN

\* Starting material

Example 1

[0090] To a suspension of sodium hydride (60 % dispersion in mineral oil) in DMF (3 ml) was added a solution of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitroguanidine (0.49 g) in DMF (3 ml) dropwise over 5 minutes at room temperature under stirring. After stirring for five minutes, 2.08 M solution of cyanogen bromide in CH<sub>3</sub>CN (1 ml) was added to the mixture over 5 minutes. After stirring for 1 hour, the reaction mixture was poured into 50 ml of water and the reaction vessel was washed with 10 ml of water. The washing was combined with the aqueous layer and precipitated pellets were obtained by filtration and recrystallized from EtOH to afford 0.334 g of 1-(2-chloro-5-thiazolylmethyl)-1-cyano-3,3-dimethyl-2-nitroguanidine (Compound No. 1) as a crystal, m.p. 152-155 °C. NMR(CDCl<sub>3</sub>) δ: 3.10(s, 6H), 4.83(s, 2H), 7.63(s, 1H)

Example 2

[0091] A mixture of 1-(2-chloro-5-thiazolylmethyl)-1-phenoxy carbonyl-3-methyl-2-nitroguanidine (Compound No. 6), CH<sub>3</sub>CN (15 ml) and pyridine (0.55 ml) was cooled to 3 °C followed by addition of phenyl chlorocarbonate (1.7 ml) in CH<sub>3</sub>CN (3 ml) below 8 °C over 2 minutes under stirring. After stirring at the same temperature for 1 hour and 25 minutes and then at room temperature for 1 hour, pyridine (0.55 ml) and phenyl chlorocarbonate (1.7 ml) was added to the mixture followed by stirring at room temperature for 1 hour and 15 minutes and at 40 °C for 2 hours. Additional phenyl chlorocarbonate (1.7 ml) was added to the mixture which was stirred for 20 minutes. To the reaction mixture was added CHCl<sub>3</sub> (30 ml) and then a mixture of conc. hydrochloric acid (2 ml) and water (8 ml). The reaction mixture was partitioned and the aqueous layer was extracted with CHCl<sub>3</sub> (20 ml x 3). The combined organic layers were dried over MgSO<sub>4</sub> and concentrated in vacuo. The resulting residue was applied to column chromatography on silica gel. The column was eluted with hexane-AcOEt (3:2) to afford 0.7 g of 1-(2-chloro-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidine (Compound No. 2) as an oil.

NMR(CDCl<sub>3</sub>) δ : 3.24(s, 3H), 5.15(s, 2H), 6.7-7.7(m, 1H)

Example 3 (not according to the invention)

[0092] To a stirred solution of N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-n-valeroylisothiourea (1.50 g) in CHCl<sub>3</sub> (20 ml) was added 40 % solution of methylamine-methanol (0.365 g) dropwise below -12 °C in 1 minute followed by stirring at the same temperature for 40 minutes and then at 5 °C for 10 minutes. The reaction mixture was evaporated in vacuo and the resulting residue was applied to column chromatography on silica gel. The column was eluted with CHCl<sub>3</sub>-EtOH (10:1) to afford 1.22 g of 1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitro-1-n-valeroylguanidine (Compound No. 3) as a pale yellow oil. The product thus produced was crystallized by standing. m.p. 77-79 °C.

NMR(CDCl<sub>3</sub>) δ : 0.9(t, J=7Hz, 3H), 1.0-2.0(m, 4H), 2.40(t, J=7Hz, 2H), 3.0(d, 3H), 4.88(s, 2H), 7.45(s, 1H), 9.0-10.0 (br, 1H)

Example 5

[0093] To a stirred solution of N-(1-chloroethoxycarbonyl)-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea (0.35 g) in CH<sub>3</sub>CN (7 ml) was added a solution of 40 % aqueous dimethylamine (0.088 g) in CH<sub>3</sub>CN (3 ml) dropwise at 2 °C in 4 minutes under cooling. After stirring at the same temperature for 2 hours, precipitated crystals were obtained by filtration and dried to give 0.18 g of white crystals. To a mixture of the product and CH<sub>3</sub>CN (20 ml) was added 40 % aqueous solution of dimethylamine (0.04 g) dropwise at 2 °C followed by stirring at the same temperature for 30 minutes and at room temperature for 1.5 hours. The mixture was evaporated in vacuo and the resulting residue was applied to column chromatography on silica gel. The column was eluted with CHCl<sub>3</sub>-EtOH (10:1) to afford 0.15 g of 1-(2-chloro-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidine (Compound No. 8) as a white crystal. m.p. 140-141 °C.

NMR(CDCl<sub>3</sub>) δ : 2.91(d, 3H), 2.95(s, 6H), 4.93(s, 2H), 7.45(s, 1H), 9.3-9.7(br, 1H)

Example 6

[0094] To a solution of N-(1-chloroethoxycarbonyl)-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea (0.37 g) in CHCl<sub>3</sub> (10 ml) was added a solution of morpholine (0.091 g) in CHCl<sub>3</sub> (2 ml) dropwise at 2 °C in 2 minutes. After stirring at the same temperature for 30 minutes, at room temperature for 1 hour and 15 minutes, at 30 °C for 1.5 hours and at 40 °C for 5.5 hours, morpholine (0.091 g) was added to the mixture followed by stirring at 50 °C for 1 hour and at 60 °C for 0.5 hours. After addition of additional morpholine (0.182 g) followed by stirring at 60 °C for 6 hours, the mixture was concentrated in vacuo. The resulting residue was applied to column chromatography on silica gel. The

column was eluted with  $\text{CHCl}_3$ -EtOH (10:1) to afford 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidine (Compound No. 9) as a white crystal.

m.p. 130-131 °C.

NMR( $\text{CDCl}_3$ )  $\delta$  : 2.92(d, 3H), 3.20-3.75(M, 8H), 4.92(s, 2H), 7.46(s, 1H), 9.2-9.7(br, 1H)

#### Example 10

**[0095]** To a solution of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitroguanidine (0.300 g) in an aqueous NaOH solution (NaOH (0.319 g) and water (10 ml)) were added  $\text{CH}_2\text{Cl}_2$  (10 ml) and 4-dimethylaminopyridine (0.013 g). To the mixture was added methanesulphonyl chloride (0.391 g) dropwise at room temperature under stirring. After stirring for 30 minutes, the mixture was partitioned. The organic layer was dried over  $\text{MgSO}_4$  and concentrated *in vacuo*. The resulting residue was applied to column chromatography on silica gel. The column was eluted with hexane-AcOEt (1:1) to afford 0.160 g of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-1-methanesulphonyl-2-nitroguanidine (Compound No. 28) as a pale yellow crystal, m.p. 82-86 °C. NMR( $\text{CDCl}_3$ )  $\delta$  : 3.07(s, 6H), 3.18(s, 3H), 4.76(s, 2H), 7.54(s, 1H)

#### Example 11 (not according to the invention)

**[0096]** To a solution of N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-propionylisothiurea (310 mg) in toluene (5 ml) was added 40 % methylamine-methanol solution (75 mg) below -10 °C and the mixture was stirred at the same temperature for 3 hours. After addition of 2N hydrochloric acid (5 ml) under ice-cooling, the mixture was extracted with AcOEt (10 ml). The organic layer was washed with an aqueous saturated sodium bicarbonate solution, dried over  $\text{MgSO}_4$  and concentrated *in vacuo*. The resulting residue was applied to column chromatography on silica gel. The column was eluted with AcOEt. The fractions containing the desired compound were concentrated and the residue was dissolved in toluene. Crystallization by addition of n-hexane gave 120 mg of 1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitro-1-propionylguanidine (Compound No. 32) as a white crystal, m.p. 89-90 °C. NMR( $\text{CDCl}_3$ )  $\delta$  : 1.19(t, J=7.2Hz, 3H), 2.46(q, J=7.2Hz, 2H), 2.99(d, J=5.4Hz, 3H), 4.90(s, 2H), 7.47(s, 1H)

#### Example 12 (not according to the invention)

**[0097]** To a solution of N-iso-butyryl-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiurea (560 mg) in dichloromethane (5 ml) was added 40 % methylamine-methanol solution (129 mg) dropwise below -11 °C and the mixture was stirred at the same temperature for 1 hour. To the mixture was added 40 % methylamine-methanol solution (64 mg) dropwise below -11 °C and the mixture was stirred at the same temperature for 5.5 hours. After addition of 2N hydrochloric acid (10 ml) under ice-cooling, the mixture was extracted with toluene (5 ml). The organic layer was washed with an aqueous saturated sodium bicarbonate solution, dried over  $\text{MgSO}_4$  and concentrated *in vacuo*. The residue was dissolved in toluene. Crystallization by addition of n-hexane gave 190 mg of 1-iso-butyryl-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine (Compound No. 34) as a white crystal, m.p. 101-104 °C. NMR( $\text{CDCl}_3$ )  $\delta$  : 1.19(d, J=6.6Hz, 6H), 2.4-2.9(m, 1H), 2.98(d, J=5.4Hz, 3H), 4.88(s, 2H), 7.47(s, 1H)

#### Example 13

**[0098]** To a mixture of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitroguanidine (200 mg),  $\text{K}_2\text{CO}_3$  (420 mg) and  $\text{CH}_3\text{CN}$  (13 ml) was added phenyl chlorothionoformate (260 mg) dropwise in 3 minutes at 3 °C under stirring. After stirring at room temperature for 4 hours, water (10 ml) was added to the mixture which was extracted with  $\text{CHCl}_3$  (20 ml). The organic layer was dried over  $\text{MgSO}_4$  and evaporated *in vacuo*. The residue was crystallized from AcOEt-Et<sub>2</sub>O to afford 230 mg of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine (Compound No. 44) as a white crystal, m.p. 161-162 °C. NMR( $\text{CDCl}_3$ )  $\delta$  : 2.91(s, 3H), 3.14(s, 3H), 4.81(d, J=15.6Hz, 1H), 5.54(d, J=15.6Hz, 1H), 6.9-7.7(m, 6H)

#### Example 14

**[0099]** To a solution of N-(2-chloro-5-thiazolylmethyl)-N-methoxycarbonyl-S-methyl-N'-nitroisothiurea (500 mg) in MeOH (5 ml) was added 40 % methylamine-methanol solution (120 mg) dropwise below -10 °C and the mixture was stirred at the same temperature. Precipitated crystals were collected by filtration and dried to afford 230 mg of 1-(2-chloro-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidine (Compound No. 19) as a white crystal. The filtrate was concentrated to leave the residue to which MeOH (3 ml) was added. The product (Compound No. 19, 140 mg) was obtained after drying. The properties, i.e. m.p., NMR and IR, of the product are identical with those of the compound prepared according to Example 3.



Example 15

**[0100]** The procedure of Example 11 was repeated replacing the N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitro-N-propionyl-isothiourea and toluene with N-t-butoxycarbonyl-N-(2-chloro-5-thiazolylmethyl)-S-methyl-N'-nitroisothiourea and AcOEt to obtain 1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine (Compound No. 54) as a pale yellow oil. NMR(CDCI<sub>3</sub>)  $\delta$  : 1.53(s, 9H), 2.98(d, J=5.4Hz, 3H), 4.93(s, 2H), 7.51(s, 1H), 9.80(br, 1H)

**[0101]** The following compounds listed in Table 5 below were prepared according to the procedures of Examples 1-15 or the analogues of the present invention. The compounds listed in Table 5 include those prepared in the above-mentioned Examples.

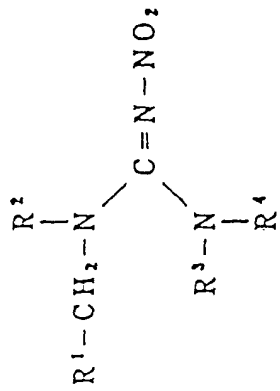
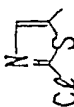
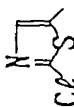
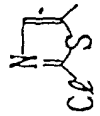







Table 5

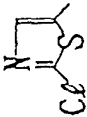

Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR (solvent) δ :
1		-CN	Me	Me	152-155	1	(CDCl <sub>3</sub> ): 3.10(s, 6H), 4.83(s, 2H), 7.63(s, 1H)
2		COOPh	COOPh	Me	(oil)	2	(CDCl <sub>3</sub> ): 3.24(s, 3H), 5.15(s, 2H), 6.7-7.7 (m, 11H)

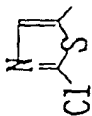
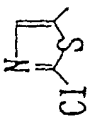
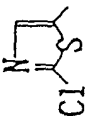
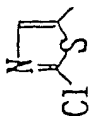
Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) $\delta$ :
6		PhOCO	H	Me	106-108	3	(CDCl <sub>3</sub> ): 3.20(d, 3H), 5.05(s, 2H), 6.5~7.8(m, 6H), 9.5~10.0(br, 1H)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) $\delta$ :
7			H	Me	115-117	3	(CDCl <sub>3</sub> ): 1.85(d, J=6Hz, 3H), 3.10(d, 3H), 4.95(d, 2H), 6.55(q, J=6Hz, 1H), 7.53(s, 1H), 9.6~10.0 (br, 1H)
8			H	Me	140-141	5	(CDCl <sub>3</sub> ): 2.91(d, 3H), 2.95(s, 6H), 4.93(s, 2H), 7.45(s, 1H), 9.3~9.7 (br, 1H)
9			H	Me	130-131	6	(CDCl <sub>3</sub> ): 2.92(d, 3H), 3.20~3.75(m, 8H), 4.92 (s, 2H), 7.46(s, 1H), 9.2~9.7(br, 1H)

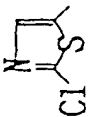
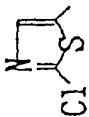
Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) δ :
11			H	Me	151-152	6	(CDCl <sub>3</sub> ): 1.50~2.30(  , 4H), 2.90(d, 3H), 3.2~3.6(  , 4H), 4.97(s, 2H), 7.48(s, 1H), 9.50~9.90(br, 1H)


Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method. (Corres. to Ex. No.)	NMR(solvent) $\delta$ :
19		MeOCO	H	Me	134-135	3	(CDCl <sub>3</sub> ): 2.97(s, 3H), 3.88(s, 3H), 4.98(s, 2H), 7.52(s, 1H), 9.80(br, 1H)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) δ :
27		PhCH <sub>2</sub> OCO	H	Me	(oil)	3	(CDCl <sub>3</sub> ): 2.89(d, J=5Hz, 3H), 4.95(s, 2H), 5.25(s, 2H), 7.37(s, 6H), 9.76(br, 1H)
28		MeSO <sub>2</sub>	Me,	Me	82-86	10	(CDCl <sub>3</sub> ): 3.07(s, 6H), 3.18(s, 3H), 4.76(s, 2H), 7.54(s, 1H)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) δ :
44		PhOCS	Me	Me	161-162	13	(CDCl <sub>3</sub> ): 2.91(s, 3H), 3.14(s, 3H), 4.81(d, J=15.6Hz, 1H), 5.54(d, J=15.6Hz, 1H), 6.9~7.7(m, 6H)
45		EtOCO	H	Me	111-112	12	(CDCl <sub>3</sub> ): 1.36(t, J=7.2Hz, 3H), 2.97(d, J=6Hz, 3H), 4.35(q, J=7.2Hz, 2H), 4.99(s, 2H), 7.54(s, 1H), 9.85(br, 1H)
46		nPrOCO	H	Me	96-97.5	12	(CDCl <sub>3</sub> ): 0.97(t, J=7.2Hz, 3H), 1.43~2.00(m, 2H), 2.97(d, J=5.4Hz, 3H), 4.23(t, J=7.2Hz, 2H), 4.98(s, 2H), 7.53(s, 1H), 9.82(br, 1H)
47		iPrOCO	H	Me	111-115	12	(CDCl <sub>3</sub> ): 1.31(d, J=7.2Hz, 6H), 2.97(d, J=5.4Hz, 3H), 4.80~5.33(m, 1H), 4.99(s, 2H), 7.52(s, 1H), 9.82(br, 1H)



Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres.. to Ex. No.)	NMR(solvent) $\delta$ :
48		<i>n</i> BuOCO	H	Me	Oil	12	(CDCl <sub>3</sub> ): 0.7~1.1(m, 3H), 1.1~1.9(m, 4H), 2.98(d, J=5.4Hz, 3H), 3.90~4.45(m, 2H), 4.97(s, 2H), 7.50(s, 1H), 9.82(br, NH)
49		<i>i</i> BuOCO	H	Me	Oil	12	(CDCl <sub>3</sub> ): 0.95(d, J=6.6Hz, 6H), 1.6~2.3(m, 1H), 2.98(d, J=5.4Hz, 3H), 4.05(d, J=6.6Hz, 2H), 4.98(s, 2H), 7.51(s, 1H), 9.80(br, 1H)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	mp(°C)	Preparation Method (Corres. to Ex. No.)	NMR(solvent) $\delta$ :
54		<sup>t</sup> BuOCO	H	Me	(oil)	15	(CDCl <sub>3</sub> ): 1.53(s, 9H), 2.98(d, J=5.4Hz, 3H), 4.93(s, 2H), 7.51(s, 1H), 9.80(br, 1H)

Example 18

**[0102]** A dust was prepared by well-mixing Compound No. 6 (3 wt%), white carbon (3 wt%) and clay (94 wt%).

## Example 19

[0103] Granules were prepared by thoroughly pulverizing and well-mixing Compound No. 7 (10 wt%), sodium ligninsulfonate (5 wt%) and clay (85 wt%), kneading the mixture with water, granulating and drying the resultant.

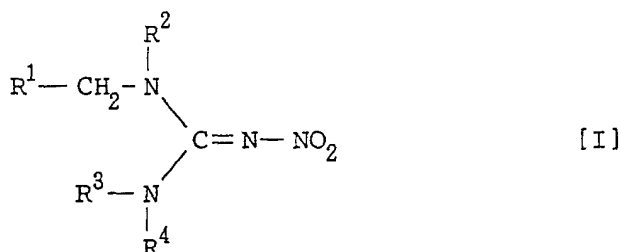
## Example 21

[0104] A pesticidal-fungicidal dust was prepared by well-mixing Compound No. 19 (0.275 wt%), validamycin (0.33 wt%), white carbon (0.5 wt%) and clay (98.895 wt%).

## Claims

Claims for the following Contracting States : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

1. A compound of the formula:



wherein R<sup>1</sup> is a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different, and are selected from C<sub>1-15</sub> alkyl group, C<sub>3-10</sub> cycloalkyl group, C<sub>2-10</sub> alkenyl group, C<sub>2-10</sub> alkynyl group, C<sub>3-10</sub> cycloalkenyl group, C<sub>6-10</sub> aryl group, C<sub>7-10</sub> aralkyl group, phenethyl group, nitro, hydroxy, mercapto, oxo, thioxo, cyano, carbamoyl, carboxyl, C<sub>1-4</sub> alkoxy, C<sub>6-10</sub> aryloxy group, C<sub>1-4</sub> alkylthio group, C<sub>6-10</sub> arylthio group, C<sub>1-4</sub> alkylsulfinyl group, C<sub>6-10</sub> arylsulfinyl group, C<sub>1-4</sub> alkylsulfonyl group, C<sub>6-10</sub> arylsulfonyl group, amino, C<sub>2-6</sub> acylamino group, mono- or di-C<sub>1-4</sub> alkylamino group, C<sub>3-6</sub> cycloalkylamino group, C<sub>6-10</sub> arylamino group, C<sub>2-4</sub> acyl group, C<sub>6-10</sub> arylcarbonyl group and five- to six-membered heterocyclic group each containing 1 to 4 heteroatoms selected from oxygen, sulfur and nitrogen, and the above C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl, C<sub>7-10</sub> aralkyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> arylthio, C<sub>6-10</sub> arylsulfinyl, C<sub>6-10</sub> arylsulfonyl, C<sub>6-10</sub> arylamino or heterocyclic group may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>2-4</sub> alkynyl, C<sub>6-10</sub> aryl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio and phenylthio, and the above C<sub>1-15</sub> alkyl group, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylsulfinyl, C<sub>1-4</sub> alkylsulfonyl, amino, mono- or di-C<sub>1-4</sub> alkylamino or C<sub>3-6</sub> cycloalkylamino may be substituted with 1 to 5 substituent groups which may be the same or different selected from, halogen, hydroxyl, C<sub>1-4</sub> alkoxy and C<sub>1-4</sub> alkylthio,

R<sup>2</sup> is cyano,

a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup>

wherein n is an integer of 1 or 2 and R<sup>13</sup> is a hydrocarbon group selected from C<sub>1-C15</sub> alkyl, C<sub>3-C10</sub> cycloalkyl, C<sub>2-C10</sub> alkenyl, C<sub>2-C10</sub> alkynyl, C<sub>3-C10</sub> cycloalkenyl, C<sub>6-C10</sub> aryl or C<sub>7-C10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula:  $-P(=O)R^{14}R^{15}$

wherein  $R^{14}$  and  $R^{15}$  are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom, selected from  $C_1$ - $C_{15}$  alkoxy,  $C_3$ - $C_{10}$  cycloalkoxy,  $C_2$ - $C_{10}$  alkenyloxy,  $C_2$ - $C_{10}$  alkynyloxy,  $C_3$ - $C_{10}$  cycloalkenyloxy,  $C_6$ - $C_{10}$  aryloxy or  $C_7$ - $C_{10}$  aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for  $R^1$ ; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ; a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $R^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ,

a group of the formula:  $-CO-OR^6$

wherein  $R^6$  is a hydrocarbon group consisting of  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $R^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ , or

a group of the formula:  $-CO-NR^7R^8$

wherein  $R^7$  and  $R^8$ , which are the same or different, are each independently hydrogen; a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $R^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ; or  $R^7$  and  $R^8$ , taken together with the nitrogen atom to which they are attached are a cyclic amino group which may be substituted with 1 to 4  $C_{1-4}$  alkyl groups;

$R^3$  is hydrogen,  
cyano,

a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, group optionally having 1 to 5 substituents defined as above for  $R^1$ ; (except for one substituted with an oxo group at the binding site),

a group of the formula:  $-S(O)_n-R^{13}$

wherein  $n$  is an integer of 0, 1 or 2 and  $R^{13}$  is a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ,

a group of the formula:  $-P(=O)R^{14}R^{15}$

wherein  $R^{14}$  and  $R^{15}$  are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom selected from  $C_1$ - $C_{15}$  alkoxy,  $C_3$ - $C_{10}$  cycloalkoxy,  $C_2$ - $C_{10}$  alkenyloxy,  $C_2$ - $C_{10}$  alkynyloxy,  $C_3$ - $C_{10}$  cycloalkenyloxy,  $C_6$ - $C_{10}$  aryloxy or  $C_7$ - $C_{10}$  aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for  $R^1$ ; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ; a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $R^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $R^1$ ;

a group of the formula:  $-\text{CO}-\text{R}^9$

wherein  $\text{R}^9$  is hydrogen; a hydrocarbon group selected from  $\text{C}_1\text{-C}_{15}$  alkyl,  $\text{C}_3\text{-C}_{10}$  cycloalkyl,  $\text{C}_2\text{-C}_{10}$  alkenyl,  $\text{C}_2\text{-C}_{10}$  alkynyl,  $\text{C}_3\text{-C}_{10}$  cycloalkenyl,  $\text{C}_6\text{-C}_{10}$  aryl or  $\text{C}_7\text{-C}_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $\text{R}^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $\text{R}^1$ ;

a group of the formula:  $-\text{CO}-\text{OR}^{10}$

wherein  $\text{R}^{10}$  is a hydrocarbon group selected from  $\text{C}_1\text{-C}_{15}$  alkyl,  $\text{C}_3\text{-C}_{10}$  cycloalkyl,  $\text{C}_2\text{-C}_{10}$  alkynyl,  $\text{C}_2\text{-C}_{10}$  alkenyl,  $\text{C}_3\text{-C}_{10}$  cycloalkenyl,  $\text{C}_6\text{-C}_{10}$  aryl or  $\text{C}_7\text{-C}_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $\text{R}^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $\text{R}^1$ ; or

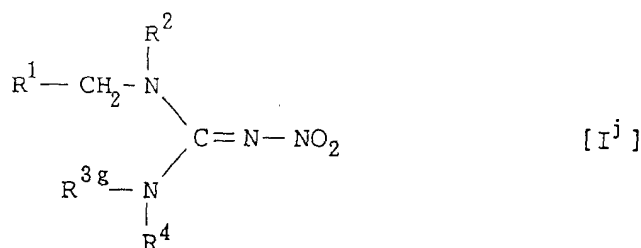
a group of the formula:  $-\text{CO}-\text{NR}^{11}\text{R}^{12}$

wherein  $\text{R}^{11}$  and  $\text{R}^{12}$ , which are the same or different, are each independently hydrogen; a hydrocarbon group selected from  $\text{C}_1\text{-C}_{15}$  alkyl,  $\text{C}_3\text{-C}_{10}$  cycloalkyl,  $\text{C}_2\text{-C}_{10}$  alkenyl,  $\text{C}_2\text{-C}_{10}$  alkynyl,  $\text{C}_3\text{-C}_{10}$  cycloalkenyl,  $\text{C}_6\text{-C}_{10}$  aryl or  $\text{C}_7\text{-C}_{10}$  aralkyl, this group optionally having 1 to 5 substituents defined as above for  $\text{R}^1$ ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for  $\text{R}^1$ ; or  $\text{R}^{11}$  and  $\text{R}^{12}$ , taken together with the nitrogen atom to which they are attached are a cyclic amino group which may be substituted with 1 to 4  $\text{C}_{1-4}$  alkyl groups; and

$\text{R}^4$  is hydrogen or a  $\text{C}_1\text{-C}_4$  alkyl group;

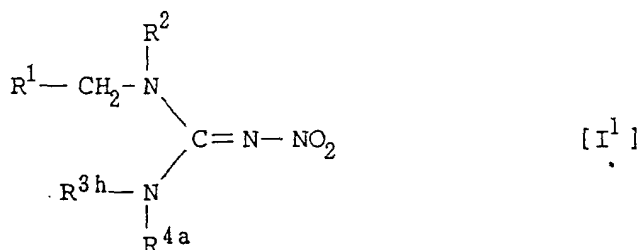
or a salt thereof.

2. A compound according to claim 1 of the formula:



wherein  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^4$  are as defined in claim 1 and  $\text{R}^{3g}$  is hydrogen or a hydrocarbon group selected from  $\text{C}_{1-15}$  alkyl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{2-10}$  alkenyl,  $\text{C}_{2-10}$  alkynyl,  $\text{C}_{3-10}$  cycloalkenyl,  $\text{C}_{6-10}$  aryl or  $\text{C}_{7-10}$  aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for  $\text{R}^1$  (except for one substituted with an oxo group at the binding site), or a salt thereof.

3. A compound according to claim 1 of the formula:



wherein R<sup>1</sup> and R<sup>2</sup> are as defined in claim 1 and R<sup>3h</sup> is hydrogen, and R<sup>4a</sup> is a C<sub>1</sub>-C<sub>4</sub> alkyl group; or a salt thereof.

4. A compound according to claim 1, wherein the heterocyclic group R<sup>1</sup> is a five- or six-membered nitrogen-containing heterocyclic group.
5. A compound according to claim 1, wherein the heterocyclic group R<sup>1</sup> is 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxide of 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxide of 2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxide of 3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]-pyridazinyl, oxoimidazolyl, dioxotriazinyl, pyrrolidinyl, piperidyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzoimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indoliziny, quinoliziny, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuran-yl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl, or phenoxazinyl.
6. A compound according to claim 1, wherein R<sup>1</sup> is a five- or six-membered nitrogen-containing heterocyclic group which is substituted with 1 to 5 substituents selected from C<sub>1-15</sub> alkyl; C<sub>3-10</sub> cycloalkyl; C<sub>2-10</sub> alkenyl; C<sub>2-10</sub> alkynyl; C<sub>3-10</sub> cycloalkenyl; C<sub>6-10</sub> aryl; C<sub>7-10</sub> aralkyl; nitro; hydroxyl; mercapto; oxo; thioxo; cyano; carbamoyl; carboxyl; C<sub>1-4</sub> alkoxycarbonyl; sulfo; halogens; C<sub>1-4</sub> alkoxy; C<sub>6-10</sub> aryloxy; C<sub>1-4</sub> alkylthio; C<sub>6-10</sub> arylthio; C<sub>1-4</sub> alkylsulfinyl; C<sub>6-10</sub> arylsulfinyl; C<sub>1-4</sub> alkylsulfonyl; C<sub>6-10</sub> arylsulfonyl; amino; C<sub>2-6</sub> acylamino; mono- or di-C<sub>1-4</sub> alkylamino; C<sub>6-10</sub> arylamino; C<sub>2-4</sub> acyl; C<sub>6-10</sub> arylcarbonyl; 2- or 3-thienyl; 2- or 3-furyl; 3-, 4- or 5-pyrazolyl; 2-, 4- or 5-thiazolyl; 3-, 4- or 5-isothiazolyl; 2-, 4- or 5-oxazolyl; 3-, 4- or 5-isoxazolyl; 2-, 4- or 5-imidazolyl; 1,2,3- or 1,2,4-triazolyl; 1H- or 2H-tetrazolyl; 2-, 3- or 4-pyridyl; 2-, 4- or 5-pyrimidinyl; 3- or 4-pyridazinyl; quinolyl; isoquinolyl; and indolyl.
7. A compound according to claim 1, wherein R<sup>1</sup> is 2-, 3- or 4-pyridyl or 2-, 4- or 5-thiazolyl, which is substituted with 1 to 4 halogens.
8. A compound according to claim 1, wherein R<sup>3</sup> is a C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, or C<sub>3-10</sub> cycloalkenyl group.
9. A compound according to claim 1, wherein R<sup>3</sup> is cyano, a group of the formula: -CO-R<sup>9</sup> as defined in claim 1, a group of the formula: -CO-OR<sup>10</sup> as defined in claim 1, or a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup> as defined in claim 1,
10. A compound according to claim 9, wherein R<sup>9</sup> is a C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, or C<sub>3-10</sub> cycloalkenyl group.
11. A compound according to claim 9, wherein R<sup>10</sup> is C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl group.
12. A compound according to claim 1, wherein R<sup>3</sup> is hydrogen; C<sub>1-4</sub> alkyl; C<sub>1-7</sub> acyl; C<sub>7-12</sub> arylcarbonyl; C<sub>2-7</sub> alkoxy-carbonyl; C<sub>7-12</sub> aryloxy-carbonyl; C<sub>8-13</sub> aralkyloxy-carbonyl; C<sub>2-7</sub> alkylaminocarbonyl; di-C<sub>1-4</sub> alkylaminocarbonyl; saturated cyclic aminocarbonyl; or C<sub>1-4</sub> alkylsulfonyl.
13. A compound according to claim 1, wherein R<sup>2</sup> is cyano, a group of the formula: -CO-OR<sup>6</sup> as defined in claim 1, or

a group of the formula:  $-\text{CO}-\text{NR}^7\text{R}^8$  as defined in claim 1,

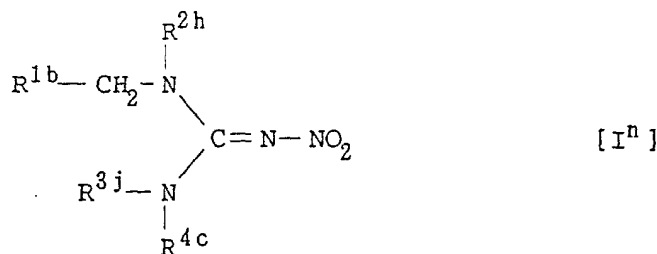
14. A compound according to claim 1, wherein  $\text{R}^2$  is a group of the formula:  $-\text{CO}-\text{OR}^6$  as defined in claim 1.

15. A compound according to claim 14, wherein  $\text{R}^6$  is  $\text{C}_{1-15}$  alkyl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{2-10}$  alkenyl,  $\text{C}_{2-10}$  alkynyl,  $\text{C}_{3-10}$  cycloalkenyl,  $\text{C}_{6-10}$  aryl or  $\text{C}_{7-10}$  aralkyl group.

16. A compound according to claim 1, wherein  $\text{R}^2$  is  $\text{C}_{2-7}$  alkoxy carbonyl.

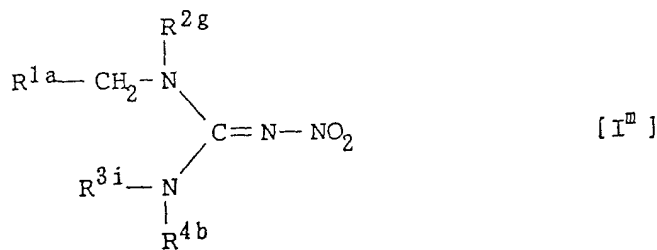
17. A compound according to claim 1, wherein  $\text{R}^4$  is  $\text{C}_{1-4}$  alkyl.

18. A compound according to claim 1 of the formula:



wherein  $\text{R}^{1b}$  is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl;  $\text{R}^{2h}$  is  $\text{C}_{2-7}$  alkoxy carbonyl;  $\text{R}^{3j}$  is hydrogen; and  $\text{R}^{4c}$  is methyl or ethyl; or a salt thereof.

19. A compound according to claim 1 of the formula:



wherein

$\text{R}^{1a}$  is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

$\text{R}^{2g}$  is  $\text{C}_{2-7}$  alkoxy carbonyl,  $\text{C}_{7-12}$  aryloxy carbonyl,

$\text{C}_{8-13}$  aralkyloxy carbonyl,

$\text{C}_{2-7}$  alkylaminocarbonyl, di- $\text{C}_{1-4}$  alkylaminocarbonyl, alicyclic aminocarbonyl, or  $\text{C}_{1-4}$  alkylsulfonyl,

$\text{R}^{3i}$  is hydrogen,  $\text{C}_{1-4}$  alkyl,  $\text{C}_{7-12}$  arylcarbonyl,

$\text{C}_{7-12}$  aryloxy carbonyl,  $\text{C}_{8-13}$  aralkyloxy carbonyl,

$\text{C}_{2-7}$  alkylaminocarbonyl, di- $\text{C}_{1-4}$  alkylaminocarbonyl, alicyclic aminocarbonyl, or  $\text{C}_{1-4}$  alkylsulfonyl, and

$\text{R}^{4b}$  is hydrogen or  $\text{C}_{1-4}$  alkyl; or a salt thereof.

20. A compound according to claim 19, wherein  $\text{R}^{1a}$  is halogenopyridyl or halogenothiazolyl.

21. A compound according to claim 19 or a salt thereof, which is selected from

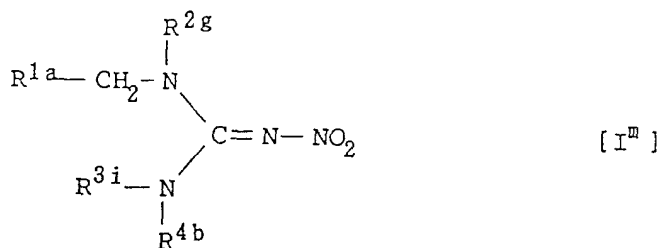
1-(2-chloro-5-thiazolylmethyl)-1-cyano-3,3-dimethyl-2-nitroguanidine,

1-(2-chloro-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidine,

1-(2-chloro-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-1-methanesulphonyl-2-nitroguanidine.

1-(2-chloro-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidine,  
1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-1-ethoxycarbonyl-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-1-n-propoxycarbonyl-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-1-isopropoxycarbonyl-3-methyl-2-nitroguanidine,  
1-(n-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
1-(isobutoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-1-(1-chloroethoxycarbonyl)-3-methyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-pyrrolidinocarbonyl-2-nitroguanidine, and  
1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-benzylloxycarbonyl-2-nitroguanidine.

**22.** A compound of the formula:



wherein

R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

R<sup>2g</sup> is C<sub>7-12</sub> aryloxythiocarbonyl,

R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> arylcarbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxy carbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and

R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof.

**23.** A compound according to claim 22, wherein R<sup>1a</sup> is halogenopyridyl or halogenothiazolyl.

24. A compound according to claim 22 or a salt thereof which is 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine.

25. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound according to any of Claims 1-21 or a salt thereof in admixture with an acceptable carrier, vehicle, diluent or excipient.

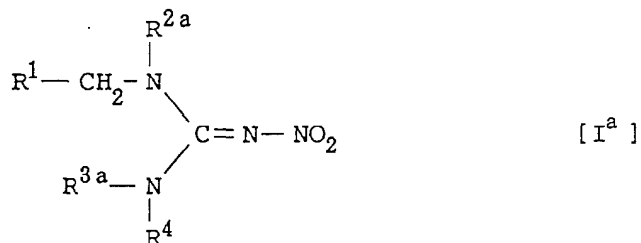
26. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound according to any of claims 22 to 24 in admixture with an acceptable carrier, vehicle, diluent or excipient.

27. A use of the substituted nitroguanidine compound according to Claim 1 or 22 or a salt thereof for the manufacture of a pesticidal composition.

28. A method for controlling a pest which comprises applying an effective amount of the substituted nitroguanidine compound according to Claim 1 or 22 or a salt thereof to prevent said pest.

**29.** A process for preparing a substituted nitroguanidine compound of the formula [I<sup>a</sup>]:



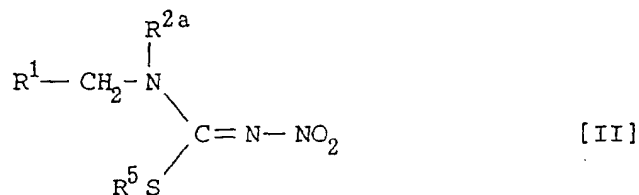


wherein R<sup>1</sup> is as defined in claim 1,

R<sup>2a</sup> corresponds to R<sup>2</sup> as defined in claim 1

R<sup>3a</sup> is hydrogen or a hydrocarbon group selected from C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R<sup>1</sup>, and

R<sup>4</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl group; or a salt thereof, which comprises reacting a compound of the formula [II]:

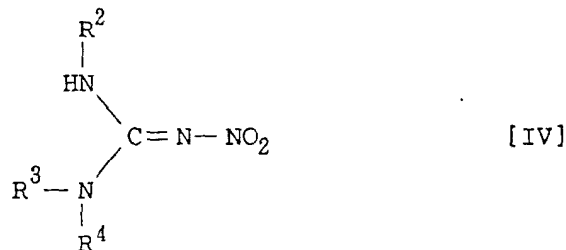


wherein R<sup>1</sup> and R<sup>2a</sup> have the same meanings as defined above and R<sup>5</sup> is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted acyl group, or a salt thereof, with a compound of the formula [III]:



wherein R<sup>3a</sup> and R<sup>4</sup> have the same meanings as defined above, or a salt thereof.

**30.** A process for preparing a substituted nitroguanidine compound of the formula [I] according to Claim 1 or a salt thereof, which comprises reacting a compound of the formula [IV]:

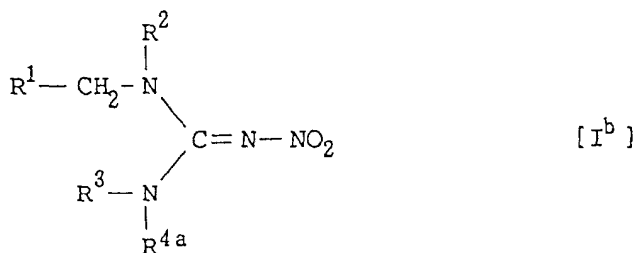


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [V]:

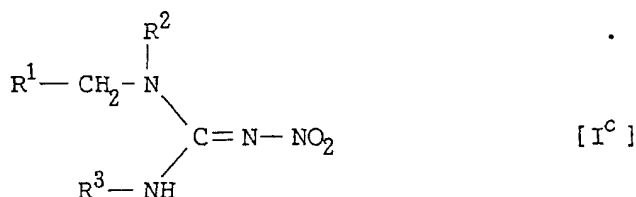


wherein R<sup>1</sup> has the same meaning as defined above and Y is a leaving group.

31. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>b</sup>]:



wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1,  
 R<sup>4a</sup> is a C<sub>1</sub>-C<sub>4</sub> alkyl group; or a salt thereof,  
 which comprises reacting a compound of the formula [I<sup>c</sup>]:

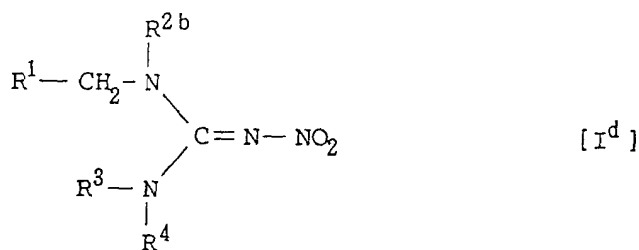


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VI]:



wherein each group has the same meaning as defined above.

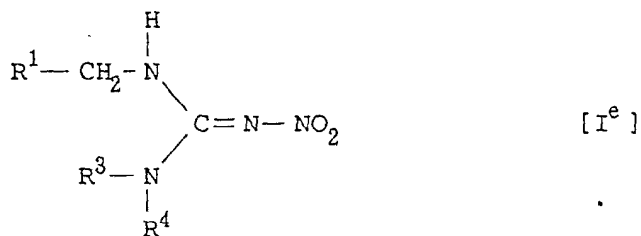
32. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>d</sup>]:



wherein

R<sup>1</sup> is as defined in claim 1  
 R<sup>2b</sup> corresponds to R<sup>2</sup> as defined in claim 1  
 R<sup>3</sup> is as defined in claim 1  
 R<sup>4</sup> is as defined in claim 1, or salt thereof,

which comprises reacting a compound of the formula [I<sup>e</sup>]:

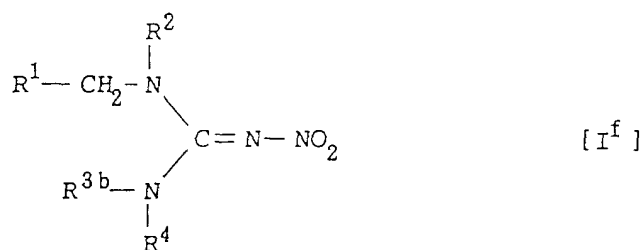


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VII]:



wherein each group has the same meaning as defined above.

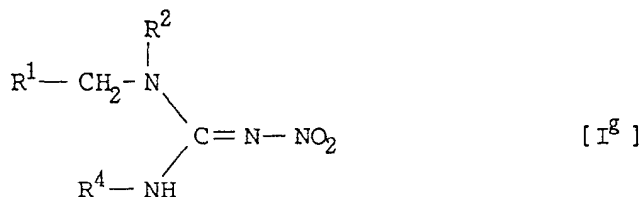
33. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>f</sup>]:



wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> have the same meanings as defined in claim 1 and

R<sup>3b</sup> is cyano, a hydrocarbon group as defined in claim 1, a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup> as defined in claim 1, a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup> as defined in claim 1, a group of the formula: -CO-R<sup>9</sup> as defined in claim 1, a group of the formula: -CO-OR<sup>10</sup> as defined in claim 1, or a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup> as defined in claim 1, or a salt thereof,

which comprises reacting a compound of the formula [I<sup>g</sup>]:

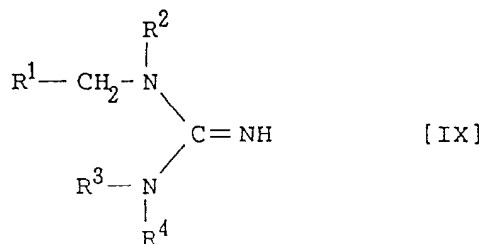


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VIII]:



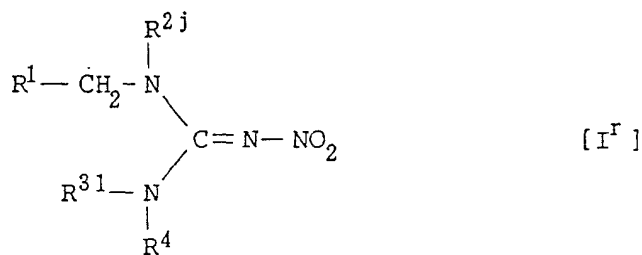
wherein each group has the same meaning as defined above.

34. A process for preparing a substituted nitroguanidine compound of the formula [I] according to Claim 1 or a salt thereof, which comprises reacting a compound of the formula [IX]:



wherein each group has the same meaning as defined above, or a salt thereof, with a nitrating reagent.

35. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>r</sup>]:



wherein

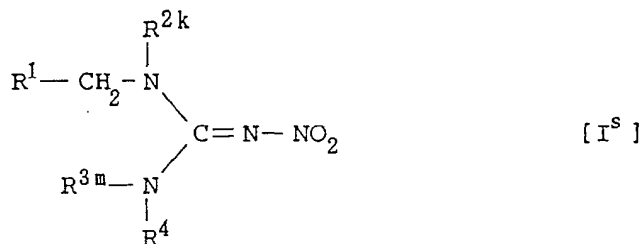
R<sup>1</sup> and R<sup>4</sup> have the same meanings as defined in claim 1, and

R<sup>2j</sup> corresponds to R<sup>2</sup> as defined in claim 1,

R<sup>3l</sup> corresponds to R<sup>3</sup> as defined in claim 1

provided that at least one of R<sup>2j</sup> and R<sup>3l</sup> is the substituted or unsubstituted aminocarbonyl group as defined above; or a salt thereof,

which comprises reacting a compound of the formula [I<sup>s</sup>]:



wherein

R<sup>1</sup> and R<sup>4</sup> have the same meanings as defined in claim 1, and

R<sup>2k</sup> corresponds to R<sup>2</sup> as defined in claim 1,

R<sup>3m</sup> corresponds to R<sup>3</sup> as defined in claim 1,

provided that at least one of R<sup>2k</sup> and R<sup>3m</sup> is a reactive ester which is -CO-OR<sup>6</sup> or -CO-OR<sup>10</sup>, respectively; or a salt thereof, with a compound of the formula :



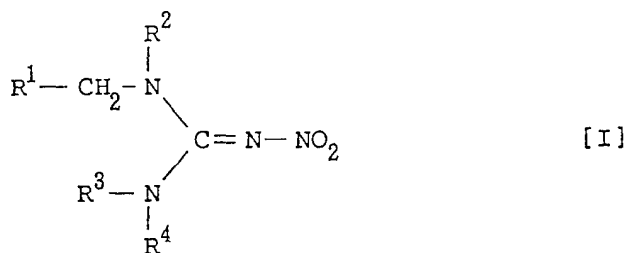
or



wherein each group has the same meaning as defined above.

#### Claims for the following Contracting State : ES

1. A process for preparing a substituted nitroguanidine compound of the formula [I]:



wherein R<sup>1</sup> is a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different, and are selected from C<sub>1-15</sub> alkyl group, C<sub>3-10</sub> cycloalkyl group, C<sub>2-10</sub> alkenyl group, C<sub>2-10</sub> alkynyl group, C<sub>3-10</sub> cycloalkenyl group, C<sub>6-10</sub> aryl group, C<sub>7-10</sub> aralkyl group, phenethyl group, nitro, hydroxy, mercapto, oxo, thioxo, cyano, carbamoyl, carboxyl, C<sub>1-4</sub> alkoxycarbonyl, sulfo, halogen, C<sub>1-4</sub> alkoxy group, C<sub>6-10</sub> aryloxy group, C<sub>1-4</sub> alkylthio group, C<sub>6-10</sub> arylthio group, C<sub>1-4</sub> alkylsulfinyl group, C<sub>6-10</sub> arylsulfinyl group, C<sub>1-4</sub> alkylsulfonyl group, C<sub>6-10</sub> arylsulfonyl group, amino, C<sub>2-6</sub> acylamino group, mono- or di-C<sub>1-4</sub> alkylamino group, C<sub>3-6</sub> cycloalkylamino group, C<sub>6-10</sub> arylamino group, C<sub>2-4</sub> acyl group, C<sub>6-10</sub> arylcarbonyl group and five- to six-membered heterocyclic group each containing 1 to 4 heteroatoms selected from oxygen, sulfur and nitrogen, and the above C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl, C<sub>7-10</sub> aralkyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> arylthio, C<sub>6-10</sub> arylsulfinyl, C<sub>6-10</sub> arylsulfonyl, C<sub>6-10</sub> arylamino or heterocyclic group may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>2-4</sub> alkynyl, C<sub>6-10</sub> aryl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio and phenylthio, and the above C<sub>1-15</sub> alkyl group, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylsulfinyl, C<sub>1-4</sub> alkylsulfonyl, amino, mono- or di-C<sub>1-4</sub> alkylamino or C<sub>3-6</sub> cycloalkylamino may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C<sub>1-4</sub> alkoxy and C<sub>1-4</sub> alkylthio,

R<sup>2</sup> is cyano,

a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup>

wherein n is an integer of 1 or 2 and R<sup>13</sup> is a hydrocarbon group selected from C<sub>1-C15</sub> alkyl, C<sub>3-C10</sub> cycloalkyl, C<sub>2-C10</sub> alkenyl, C<sub>2-C10</sub> alkynyl, C<sub>3-C10</sub> cycloalkenyl, C<sub>6-C10</sub> aryl or C<sub>7-C10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup>

wherein R<sup>14</sup> and R<sup>15</sup> are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom selected from C<sub>1-C15</sub> alkoxy, C<sub>3-C10</sub> cycloalkoxy, C<sub>2-C10</sub> alkenyloxy, C<sub>2-C10</sub> alkynyloxy, C<sub>3-</sub>

C<sub>10</sub> cycloalkenyloxy, C<sub>6</sub>-C<sub>10</sub> aryloxy or C<sub>7</sub>-C<sub>10</sub> aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents and are defined as above for R<sup>1</sup>; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents and are ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>;

a group of the formula: -CO-OR<sup>6</sup>

wherein R<sup>6</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>, or a group of the formula: -CO-NR<sup>7</sup>R<sup>8</sup>

wherein R<sup>7</sup> and R<sup>8</sup>, which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; or R<sup>7</sup> and R<sup>8</sup>, taken together with the nitrogen atom to which they are attached are a cyclic amino group, which may be substituted with 1 to 4 C<sub>1-4</sub> alkyl groups;

R<sup>3</sup> is hydrogen,

cyano,

a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>2</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>3</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup> (except for one substituted with an oxo group at the binding site),

a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup>

wherein n is an integer of 0, 1 or 2 and R<sup>13</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>;

a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup>

wherein R<sup>14</sup> and R<sup>15</sup> are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom, selected from C<sub>1</sub>-C<sub>15</sub> alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkoxy, C<sub>2</sub>-C<sub>10</sub> alkenyloxy, C<sub>2</sub>-C<sub>10</sub> alkynyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkenyloxy, C<sub>6</sub>-C<sub>10</sub> aryloxy or C<sub>7</sub>-C<sub>10</sub> aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

a group of the formula: -CO-R<sup>9</sup>

wherein R<sup>9</sup> is hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally hav-

ing 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>,

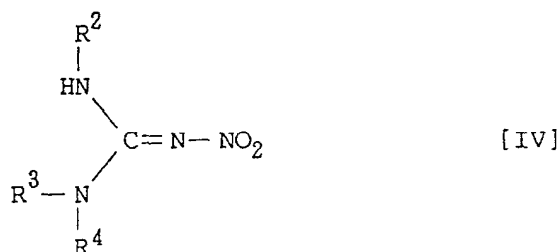
a group of the formula: -CO-OR<sup>10</sup>

wherein R<sup>10</sup> is a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>, or

a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup>

wherein R<sup>11</sup> and R<sup>12</sup>, which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents defined as above for R<sup>1</sup>; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R<sup>1</sup>; or R<sup>11</sup> and R<sup>12</sup>, taken together with the nitrogen atom to which they are attached are a cyclic amino group, which may be substituted with 1 to 4 C<sub>1-4</sub> alkyl groups; and

R<sup>4</sup> is hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl group; or a salt thereof, which comprises reacting a compound of the formula [IV]:

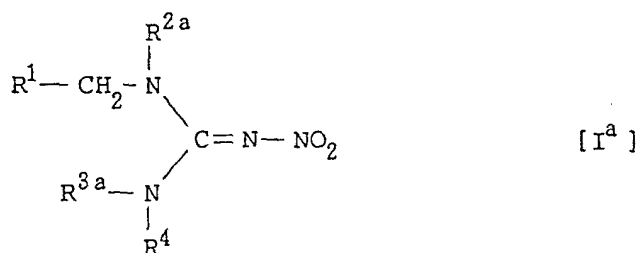


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [V]:



wherein R<sup>1</sup> has the same meaning as defined above and Y is a leaving group.

2. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>a</sup>]:



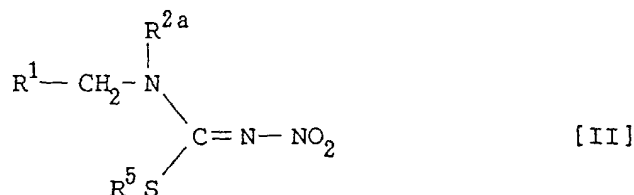
wherein

R<sup>1</sup> and R<sup>4</sup> are as defined in claim 1

R<sup>2a</sup> corresponds to R<sup>2</sup> as defined in claim 1,

R<sup>3a</sup> is hydrogen or a hydrocarbon group selected from C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R<sup>1</sup>, or a salt thereof,

which comprises reacting a compound of the formula [II]:

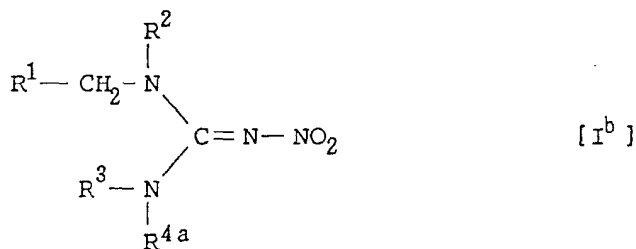


wherein R<sup>1</sup> and R<sup>2a</sup> have the same meanings as defined above and R<sup>5</sup> is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted acyl group, or a salt thereof, with a compound of the formula [III]:



wherein R<sup>3a</sup> and R<sup>4</sup> have the same meanings as defined above, or a salt thereof.

3. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>b</sup>]:

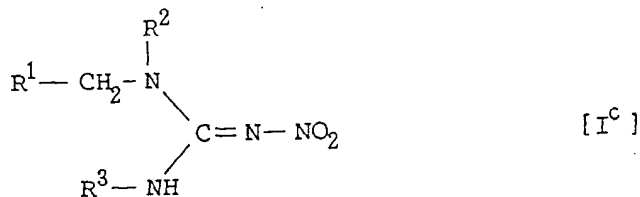


wherein

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1,

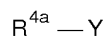
R<sup>4a</sup> is a C<sub>1</sub>-C<sub>4</sub> alkyl group; or a salt thereof,

which comprises reacting a compound of the formula [I<sup>c</sup>]:



wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VI]:

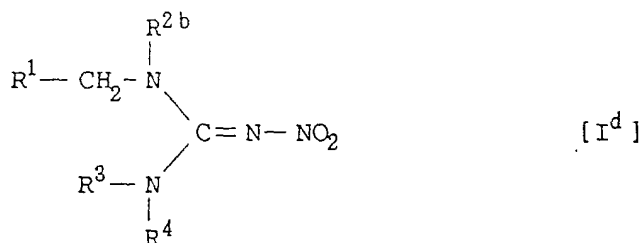




[VI]

wherein each group has the same meaning as defined above.

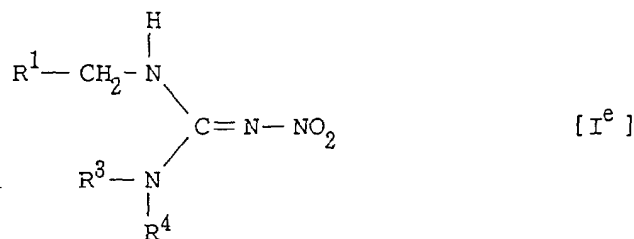
4. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>d</sup>]:



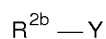
wherein

R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1

R<sup>2b</sup> corresponds to R<sup>2</sup> as defined in claim 1, or salt thereof, which comprises reacting a compound of the formula [I<sup>e</sup>]:



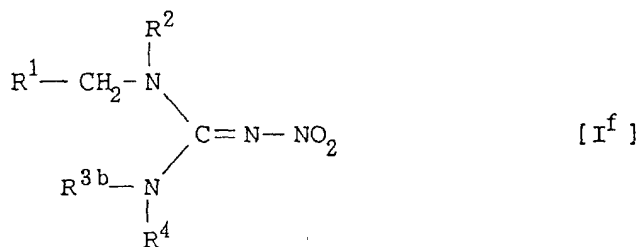
wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VII]:



[VII]

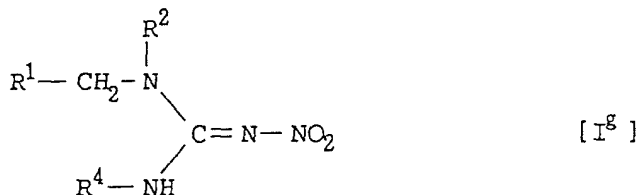
wherein each group has the same meaning as defined above.

5. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>f</sup>]:



wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> have the same meanings as defined in claim 1 and R<sup>3b</sup> is cyano, a hydrocarbon group selected from C<sub>1</sub>-C<sub>15</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, C<sub>2</sub>-C<sub>10</sub> alkynyl, C<sub>3</sub>-C<sub>10</sub> cy-

cloalkenyl, C<sub>6</sub>-C<sub>10</sub> aryl or C<sub>7</sub>-C<sub>10</sub> aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R<sup>1</sup> (except for one substituted with an oxo group at the binding site),  
 a group of the formula: -S(O)<sub>n</sub>-R<sup>13</sup> as defined in claim 1, a group of the formula: -P(=O)R<sup>14</sup>R<sup>15</sup> as defined in claim 1, a group of the formula: -CO-R<sup>9</sup> as defined in claim 1, a group of the formula: -CO-OR<sup>10</sup> as defined in claim 1,  
 a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup> as defined in claim 1 or a salt thereof,  
 which comprises reacting a compound of the formula [I<sup>g</sup>]:

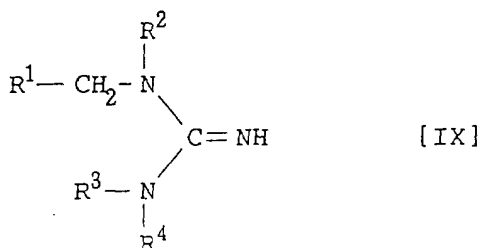


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VIII]:



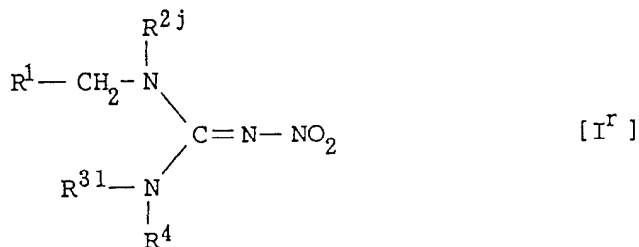
wherein each group has the same meaning as defined above.

6. A process for preparing a substituted nitroguanidine compound of the formula [I] according to Claim 1 or a salt thereof, which comprises reacting a compound of the formula [IX]:



wherein each group has the same meaning as defined above, or a salt thereof, with a nitrating reagent.

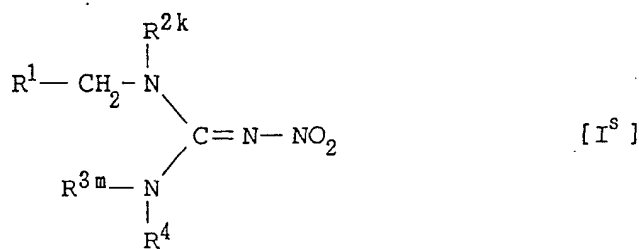
7. A process for preparing a substituted nitroguanidine compound of the formula [I<sup>r</sup>]:



wherein

R<sup>1</sup> and R<sup>4</sup> have the same meanings as defined in claim 1, and  
 R<sup>2j</sup> : corresponds to R<sup>2</sup> as defined in claim 1  
 R<sup>3l</sup> corresponds to R<sup>3</sup> as defined in claim 1,

provided that at least one of  $R^{2j}$  and  $R^{3l}$  is the substituted or unsubstituted aminocarbonyl group as defined above; or a salt thereof,  
which comprises reacting a compound of the formula  $[I^s]$ :



wherein

$R^1$  and  $R^4$  have the same meanings as defined above, and

$R^{2k}$  corresponds to  $R^2$  as defined above

$R^{3m}$  corresponds to  $R^3$  as defined above,

provided that at least one of  $R^{2k}$  and  $R^{3m}$  is a reactive ester which is  $-CO-OR^6$  or  $-CO-OR^{10}$ , respectively; or a salt thereof, with a compound of the formula :

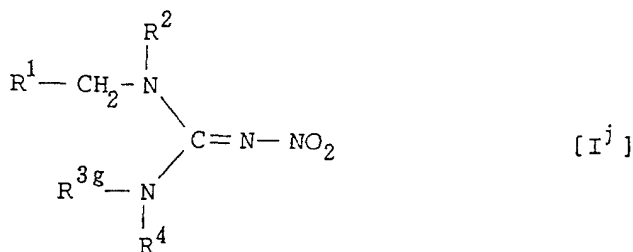


or



wherein each group has the same meaning as defined above.

8. The process according to claim 1 in which the compound is represented by the formula:



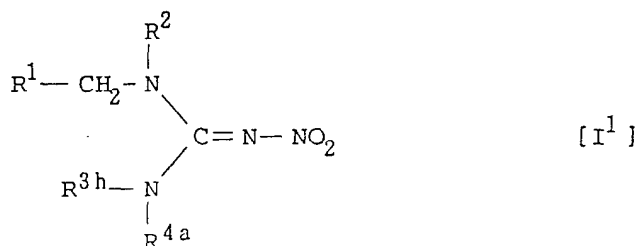
wherein

$R^1$ ,  $R^2$  and  $R^4$  are as defined in claim 1

$R^{3g}$  is hydrogen or a hydrocarbon group selected from  $C_1$ - $C_{15}$  alkyl,  $C_3$ - $C_{10}$  cycloalkyl,  $C_2$ - $C_{10}$  alkenyl,  $C_2$ - $C_{10}$  alkynyl,  $C_3$ - $C_{10}$  cycloalkenyl,  $C_6$ - $C_{10}$  aryl or  $C_7$ - $C_{10}$  aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for  $R^1$  (except for one substituted with an oxo group at the binding site),

or a salt thereof.

9. The process according to claim 1 in which the compound is represented by the formula:



wherein

R<sup>1</sup> and R<sup>2</sup> are as defined in claim 1

R<sup>3h</sup>, is hydrogen, and R<sup>4a</sup> is a C<sub>1</sub>-C<sub>4</sub> alkyl group;

or a salt thereof.

10. The process according to claim 1, in which the heterocyclic group R<sup>1</sup> is a five- or six-membered nitrogen-containing heterocyclic group.

11. The process according to claim 1 in which the heterocyclic group R<sup>1</sup> is 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxide of 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxide of 2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxide of 3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]-pyridazinyl, oxoimidazolyl, dioxotriazinyl, pyrrolidinyl, piperidyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzoimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indoliziny, quinoliziny, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuran-yl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl, or phenoxazinyl.

12. The process according to claim 1, wherein R<sup>1</sup> is a five- or six-membered nitrogen containing heterocyclic group which is substituted with 1 to 5 substituents selected from C<sub>1-15</sub> alkyl; C<sub>3-10</sub> cycloalkyl; C<sub>2-10</sub> alkenyl; C<sub>2-10</sub> alkynyl; C<sub>3-10</sub> cycloalkenyl; C<sub>6-10</sub> aryl; C<sub>7-10</sub> aralkyl; nitro; hydroxyl; mercapto; oxo; thioxo; cyano; carbamoyl; carboxyl; C<sub>1-4</sub> alkoxy; C<sub>6-10</sub> aryloxy; C<sub>1-4</sub> alkylthio; C<sub>6-10</sub> arylthio; C<sub>1-4</sub> alkylsulfanyl; C<sub>6-10</sub> arylsulfanyl; C<sub>1-4</sub> alkylsulfonyl; C<sub>6-10</sub> arylsulfonyl; amino; C<sub>2-6</sub> acylamino; mono- or di-C<sub>1-4</sub> alkylamino; C<sub>6-10</sub> arylamino; C<sub>2-4</sub> acyl; C<sub>6-10</sub> arylcarbonyl; 2- or 3-thienyl; 2- or 3-furyl; 3-, 4- or 5-pyrazolyl; 2-, 4- or 5-thiazolyl; 3-, 4- or 5-isoxazolyl; 2-, 4- or 5-oxazolyl; 3-,4- or 5-isoxazolyl; 2-, 4- or 5-imidazolyl; 1,2,3- or 1,2,4-triazolyl; 1H- or 2H-tetrazolyl; 2-, 3- or 4-pyridyl; 2-, 4- or 5-pyrimidinyl; 3- or 4-pyridazinyl; quinolyl; isoquinolyl; and indolyl.

13. The process according to claim 1 wherein R<sup>1</sup> is 2-, 3- or 4-pyridyl or 2-, 4- or 5-thiazolyl, which is substituted with 1 to 4 halogens.

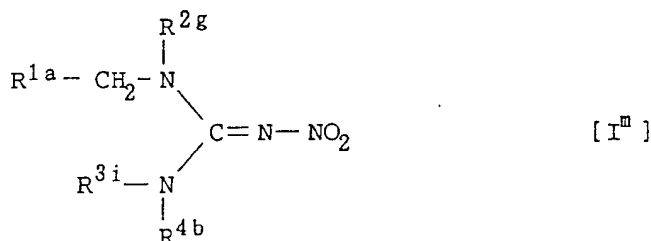
14. The process according to claim 1 wherein R<sup>3</sup> is a C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, or C<sub>3-10</sub> cycloalkenyl group.

15. The process according to claim 1 wherein R<sup>3</sup> is cyano, a group of the formula: -CO-R<sup>9</sup> as defined in claim 1, a group of the formula: -CO-OR<sup>10</sup> as defined in claim 1, or a group of the formula: -CO-NR<sup>11</sup>R<sup>12</sup> as defined in claim 1.

16. The process according to claim 15, wherein R<sup>9</sup> is a C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, or C<sub>3-10</sub> cycloalkenyl group.

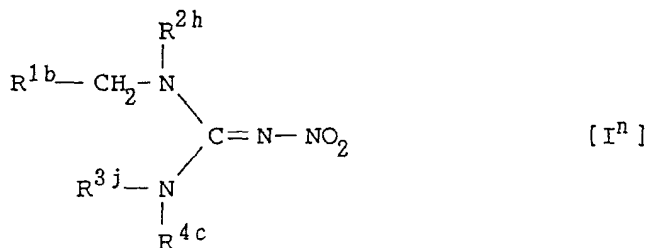
17. The process according to claim 15, wherein R<sup>3</sup> is a group of the formula: -CO-OR<sup>10</sup> as defined in claim 1.

18. The process according to claim 17, wherein R<sup>10</sup> is C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl group.
19. The process according to claim 1 wherein R<sup>3</sup> is hydrogen; C<sub>1-4</sub> alkyl; C<sub>1-7</sub> acyl; C<sub>7-12</sub> arylcarbonyl; C<sub>2-7</sub> alkoxy carbonyl; C<sub>7-12</sub> aryloxy carbonyl; C<sub>8-13</sub> aralkyloxy carbonyl; C<sub>2-7</sub> alkylaminocarbonyl; di-C<sub>1-4</sub> alkylaminocarbonyl; saturated cyclic aminocarbonyl; or C<sub>1-4</sub> alkylsulfonyl.
20. The process according to claim 1 wherein R<sup>2</sup> is cyano,  
a group of the formula: -CO-OR<sup>6</sup> as defined in claim 1  
or  
a group of the formula: -CO-NR<sup>7</sup>R<sup>8</sup> as defined in claim 1.
21. The process according to claim 20, wherein R<sup>6</sup> is C<sub>1-15</sub> alkyl, C<sub>3-10</sub> cycloalkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, C<sub>3-10</sub> cycloalkenyl, C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl group.
22. The process according to claim 1 wherein R<sup>2</sup> is C<sub>2-7</sub> alkoxy carbonyl.
23. The process according to claim 1 wherein R<sup>4</sup> is C<sub>1-4</sub> alkyl.
24. The process according to claim 1 in which the compound is represented by the formula:



wherein

- R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,  
R<sup>2g</sup> is C<sub>2-7</sub> alkoxy carbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxy carbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl,  
R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> aryl carbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxy carbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and  
R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof.
- 25.** The process according to claim 24, wherein R<sup>1a</sup> is halogenopyridyl or halogenothiazolyl.
- 26.** The process according to claim 1 in which the compound is represented by the formula:

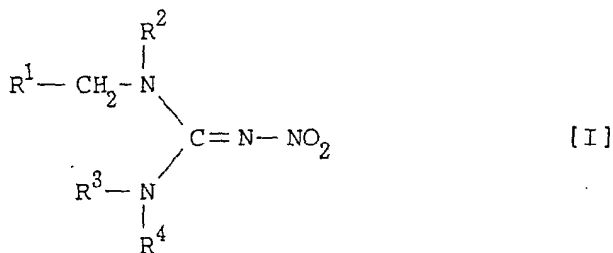


wherein R<sup>1b</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl; R<sup>2h</sup> is C<sub>2-7</sub> alkoxy carbonyl; R<sup>3j</sup> is hydrogen; and R<sup>4c</sup> is methyl or ethyl; or a salt thereof.

27. The process according to claim 24 in which the compound or a salt thereof, is selected from

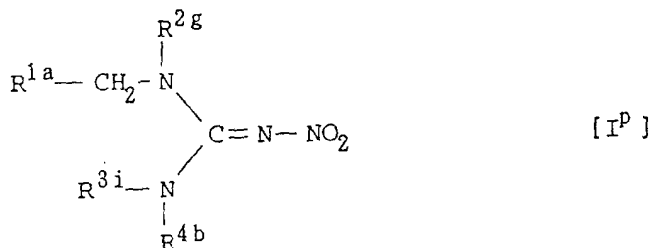
1-(2-chloro-5-thiazolylmethyl)-1-cyano-3,3-dimethyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-1-methanesulphonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidine,  
 1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-ethoxycarbonyl-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-n-propoxycarbonyl-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-isopropoxycarbonyl-3-methyl-2-nitroguanidine,  
 1-(n-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
 1-(isobutoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-1-(1-chloroethoxycarbonyl)-3-methyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-pyrrolidinocarbonyl-2-nitroguanidine, and  
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-benzyloxycarbonyl-2-nitroguanidine.

28. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound of the formula [I]:



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1, or a salt thereof,  
 in admixture with an acceptable carrier, vehicle, diluent or excipient.

29. A pesticidal composition comprising an effective amount of a substituted nitroguanidine compound of the formula:



wherein

R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

R<sup>2g</sup> is C<sub>7-12</sub> aryloxythiocarbonyl,

R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> arylcarbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxycarbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and

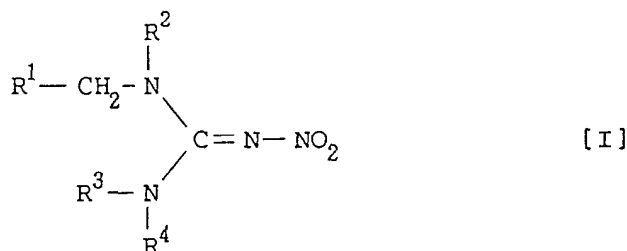
R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof,

in admixture with an acceptable carrier, vehicle, diluent or excipient.

30. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound or a salt thereof made according to Claim 27 or a salt thereof in admixture with an acceptable carrier, vehicle, diluent or excipient.

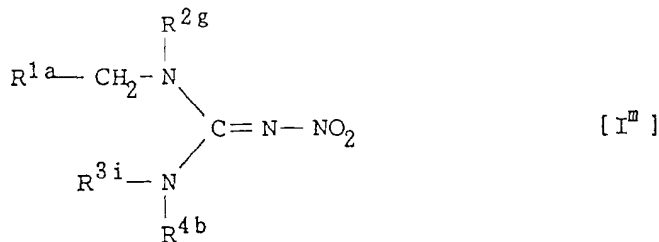
31. A pesticidal composition comprising an effective amount of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine- or a salt thereof in admixture with an acceptable carrier, vehicle, diluent or excipient.

32. A use of a substituted nitroguanidine compound of the formula [I]:



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1 or a salt thereof, for the manufacture of a pesticidal composition.

33. A use of a substituted nitroguanidine of the formula:



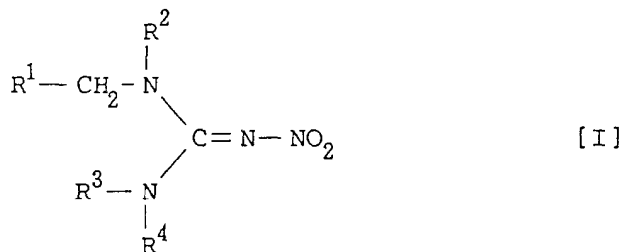
wherein

R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl, R<sup>2g</sup> is C<sub>7-12</sub> aryloxythiocarbonyl, R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> arylcarbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxy carbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof for the manufacture of a pesticidal composition.

34. A use of a compound according to claim 33, wherein R<sup>1a</sup> is halogenopyridyl or halogenothiazolyl.

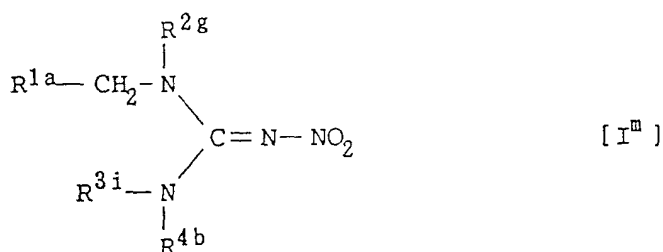
35. A use of a compound according to claim 33 or a salt thereof which is 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine.

36. A method for controlling a pest which comprises applying an effective amount of a substituted nitroguanidine compound of the formula [I]:



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1  
or a salt thereof, to prevent said pest.

37. A method for controlling a pest which comprises applying an effective amount of a substituted nitroguanidine compound of the formula:



wherein

R<sup>1a</sup> is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

R<sup>2g</sup> is C<sub>7-12</sub> aryloxythiocarbonyl,

R<sup>3i</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>7-12</sub> arylcarbonyl, C<sub>7-12</sub> aryloxy carbonyl, C<sub>8-13</sub> aralkyloxycarbonyl, C<sub>2-7</sub> alkylaminocarbonyl, di-C<sub>1-4</sub> alkylaminocarbonyl, alicyclic aminocarbonyl, or C<sub>1-4</sub> alkylsulfonyl, and

R<sup>4b</sup> is hydrogen or C<sub>1-4</sub> alkyl; or a salt thereof to prevent said pest.

38. A method according to claim 37, wherein R<sup>1a</sup> is halogenopyridyl or halogenothiazolyl.

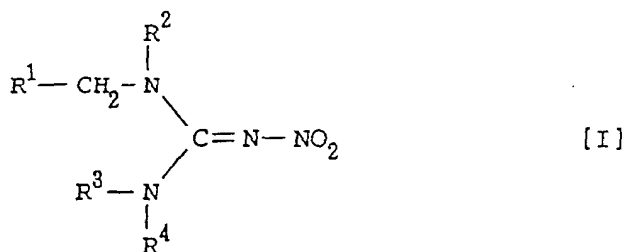
39. A method according to claim 37 wherein the compound is 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine or a salt thereof.

## Patentansprüche

Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

1. Verbindung der Formel





wobei R<sup>1</sup> eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus einer C<sub>1-15</sub>-Alkylgruppe, C<sub>3-10</sub>-Cycloalkylgruppe, C<sub>2-10</sub>-Alkenylgruppe, C<sub>2-10</sub>-Alkynylgruppe, C<sub>3-10</sub>-Cycloalkenylgruppe, C<sub>6-10</sub>-Arylgruppe, C<sub>7-10</sub>-Aralkylgruppe, Phenethylgruppe, Nitro, Hydroxy, Mercapto, Oxo, Thioxo, Cyan, Carbamoyl, Carboxy, C<sub>1-4</sub>-Alkoxy-carbonyl, Sulfo, Halogen, C<sub>1-4</sub>-Alkoxygruppe, C<sub>6-10</sub>-Aryloxygruppe, C<sub>1-4</sub>-Alkylthiogruppe, C<sub>6-10</sub>-Arylthiogruppe, C<sub>1-4</sub>-Alkylsulfinylgruppe, C<sub>6-10</sub>-Arylsulfinylgruppe, C<sub>1-4</sub>-Alkylsulfonylgruppe, C<sub>6-10</sub>-Arylsulfonylgruppe, Amino, C<sub>2-6</sub>-Acylaminogruppe, Mono- oder Di-C<sub>1-4</sub>-alkylaminogruppe, C<sub>3-6</sub>-Cycloalkylaminogruppe, C<sub>6-10</sub>-Arylaminogruppe, C<sub>2-4</sub>-Acylgruppe, C<sub>6-10</sub>-Arylcarbonylgruppe sowie einer fünf- bis sechsgliedrigen heterocyclischen Gruppe, die jeweils 1 bis 4 Heteroatome enthält, die aus Sauerstoff, Schwefel und Stickstoff ausgewählt sind;

und die obige C<sub>3-10</sub>-Cycloalkyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl-, C<sub>7-10</sub>-Aralkyl-, C<sub>6-10</sub>-Aryloxy-, C<sub>6-10</sub>-Arylthio-, C<sub>6-10</sub>-Arylsulfinyl-, C<sub>6-10</sub>-Arylsulfonyl-, C<sub>6-10</sub>-Arylamino- oder heterocyclische Gruppe mit 1 bis 5 Substituentengruppen substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogen, Hydroxy, C<sub>1-4</sub>-Alkyl, C<sub>2-4</sub>-Alkenyl, C<sub>2-4</sub>-Alkynyl, C<sub>6-10</sub>-Aryl, C<sub>1-4</sub>-Alkoxy, C<sub>1-4</sub>-Alkylthio und Phenylthio;

und die obige C<sub>1-15</sub>-Alkylgruppe, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>1-4</sub>-Alkoxy-, C<sub>1-4</sub>-Alkylthio-, C<sub>1-4</sub>-Alkylsulfinyl-, C<sub>1-4</sub>-Alkylsulfonyl-, Amino, Mono- oder Di-C<sub>1-4</sub>-alkylamino- oder C<sub>3-6</sub>-Cycloalkylaminogruppe mit 1 bis 5 Substituentengruppen substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogen, Hydroxy, C<sub>1-4</sub>-Alkoxy und C<sub>1-4</sub>-Alkylthio;

R<sup>2</sup> folgendes ist: Cyan;

eine Gruppe der Formel -S(O)<sub>n</sub>-R<sup>13</sup>,

wobei n eine ganze Zahl von 1 oder 2 ist und R<sup>13</sup> eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind;

eine Gruppe der Formel -P(=O)R<sup>14</sup>R<sup>15</sup>,

wobei R<sup>14</sup> und R<sup>15</sup> jeweils unabhängig voneinander folgendes sind: Hydroxy, eine über ein Sauerstoffatom gebundene Kohlenwasserstoffgruppe, die aus C<sub>1</sub>-C<sub>15</sub>-Alkoxy, C<sub>3</sub>-C<sub>10</sub>-Cycloalkoxy, C<sub>2</sub>-C<sub>10</sub>-Alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-Alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyloxy, C<sub>6</sub>-C<sub>10</sub>-Aryloxy oder C<sub>7</sub>-C<sub>10</sub>-Aralkyloxy ausgewählt ist, wobei diese Kohlenwasserstoffgruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für R<sup>1</sup> definiert sind; eine Heterocyclyloxygruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind; eine Kohlenwasserstoffgruppe, die aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substitu-

enten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-\text{CO}-\text{OR}^6$ ,

wobei  $R^6$  eine Kohlenwasserstoffgruppe ist, die aus  $\text{C}_1\text{-C}_{15}$ -Alkyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkyl,  $\text{C}_2\text{-C}_{10}$ -Alkenyl,  $\text{C}_2\text{-C}_{10}$ -Alkynyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkenyl,  $\text{C}_6\text{-C}_{10}$ -Aryl oder  $\text{C}_7\text{-C}_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder

eine Gruppe der Formel  $-\text{CO}-\text{NR}^7\text{R}^8$ ,

wobei  $R^7$  und  $R^8$  gleich oder verschieden sind und jeweils unabhängig voneinander folgendes sind: Wasserstoff; eine Kohlenwasserstoffgruppe, die ausgewählt ist aus  $\text{C}_1\text{-C}_{15}$ -Alkyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkyl,  $\text{C}_2\text{-C}_{10}$ -Alkenyl,  $\text{C}_2\text{-C}_{10}$ -Alkynyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkenyl,  $\text{C}_6\text{-C}_{10}$ -Aryl oder  $\text{C}_7\text{-C}_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder  $R^7$  und  $R^8$  zusammen mit dem Stickstoffatom, an das sie gebunden sind, eine cyclische Aminogruppe sind, die mit 1 bis 4  $\text{C}_{1-4}$ -Alkylgruppen substituiert sein kann;

$R^3$  folgendes ist: Wasserstoff;

Cyan;

eine Kohlenwasserstoffgruppe, die ausgewählt ist aus  $\text{C}_1\text{-C}_{15}$ -Alkyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkyl,  $\text{C}_2\text{-C}_{10}$ -Alkenyl,  $\text{C}_2\text{-C}_{10}$ -Alkynyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkenyl,  $\text{C}_6\text{-C}_{10}$ -Aryl oder  $\text{C}_7\text{-C}_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für  $R^1$  definiert sind (außer einer, die an der Bindungsstelle mit einer Oxo-Gruppe substituiert ist);

eine Gruppe der Formel  $-\text{S}(\text{O})_n\text{-R}^{13}$ ,

wobei  $n$  eine ganze Zahl von 0, 1 oder 2 ist und  $R^{13}$  eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus  $\text{C}_1\text{-C}_{15}$ -Alkyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkyl,  $\text{C}_2\text{-C}_{10}$ -Alkenyl,  $\text{C}_2\text{-C}_{10}$ -Alkynyl,  $\text{C}_3\text{-C}_{10}$ -Cycloalkenyl,  $\text{C}_6\text{-C}_{10}$ -Aryl oder  $\text{C}_7\text{-C}_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat; oder eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-\text{P}(=\text{O})\text{R}^{14}\text{R}^{15}$ ,

wobei  $R^{14}$  und  $R^{15}$  jeweils unabhängig voneinander folgendes sind: Hydroxy, eine über ein Sauerstoffatom gebundene Kohlenwasserstoffgruppe, die aus  $\text{C}_1\text{-C}_{15}$ -Alkoxy,  $\text{C}_3\text{-C}_{10}$ -Cycloalkoxy,  $\text{C}_2\text{-C}_{10}$ -Alkenyloxy,  $\text{C}_2\text{-C}_{10}$ -Alkynyloxy,  $\text{C}_3\text{-C}_{10}$ -Cycloalkenyloxy,  $\text{C}_6\text{-C}_{10}$ -Aryloxy oder  $\text{C}_7\text{-C}_{10}$ -Aralkyloxy ausgewählt ist, wobei diese Kohlenwasserstoffgruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; eine Heterocyclyoxygruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; eine Kohlen-

wasserstoffgruppe, die aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind;

eine Gruppe der Formel -CO-R<sup>9</sup>,

wobei R<sup>9</sup> folgendes ist: Wasserstoff; eine Kohlenwasserstoffgruppe, die aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind;

eine Gruppe der Formel -CO-OR<sup>10</sup>,

wobei R<sup>10</sup> folgendes ist: eine Kohlenwasserstoffgruppe, die aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind; oder

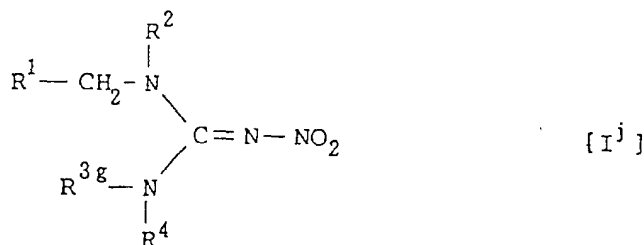
eine Gruppe der Formel -CO-NR<sup>11</sup>R<sup>12</sup>,

wobei R<sup>11</sup> und R<sup>12</sup> gleich oder verschieden sind und jeweils unabhängig voneinander folgendes sind: Wasserstoff; eine Kohlenwasserstoffgruppe, die ausgewählt ist aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind; oder R<sup>11</sup> und R<sup>12</sup> zusammen mit dem Stickstoffatom, an das sie gebunden sind, eine cyclische Aminogruppe sind, die mit 1 bis 4 C<sub>1-4</sub>-Alkylgruppen substituiert sein kann; und

R<sup>4</sup> Wasserstoff oder eine C<sub>1</sub>-C<sub>4</sub>-Alkylgruppe ist;

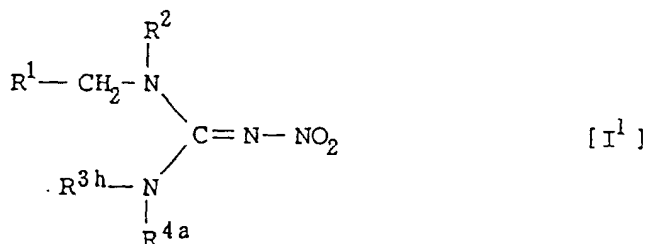
oder ein Salz davon.

## 2. Verbindung gemäß Anspruch 1 mit der Formel



wobei R<sup>1</sup>, R<sup>2</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>3g</sup> Wasserstoff oder eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus C<sub>1</sub>-C<sub>15</sub>-Alkyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, C<sub>2</sub>-C<sub>10</sub>-Alkynyl, C<sub>3</sub>-C<sub>10</sub>-Cycloalkenyl, C<sub>6</sub>-C<sub>10</sub>-Aryl oder C<sub>7</sub>-C<sub>10</sub>-Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie in Anspruch 1 für R<sup>1</sup> definiert sind (außer einer, die an der Bindungsstelle mit einer Oxogruppe substituiert ist);  
oder ein Salz davon.

3. Verbindung gemäß Anspruch 1 mit der Formel



wobei R<sup>1</sup> und R<sup>2</sup> wie in Anspruch 1 definiert sind und R<sup>3h</sup> Wasserstoff ist und R<sup>4a</sup> eine C<sub>1</sub>-C<sub>4</sub>-Alkylgruppe ist; oder ein Salz davon.

4. Verbindung gemäß Anspruch 1, wobei die heterocyclische Gruppe R<sup>1</sup> eine fünf- oder sechsgliedrige stickstoffhaltige heterocyclische Gruppe ist.

5. Verbindung gemäß Anspruch 1, wobei es sich bei der heterocyclischen Gruppe R<sup>1</sup> um folgendes handelt: 2- oder 3-Thienyl, 2- oder 3-Furyl, 2- oder 3-Pyrrolyl, 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Oxazolyl, 2-, 4- oder 5-Thiazolyl, 3-, 4- oder 5-Pyrazolyl, 2-, 4- oder 5-Imidazolyl, 3-, 4- oder 5-Isoxazolyl, 3-, 4- oder 5-Isotiazolyl, 3- oder 5-(1,2,4-Oxadiazolyl), 1,3,4-Oxadiazolyl, 3- oder 5-(1,2,4-Thiadiazolyl), 1,3,4-Thiadiazolyl, 4- oder 5-(1,2,3-Thiadiazolyl), 1,2,5-Thiadiazolyl, 1,2,3-Triazolyl, 1,2,4-Triazolyl, 1H- oder 2H-Tetrazolyl, N-Oxid von 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Pyrimidinyl, N-Oxid von 2-, 4- oder 5-Pyrimidinyl, 3- oder 4-Pyridazinyl, Pyrazinyl, N-Oxid von 3- oder 4-Pyridazinyl, Benzofuryl, Benzothiazolyl, Benzoxazolyl, Triazinyl, Oxotriazinyl, Tetrazolo[1,5-b]pyridazinyl, Triazolo[4,5-b]pyridazinyl, Oxoimidazolyl, Dioxotriazinyl, Pyrrolidinyl, Piperidyl, Pyranyl, Thiopyranyl, 1,4-Oxazinyl, Morpholinyl, 1,4-Thiazinyl, 1,3-Thiazinyl, Piperazinyl, Benzimidazolyl, Chinolyl, Isochinolyl, Cinnolyl, Phthalazinyl, Chinazolinyl, Chinoxalyl, Indoliziny, Chinoliziny, 1,8-Naphthyridinyl, Purinyl, Pteridinyl, Dibenzofuranyl, Carbazolyl, Acridinyl, Phenanthridinyl, Phenazinyl, Phenothiazinyl oder Phenoxazinyl.

6. Verbindung gemäß Anspruch 1, wobei R<sup>1</sup> eine fünf- oder sechsgliedrige stickstoffhaltige heterocyclische Gruppe ist, die mit 1 bis 5 Substituenten substituiert ist, die ausgewählt sind aus C<sub>1-15</sub>-Alkyl, C<sub>3-10</sub>-Cycloalkyl, C<sub>2-10</sub>-Alkenyl, C<sub>2-10</sub>-Alkynyl, C<sub>3-10</sub>-Cycloalkenyl, C<sub>6-10</sub>-Aryl, C<sub>7-10</sub>-Aralkyl, Nitro, Hydroxy, Mercapto, Oxo, Thioxo, Cyan, Carbamoyl, Carboxy, C<sub>1-4</sub>-Alkoxy, Carbonyl, Sulfo, Halogen, C<sub>1-4</sub>-Alkoxy, C<sub>6-10</sub>-Aryloxy, C<sub>1-4</sub>-Alkylthio, C<sub>6-10</sub>-Arylthio, C<sub>1-4</sub>-Alkylsulfanyl, C<sub>6-10</sub>-Arylsulfanyl, C<sub>1-4</sub>-Alkylsulfonyl, C<sub>6-10</sub>-Arylsulfonyl, Amino, C<sub>2-6</sub>-Acylamino, Mono- oder Di-C<sub>1-4</sub>-alkylamino, C<sub>6-10</sub>-Arylamino, C<sub>2-4</sub>-Acyl, C<sub>6-10</sub>-Arylcarbonyl; 2- oder 3-Thienyl, 2- oder 3-Furyl, 3-, 4- oder 5-Pyrazolyl, 2-, 4- oder 5-Thiazolyl, 3-, 4- oder 5-Isotiazolyl, 2-, 4- oder 5-Oxazolyl, 3-, 4- oder 5-Isoxazolyl, 2-, 4- oder 5-Imidazolyl, 1,2,3- oder 1,2,4-Triazolyl, 1H- oder 2H-Tetrazolyl, 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Pyrimidinyl, 3- oder 4-Pyridazinyl, Chinolyl, Isochinolyl und Indolyl.

7. Verbindung gemäß Anspruch 1, wobei R<sup>1</sup> 2-, 3- oder 4-Pyridyl oder 2-, 4- oder 5-Thiazolyl ist, das mit 1 bis 4 Halogenatomen substituiert ist.

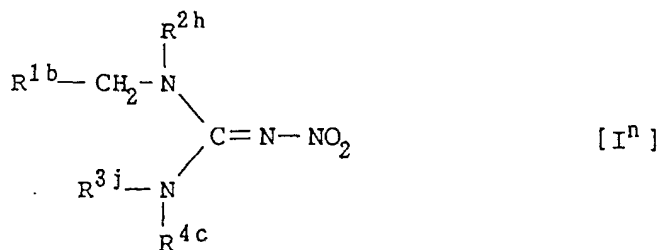
8. Verbindung gemäß Anspruch 1, wobei R<sup>3</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl- oder C<sub>3-10</sub>-Cycloalkenylgruppe ist.

9. Verbindung gemäß Anspruch 1, wobei R<sup>3</sup> folgendes ist: Cyan, eine Gruppe der Formel -CO-R<sup>9</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-OR<sup>10</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>11</sup>R<sup>12</sup>, wie sie in Anspruch 1 definiert ist.

10. Verbindung gemäß Anspruch 9, wobei R<sup>9</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl- oder

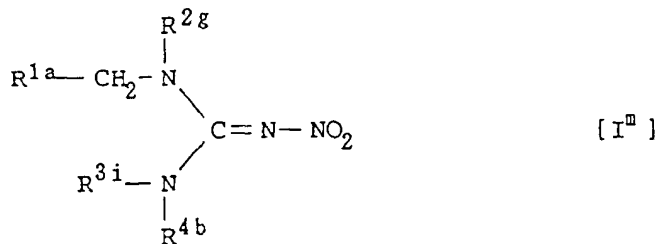
C<sub>3-10</sub>-Cycloalkenylgruppe ist.

11. Verbindung gemäß Anspruch 9, wobei R<sup>10</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl- oder C<sub>7-10</sub>-Aralkylgruppe ist.
12. Verbindung gemäß Anspruch 1, wobei R<sup>3</sup> folgendes ist: Wasserstoff, C<sub>1-4</sub>-Alkyl, C<sub>1-7</sub>-Acyl, C<sub>7-12</sub>-Arylcarbonyl, C<sub>2-7</sub>-Alkoxy carbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylaminocarbonyl, gesättigtes cyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl.
13. Verbindung gemäß Anspruch 1, wobei R<sup>2</sup> folgendes ist: Cyan, eine Gruppe der Formel -CO-OR<sup>6</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>7</sup>R<sup>8</sup>, wie sie in Anspruch 1 definiert ist.
14. Verbindung gemäß Anspruch 1, wobei R<sup>2</sup> eine Gruppe der Formel -CO-OR<sup>6</sup> ist, wie sie in Anspruch 1 definiert ist.
15. Verbindung gemäß Anspruch 14, wobei R<sup>6</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl oder C<sub>7-10</sub>-Aralkylgruppe ist.
16. Verbindung gemäß Anspruch 1, wobei R<sup>2</sup> C<sub>2-7</sub>-Alkoxy carbonyl ist.
17. Verbindung gemäß Anspruch 1, wobei R<sup>4</sup> C<sub>1-4</sub>-Alkyl ist.
18. Verbindung gemäß Anspruch 1 mit der Formel



wobei R<sup>1b</sup> Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist, R<sup>2h</sup> C<sub>2-7</sub>-Alkoxy carbonyl ist, R<sup>3j</sup> Wasserstoff ist und R<sup>4c</sup> Methyl oder Ethyl ist; oder ein Salz davon.

19. Verbindung gemäß Anspruch 1 mit der Formel



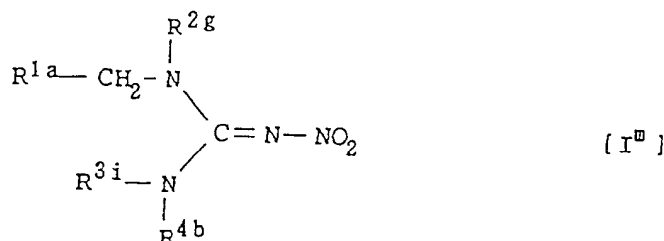
wobei R<sup>1a</sup> Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist, R<sup>2g</sup> C<sub>2-7</sub>-Alkoxy carbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylaminocarbonyl, alicyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl ist, R<sup>3i</sup> Wasserstoff, C<sub>1-4</sub>-Alkyl, C<sub>7-12</sub>-Arylcarbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylaminocarbonyl, alicyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl ist und R<sup>4b</sup> Wasserstoff oder C<sub>1-4</sub>-Alkyl ist, oder ein Salz davon.

20. Verbindung gemäß Anspruch 19, wobei R<sup>1a</sup> Halogenpyridyl oder Halogenthiazolyl ist.

21. Verbindung gemäß Anspruch 19 oder ein Salz davon, welche ausgewählt ist aus:

1-(2-Chlor-5-thiazolylmethyl)-1-cyan-3,3-dimethyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-1-methansulfonyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(t-Butoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-ethoxycarbonyl-3-methyl-2-nitroguanidin; 1-(2-Chlor-5-thiazolylmethyl)-1-n-propoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-isopropoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(n-Butoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(Isobutoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-(1-chlorethoxycarbonyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-pyrrolidinocarbonyl-2-nitroguanidin; und  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-benzyloxycarbonyl-2-nitroguanidin.

22. Verbindung der Formel



wobei  $\text{R}^{1a}$  Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist,  $\text{R}^{2g}$   $\text{C}_{7-12}$ -Aryloxythiocarbonyl ist,  $\text{R}^{3i}$  Wasserstoff,  $\text{C}_{1-4}$ -Alkyl,  $\text{C}_{7-12}$ -Arylcarbonyl,  $\text{C}_{7-12}$ -Aryloxycarbonyl,  $\text{C}_{8-13}$ -Aralkyloxycarbonyl,  $\text{C}_{2-7}$ -Alkylaminocarbonyl, Di- $\text{C}_{1-4}$ -alkylaminocarbonyl, alicyclisches Aminocarbonyl oder  $\text{C}_{1-4}$ -Alkylsulfonyl ist und  $\text{R}^{4b}$  Wasserstoff oder  $\text{C}_{1-4}$ -Alkyl ist, oder ein Salz davon.

23. Verbindung gemäß Anspruch 22, wobei  $\text{R}^{1a}$  Halogenpyridyl oder Halogenthiazolyl ist.

24. Verbindung gemäß Anspruch 22 oder ein Salz davon, wobei es sich um 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidin handelt.

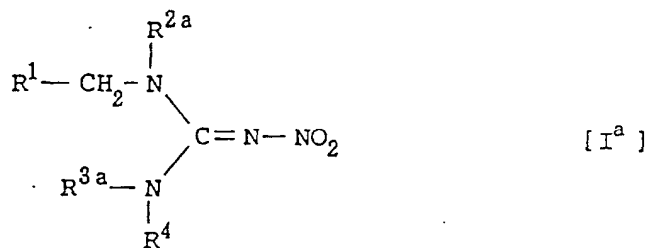
25. Pestizidzusammensetzung, die eine wirksame Menge der substituierten Nitroguanidinverbindung gemäß einem der Ansprüche 1-21 oder eines Salzes davon im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

26. Pestizidzusammensetzung, die eine wirksame Menge der substituierten Nitroguanidinverbindung gemäß einem der Ansprüche 22-24 im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

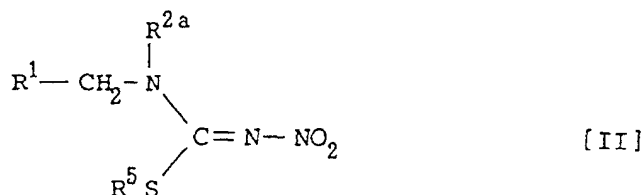
27. Verwendung der substituierten Nitroguanidinverbindung gemäß Anspruch 1 oder 22 oder eines Salzes davon zur Herstellung einer Pestizidzusammensetzung.

28. Verfahren zur Bekämpfung eines Schädling, das das Aufbringen einer wirksamen Menge der substituierten Nitroguanidinverbindung gemäß Anspruch 1 oder 22 oder eines Salzes davon umfasst, so dass der Schädling verhindert wird.

29. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>a</sup>]



wobei  $\text{R}^1$  wie in Anspruch 1 definiert ist,  $\text{R}^{2a}$   $\text{R}^2$  entspricht, wie es in Anspruch 1 definiert ist,  $\text{R}^{3a}$  Wasserstoff oder eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus  $\text{C}_1$ - $\text{C}_{15}$ -Alkyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkenyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkynyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkenyl,  $\text{C}_6$ - $\text{C}_{10}$ -Aryl oder  $\text{C}_7$ - $\text{C}_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie in Anspruch 1 für  $\text{R}^1$  definiert sind, und  $\text{R}^4$  Wasserstoff oder eine  $\text{C}_1$ - $\text{C}_4$ -Alkylgruppe ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [II]

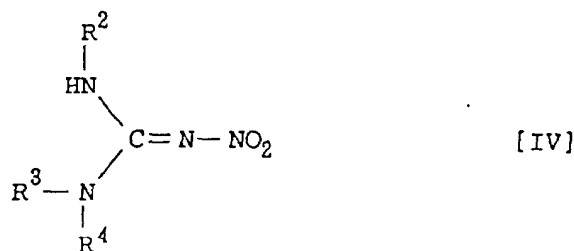


wobei  $\text{R}^1$  und  $\text{R}^{2a}$  dasselbe wie oben bedeuten und  $\text{R}^5$  eine substituierte oder unsubstituierte Kohlenwasserstoffgruppe oder eine substituierte oder unsubstituierte Acylgruppe ist, oder eines Salzes davon mit einer Verbindung der Formel [III]



wobei  $\text{R}^{3a}$  und  $\text{R}^4$  dasselbe wie oben bedeuten, oder einem Salz davon.

30. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I] gemäß Anspruch 1 oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [IV]

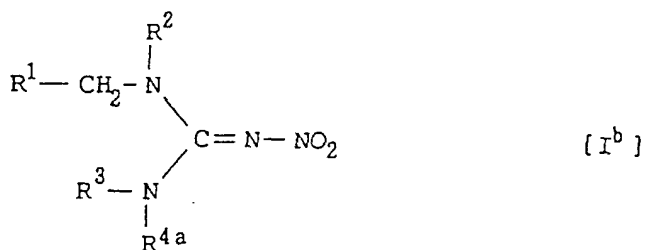


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [V]

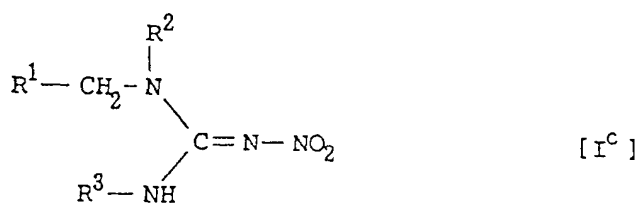


wobei  $\text{R}^1$  dasselbe wie oben bedeutet und Y eine Abgangsgruppe ist.

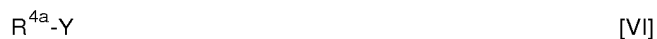
31. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>b</sup>]



wobei R<sup>1</sup>, R<sup>2</sup> und R<sup>3</sup> wie in Anspruch 1 definiert sind und R<sup>4a</sup> eine C<sub>1</sub>-C<sub>4</sub>-Alkylgruppe ist; oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>c</sup>]

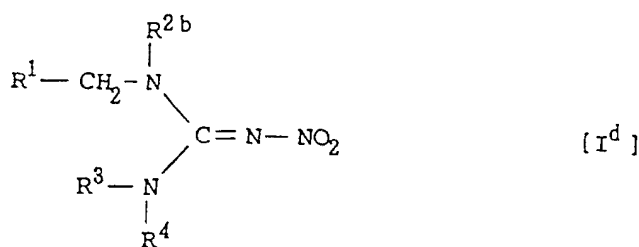


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VI]



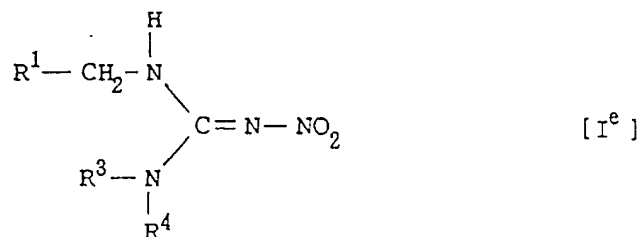
wobei jede Gruppe dasselbe wie oben bedeutet.

32. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>d</sup>]



wobei R<sup>1</sup> wie in Anspruch 1 definiert ist, R<sup>2b</sup> R<sup>2</sup> entspricht, wie es in Anspruch 1 definiert ist, R<sup>3</sup> wie in Anspruch 1 definiert ist und R<sup>4</sup> wie in Anspruch 1 definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>e</sup>]



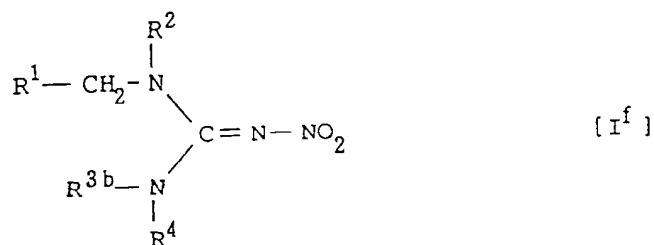


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VII]

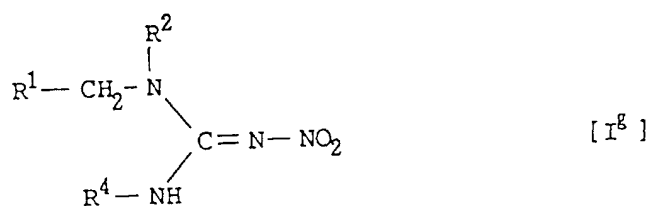


wobei jede Gruppe dasselbe wie oben bedeutet.

**33.** Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>f</sup>]



wobei R<sup>1</sup>, R<sup>2</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>3b</sup> folgendes ist: Cyan, eine Kohlenwasserstoffgruppe, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -S(O)<sub>n</sub>-R<sup>13</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -P(=O)R<sup>14</sup>R<sup>15</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-R<sup>9</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-OR<sup>10</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>11</sup>R<sup>12</sup>, wie sie in Anspruch 1 definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>g</sup>]

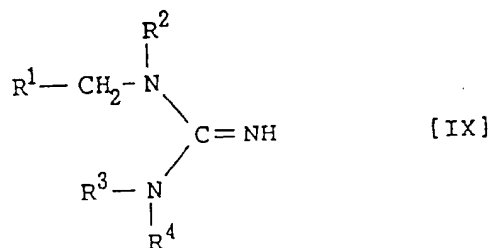


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VIII]



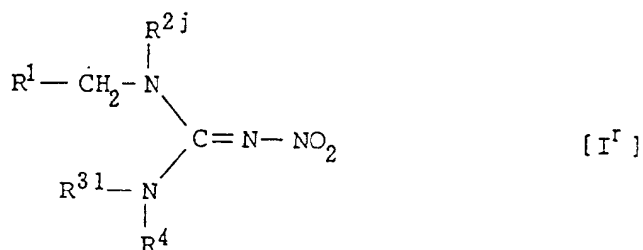
wobei jede Gruppe dasselbe wie oben bedeutet.

**34.** Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I] gemäß Anspruch 1 oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [IX]

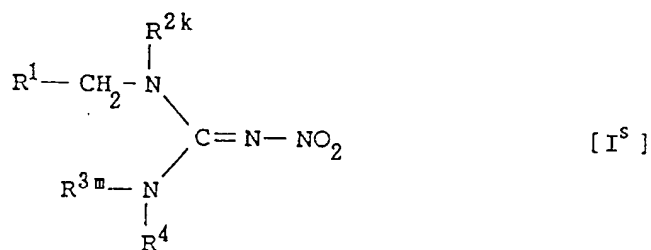


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einem Nitrierungsmittel.

35. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>r</sup>]



wobei R<sup>1</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>2j</sup> R<sup>2</sup> entspricht, wie es in Anspruch 1 definiert ist, R<sup>3l</sup> R<sup>3</sup> entspricht, wie es in Anspruch 1 definiert ist, mit der Maßgabe, dass wenigstens einer der Reste R<sup>2j</sup> und R<sup>3l</sup> die substituierte oder unsubstituierte Aminocarbonylgruppe ist, wie sie oben definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>s</sup>]



wobei R<sup>1</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>2k</sup> R<sup>2</sup> entspricht, wie es in Anspruch 1 definiert ist, R<sup>3m</sup> R<sup>3</sup> entspricht, wie es in Anspruch 1 definiert ist, mit der Maßgabe, dass wenigstens einer der Reste R<sup>2k</sup> und R<sup>3m</sup> ein reaktiver Ester ist, also -CO-OR<sup>6</sup> bzw. -CO-OR<sup>10</sup>, oder eines Salzes davon mit einer Verbindung der Formel



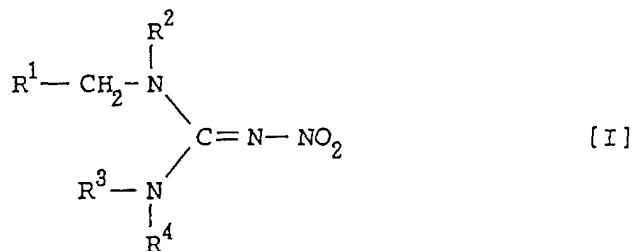
oder



wobei jede Gruppe dasselbe wie oben bedeutet.

## Patentansprüche für folgenden Vertragsstaat : ES

## 1. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I]



wobei R<sup>1</sup> eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus einer C<sub>1-15</sub>-Alkylgruppe, C<sub>3-10</sub>-Cycloalkylgruppe, C<sub>2-10</sub>-Alkenylgruppe, C<sub>2-10</sub>-Alkynylgruppe, C<sub>3-10</sub>-Cycloalkenylgruppe, C<sub>6-10</sub>-Arylgruppe, C<sub>7-10</sub>-Aralkylgruppe, Phenethylgruppe, Nitro, Hydroxy, Mercapto, Oxo, Thioxo, Cyan, Carbamoyl, Carboxy, C<sub>1-4</sub>-Alkoxy-carbonyl, Sulfo, Halogen, C<sub>1-4</sub>-Alkoxygruppe, C<sub>6-10</sub>-Aryloxygruppe, C<sub>1-4</sub>-Alkylthiogruppe, C<sub>6-10</sub>-Arylthiogruppe, C<sub>1-4</sub>-Alkylsulfinylgruppe, C<sub>6-10</sub>-Arylsulfinylgruppe, C<sub>1-4</sub>-Alkylsulfonylgruppe, C<sub>6-10</sub>-Arylsulfonylgruppe, Amino, C<sub>2-6</sub>-Acylaminogruppe, Mono- oder Di-C<sub>1-4</sub>-alkylaminogruppe, C<sub>3-6</sub>-Cycloalkylaminogruppe, C<sub>6-10</sub>-Arylaminogruppe, C<sub>2-4</sub>-Acylgruppe, C<sub>6-10</sub>-Arylcarbonylgruppe sowie einer fünf- bis sechsgliedrigen heterocyclischen Gruppe, die jeweils 1 bis 4 Heteroatome enthält, die aus Sauerstoff, Schwefel und Stickstoff ausgewählt sind;

und die obige C<sub>3-10</sub>-Cycloalkyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl-, C<sub>7-10</sub>-Aralkyl-, C<sub>6-10</sub>-Aryloxy-, C<sub>6-10</sub>-Arylthio-, C<sub>6-10</sub>-Arylsulfinyl-, C<sub>6-10</sub>-Arylsulfonyl-, C<sub>6-10</sub>-Arylamino- oder heterocyclische Gruppe mit 1 bis 5 Substituentengruppen substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogen, Hydroxy, C<sub>1-4</sub>-Alkyl, C<sub>2-4</sub>-Alkenyl, C<sub>2-4</sub>-Alkynyl, C<sub>6-10</sub>-Aryl, C<sub>1-4</sub>-Alkoxy, C<sub>1-4</sub>-Alkylthio und Phenylthio;

und die obige C<sub>1-15</sub>-Alkylgruppe, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>1-4</sub>-Alkoxy-, C<sub>1-4</sub>-Alkylthio-, C<sub>1-4</sub>-Alkylsulfinyl-, C<sub>1-4</sub>-Alkylsulfonyl-, Amino, Mono- oder Di-C<sub>1-4</sub>-alkylamino- oder C<sub>3-6</sub>-Cycloalkylaminogruppe mit 1 bis 5 Substituentengruppen substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogen, Hydroxy, C<sub>1-4</sub>-Alkoxy und C<sub>1-4</sub>-Alkylthio;

R<sup>2</sup> folgendes ist: Cyan;

eine Gruppe der Formel -S(O)<sub>n</sub>-R<sup>13</sup>,

wobei n eine ganze Zahl von 1 oder 2 ist und R<sup>13</sup> eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus C<sub>1-C15</sub>-Alkyl, C<sub>3-C10</sub>-Cycloalkyl, C<sub>2-C10</sub>-Alkenyl, C<sub>2-C10</sub>-Alkynyl, C<sub>3-C10</sub>-Cycloalkenyl, C<sub>6-C10</sub>-Aryl oder C<sub>7-C10</sub>-Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für R<sup>1</sup> definiert sind; oder eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für R<sup>1</sup> definiert sind;

eine Gruppe der Formel -P(=O)R<sup>14</sup>R<sup>15</sup>,

wobei R<sup>14</sup> und R<sup>15</sup> jeweils unabhängig voneinander folgendes sind: Hydroxy, eine über ein Sauerstoffatom gebundene Kohlenwasserstoffgruppe, die aus C<sub>1-C15</sub>-Alkoxy, C<sub>3-C10</sub>-Cycloalkoxy, C<sub>2-C10</sub>-Alkenyloxy, C<sub>2-C10</sub>-Alkynyloxy, C<sub>3-C10</sub>-Cycloalkenyloxy, C<sub>6-C10</sub>-Aryloxy oder C<sub>7-C10</sub>-Aralkyloxy ausgewählt ist, wobei diese Kohlenwasserstoffgruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für R<sup>1</sup> definiert sind; eine Heterocyclyloxygruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und

Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; eine Kohlenwasserstoffgruppe, die aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-\text{CO}-\text{OR}^6$ ,

wobei  $R^6$  eine Kohlenwasserstoffgruppe ist, die aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder

eine Gruppe der Formel  $-\text{CO}-\text{NR}^7\text{R}^8$ ,

wobei  $R^7$  und  $R^8$  gleich oder verschieden sind und jeweils unabhängig voneinander folgendes sind: Wasserstoff; eine Kohlenwasserstoffgruppe, die ausgewählt ist aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder  $R^7$  und  $R^8$  zusammen mit dem Stickstoffatom, an das sie gebunden sind, eine cyclische Aminogruppe sind, die mit 1 bis 4  $C_{1-4}$ -Alkylgruppen substituiert sein kann;

$R^3$  folgendes ist: Wasserstoff;

Cyan;

eine Kohlenwasserstoffgruppe, die ausgewählt ist aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für  $R^1$  definiert sind (außer einer, die an der Bindungsstelle mit einer Oxo-Gruppe substituiert ist);

eine Gruppe der Formel  $-\text{S}(\text{O})_n-\text{R}^{13}$ ,

wobei  $n$  eine ganze Zahl von 0, 1 oder 2 ist und  $R^{13}$  eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat; oder eine heterocyclische Gruppe ist, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-\text{P}(=\text{O})\text{R}^{14}\text{R}^{15}$ ,

wobei  $R^{14}$  und  $R^{15}$  jeweils unabhängig voneinander folgendes sind: Hydroxy, eine über ein Sauerstoffatom gebundene Kohlenwasserstoffgruppe, die aus  $C_1$ - $C_{15}$ -Alkoxy,  $C_3$ - $C_{10}$ -Cycloalkoxy,  $C_2$ - $C_{10}$ -Alkenyloxy,  $C_2$ - $C_{10}$ -Alkynyloxy,  $C_3$ - $C_{10}$ -Cycloalkenyloxy,  $C_6$ - $C_{10}$ -Aryloxy oder  $C_7$ - $C_{10}$ -Aralkyloxy ausgewählt ist, wobei diese Kohlenwasserstoffgruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; eine

Heterocyclyoxygruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; eine Kohlenwasserstoffgruppe, die aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-CO-R^9$ ,

wobei  $R^9$  folgendes ist: Wasserstoff; eine Kohlenwasserstoffgruppe ist, die aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind;

eine Gruppe der Formel  $-CO-OR^{10}$ ,

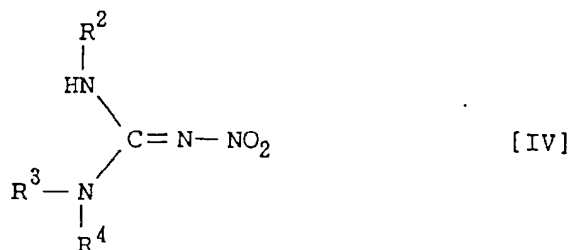
wobei  $R^{10}$  folgendes ist: eine Kohlenwasserstoffgruppe ist, die aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl ausgewählt ist, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, die wie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder

eine Gruppe der Formel  $-CO-NR^{11}R^{12}$ ,

wobei  $R^{11}$  und  $R^{12}$  gleich oder verschieden sind und jeweils unabhängig voneinander folgendes sind: Wasserstoff; eine Kohlenwasserstoffgruppe, die ausgewählt ist aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie oben für  $R^1$  definiert sind; oder eine heterocyclische Gruppe, die einen einfachen oder kondensierten Ring mit 5 bis 8 Ringgliedern in jedem Ring aufweist und in jedem Ring ein bis fünf Heteroatome aufweist, die unabhängig aus Sauerstoff, Stickstoff und Schwefel ausgewählt sind, wobei die heterocyclische Gruppe gegebenenfalls mit 1 bis 5 Substituenten substituiert sein kann, die gleich oder verschieden sein können und wie oben für  $R^1$  definiert sind; oder  $R^{11}$  und  $R^{12}$  zusammen mit dem Stickstoffatom, an das sie gebunden sind, eine cyclische Aminogruppe sind, die mit 1 bis 4  $C_{1-4}$ -Alkylgruppen substituiert sein kann; und

$R^4$  Wasserstoff oder eine  $C_1$ - $C_4$ -Alkylgruppe ist;

oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [IV]

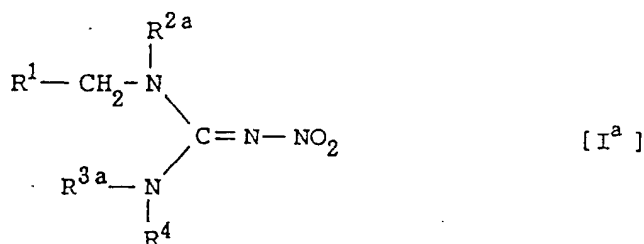


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [V]

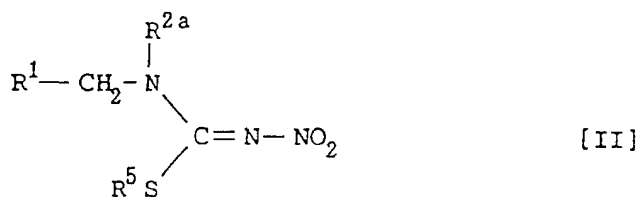


wobei  $R^1$  dasselbe wie oben bedeutet und Y eine Abgangsgruppe ist.

2. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>a</sup>]



wobei  $R^1$  und  $R^4$  wie in Anspruch 1 definiert sind,  $R^{2a}$   $R^2$  entspricht, wie es in Anspruch 1 definiert ist,  $R^{3a}$  Wasserstoff oder eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus  $C_1$ - $C_{15}$ -Alkyl,  $C_3$ - $C_{10}$ -Cycloalkyl,  $C_2$ - $C_{10}$ -Alkenyl,  $C_2$ - $C_{10}$ -Alkynyl,  $C_3$ - $C_{10}$ -Cycloalkenyl,  $C_6$ - $C_{10}$ -Aryl oder  $C_7$ - $C_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie in Anspruch 1 für  $R^1$  definiert sind, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [II]

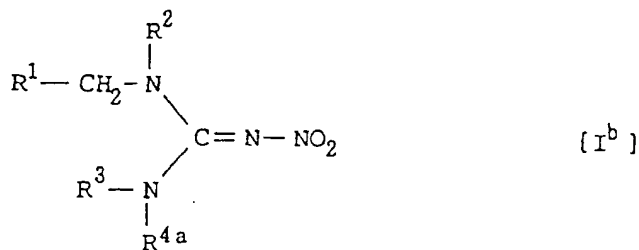


wobei  $R^1$  und  $R^{2a}$  dasselbe wie oben bedeuten und  $R^5$  eine substituierte oder unsubstituierte Kohlenwasserstoffgruppe oder eine substituierte oder unsubstituierte Acylgruppe ist, oder eines Salzes davon mit einer Verbindung der Formel [III]

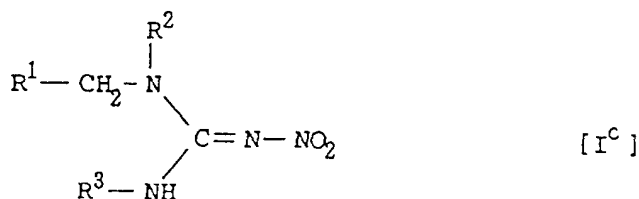


wobei  $R^{3a}$  und  $R^4$  dasselbe wie oben bedeuten, oder einem Salz davon.

3. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>b</sup>]



wobei  $\text{R}^1$ ,  $\text{R}^2$  und  $\text{R}^3$  wie in Anspruch 1 definiert sind und  $\text{R}^{4a}$  eine  $\text{C}_1$ - $\text{C}_4$ -Alkylgruppe ist; oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>c</sup>]

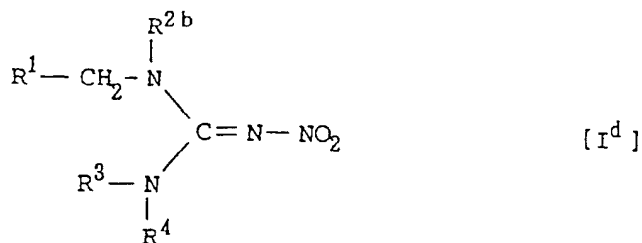


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VI]

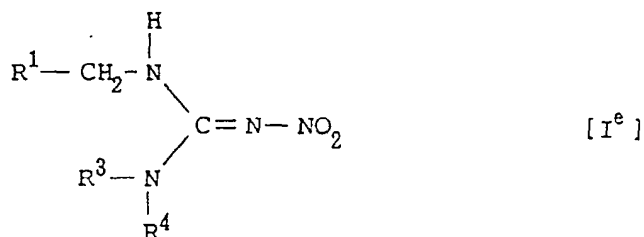


wobei jede Gruppe dasselbe wie oben bedeutet.

4. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>d</sup>]



wobei  $\text{R}^1$  wie in Anspruch 1 definiert ist,  $\text{R}^{2b}$   $\text{R}^2$  entspricht, wie es in Anspruch 1 definiert ist,  $\text{R}^3$  wie in Anspruch 1 definiert ist und  $\text{R}^4$  wie in Anspruch 1 definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>e</sup>]

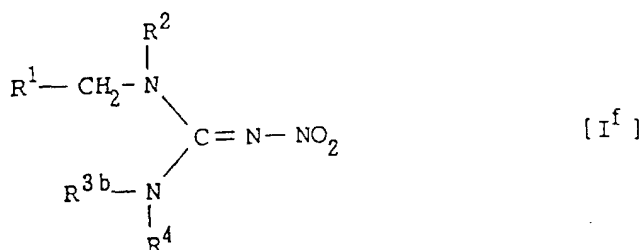


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VII]

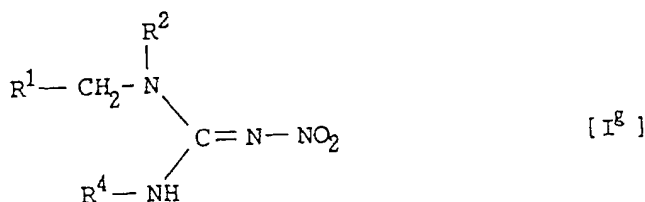


wobei jede Gruppe dasselbe wie oben bedeutet.

5. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>f</sup>]



wobei R<sup>1</sup>, R<sup>2</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>3b</sup> folgendes ist: Cyan, eine Kohlenwasserstoffgruppe, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -S(O)<sub>n</sub>-R<sup>13</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -P(=O)R<sup>14</sup>R<sup>15</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-R<sup>9</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-OR<sup>10</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>11</sup>R<sup>12</sup>, wie sie in Anspruch 1 definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>g</sup>]



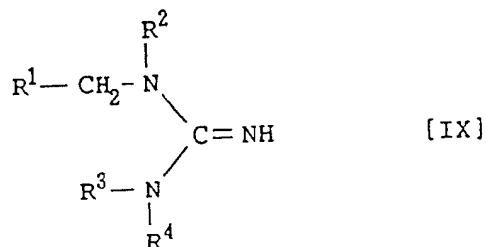
wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einer Verbindung der Formel [VIII]



wobei jede Gruppe dasselbe wie oben bedeutet.

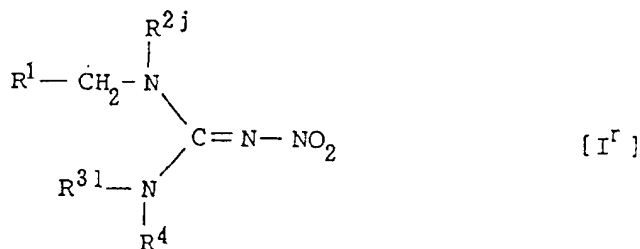
6. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I] gemäß Anspruch 1 oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [IX]



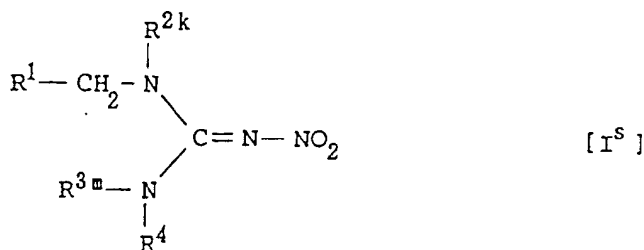


wobei jede Gruppe dasselbe wie oben bedeutet, oder eines Salzes davon mit einem Nitrierungsmittel.

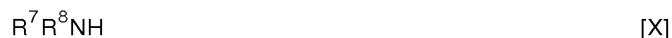
7. Verfahren zur Herstellung einer substituierten Nitroguanidinverbindung der Formel [I<sup>r</sup>]



wobei R<sup>1</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>2j</sup> R<sup>2</sup> entspricht, wie es in Anspruch 1 definiert ist, R<sup>3l</sup> R<sup>3</sup> entspricht, wie es in Anspruch 1 definiert ist, mit der Maßgabe, dass wenigstens einer der Reste R<sup>2j</sup> und R<sup>3l</sup> die substituierte oder unsubstituierte Aminocarbonylgruppe ist, wie sie oben definiert ist, oder eines Salzes davon, umfassend das Umsetzen einer Verbindung der Formel [I<sup>s</sup>]



wobei R<sup>1</sup> und R<sup>4</sup> wie in Anspruch 1 definiert sind und R<sup>2k</sup> R<sup>2</sup> entspricht, wie es in Anspruch 1 definiert ist, R<sup>3m</sup> R<sup>3</sup> entspricht, wie es in Anspruch 1 definiert ist, mit der Maßgabe, dass wenigstens einer der Reste R<sup>2k</sup> und R<sup>3m</sup> ein reaktiver Ester ist, also -CO-OR<sup>6</sup> bzw. -CO-OR<sup>10</sup>, oder eines Salzes davon mit einer Verbindung der Formel

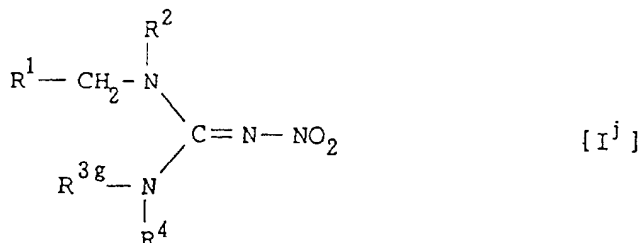


oder



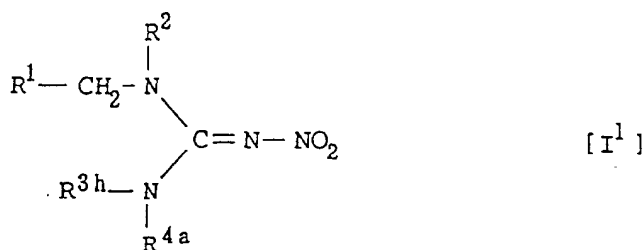
wobei jede Gruppe dasselbe wie oben bedeutet.

8. Verfahren gemäß Anspruch 1, wobei die Verbindung durch die Formel



dargestellt wird, wobei  $\text{R}^1$ ,  $\text{R}^2$  und  $\text{R}^4$  wie in Anspruch 1 definiert sind und  $\text{R}^{3g}$  Wasserstoff oder eine Kohlenwasserstoffgruppe ist, die ausgewählt ist aus  $\text{C}_1$ - $\text{C}_{15}$ -Alkyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkenyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkynyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkenyl,  $\text{C}_6$ - $\text{C}_{10}$ -Aryl oder  $\text{C}_7$ - $\text{C}_{10}$ -Aralkyl, wobei diese Gruppe gegebenenfalls 1 bis 5 Substituenten hat, wie sie in Anspruch 1 für  $\text{R}^1$  definiert sind (außer einer, die an der Bindungsstelle mit einer Oxogruppe substituiert ist), oder ein Salz davon ist.

9. Verfahren gemäß Anspruch 1, wobei die Verbindung durch die Formel



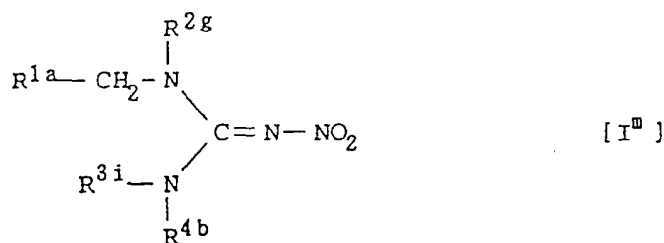
dargestellt wird, wobei  $\text{R}^1$  und  $\text{R}^2$  wie in Anspruch 1 definiert sind und  $\text{R}^{3h}$  Wasserstoff ist und  $\text{R}^{4a}$  eine  $\text{C}_1$ - $\text{C}_4$ -Alkylgruppe ist, oder ein Salz davon ist.

10. Verfahren gemäß Anspruch 1, wobei die heterocyclische Gruppe  $\text{R}^1$  eine fünf- oder sechsgliedrige stickstoffhaltige heterocyclische Gruppe ist.

11. Verfahren gemäß Anspruch 1, wobei es sich bei der heterocyclischen Gruppe  $\text{R}^1$  um folgendes handelt: 2- oder 3-Thienyl, 2- oder 3-Furyl, 2- oder 3-Pyrrolyl, 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Oxazolyl, 2-, 4- oder 5-Thiazolyl, 3-, 4- oder 5-Pyrazolyl, 2-, 4- oder 5-Imidazolyl, 3-, 4- oder 5-Isloxazolyl, 3-, 4- oder 5-Isotiazolyl, 3- oder 5-(1,2,4-Oxadiazolyl), 1,3,4-Oxadiazolyl, 3- oder 5-(1,2,4-Thiadiazolyl), 1,3,4-Thiadiazolyl, 4- oder 5-(1,2,3-Thiadiazolyl), 1,2,5-Thiadiazolyl, 1,2,3-Triazolyl, 1,2,4-Triazolyl, 1H- oder 2H-Tetrazolyl, N-Oxid von 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Pyrimidinyl, N-Oxid von 2-, 4- oder 5-Pyrimidinyl, 3- oder 4-Pyridazinyl, Pyrazinyl, N-Oxid von 3- oder 4-Pyridazinyl, Benzofuryl, Benzothiazolyl, Benzoxazolyl, Triazinyl, Oxotriazinyl, Tetrazolo[1,5-b]pyridazinyl, Triazolo[4,5-b]pyridazinyl, Oxoimidazolyl, Dioxotriazinyl, Pyrrolidinyl, Piperidyl, Pyranyl, Thiopyranyl, 1,4-Oxazinyl, Morpholinyl, 1,4-Thiazinyl, 1,3-Thiazinyl, Piperazinyl, Benzimidazolyl, Chinolyl, Isochinolyl, Cinnolinyl, Phthalazinyl, Chinazolinyl, Chinoxalyl, Indoliziny, Chinoliziny, 1,8-Naphthyridinyl, Purinyl, Pteridinyl, Dibenzofuranyl, Carbazolyl, Acridinyl, Phenanthridinyl, Phenazinyl, Phenothiazinyl oder Phenoxazinyl.

12. Verfahren gemäß Anspruch 1, wobei  $\text{R}^1$  eine fünf- oder sechsgliedrige stickstoffhaltige heterocyclische Gruppe ist, die mit 1 bis 5 Substituenten substituiert ist, die ausgewählt sind aus  $\text{C}_1$ - $\text{C}_{15}$ -Alkyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkenyl,  $\text{C}_2$ - $\text{C}_{10}$ -Alkynyl,  $\text{C}_3$ - $\text{C}_{10}$ -Cycloalkenyl,  $\text{C}_6$ - $\text{C}_{10}$ -Aryl,  $\text{C}_7$ - $\text{C}_{10}$ -Aralkyl, Nitro, Hydroxy, Mercapto, Oxo, Thioxo, Cyan, Carbamoyl, Carboxy,  $\text{C}_{1-4}$ -Alkoxycarbonyl, Sulfo, Halogen,  $\text{C}_{1-4}$ -Alkoxy,  $\text{C}_{6-10}$ -Aryloxy,  $\text{C}_{1-4}$ -Alkylthio,  $\text{C}_{6-10}$ -Arylthio,  $\text{C}_{1-4}$ -Alkylsulfinyl,  $\text{C}_{6-10}$ -Arylsulfinyl,  $\text{C}_{1-4}$ -Alkylsulfonyl,  $\text{C}_{6-10}$ -Arylsulfonyl, Amino,  $\text{C}_{2-6}$ -Acylamino, Mono- oder Di- $\text{C}_{1-4}$ -alkylamino,  $\text{C}_{6-10}$ -Arylamino,  $\text{C}_{2-4}$ -Acyl,  $\text{C}_{6-10}$ -Arylcarbonyl; 2- oder 3-Thienyl, 2- oder 3-Furyl, 3-, 4- oder 5-Pyrazolyl, 2-, 4- oder 5-Thiazolyl, 3-, 4- oder 5-Isloxazolyl, 2-, 4- oder 5-Oxazolyl, 3-, 4- oder 5-Isotiazolyl, 2-, 3- oder 4-Pyridyl, 2-, 4- oder 5-Pyrimidinyl, 3- oder 4-Pyridazinyl, Chinolyl, Isochinolyl und Indolyl.

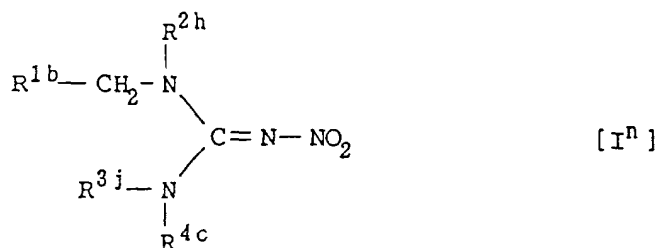
13. Verfahren gemäß Anspruch 1, wobei R<sup>1</sup> 2-, 3- oder 4-Pyridyl oder 2-, 4- oder 5-Thiazolyl ist, das mit 1 bis 4 Halogenatomen substituiert ist.
14. Verfahren gemäß Anspruch 1, wobei R<sup>3</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl- oder C<sub>3-10</sub>-Cycloalkenylgruppe ist.
15. Verfahren gemäß Anspruch 1, wobei R<sup>3</sup> folgendes ist: Cyan, eine Gruppe der Formel -CO-R<sup>9</sup>, wie sie in Anspruch 1 definiert ist, eine Gruppe der Formel -CO-OR<sup>10</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>11</sup>R<sup>12</sup>, wie sie in Anspruch 1 definiert ist.
16. Verfahren gemäß Anspruch 15, wobei R<sup>9</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl- oder C<sub>3-10</sub>-Cycloalkenylgruppe ist.
17. Verfahren gemäß Anspruch 15, wobei R<sup>3</sup> eine Gruppe der Formel -CO-OR<sup>10</sup> ist, wie sie in Anspruch 1 definiert ist.
18. Verfahren gemäß Anspruch 17, wobei R<sup>10</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl- oder C<sub>7-10</sub>-Aralkylgruppe ist.
19. Verfahren gemäß Anspruch 1, wobei R<sup>3</sup> folgendes ist: Wasserstoff, C<sub>1-4</sub>-Alkyl, C<sub>1-7</sub>-Acyl, C<sub>7-12</sub>-Arylcarbonyl, C<sub>2-7</sub>-Alkoxy carbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylamino carbonyl, gesättigtes cyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl.
20. Verfahren gemäß Anspruch 1, wobei R<sup>2</sup> folgendes ist: Cyan, eine Gruppe der Formel -CO-OR<sup>6</sup>, wie sie in Anspruch 1 definiert ist, oder eine Gruppe der Formel -CO-NR<sup>7</sup>R<sup>8</sup>, wie sie in Anspruch 1 definiert ist.
21. Verfahren gemäß Anspruch 20, wobei R<sup>6</sup> eine C<sub>1-15</sub>-Alkyl-, C<sub>3-10</sub>-Cycloalkyl-, C<sub>2-10</sub>-Alkenyl-, C<sub>2-10</sub>-Alkynyl-, C<sub>3-10</sub>-Cycloalkenyl-, C<sub>6-10</sub>-Aryl oder C<sub>7-10</sub>-Aralkylgruppe ist.
22. Verfahren gemäß Anspruch 1, wobei R<sup>2</sup> C<sub>2-7</sub>-Alkoxy carbonyl ist.
23. Verfahren gemäß Anspruch 1, wobei R<sup>4</sup> C<sub>1-4</sub>-Alkyl ist.
24. Verfahren gemäß Anspruch 1, wobei die Verbindung durch die Formel



dargestellt wird, wobei R<sup>1a</sup> Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist, R<sup>2g</sup> C<sub>2-7</sub>-Alkoxy carbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylaminocarbonyl, alicyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl ist, R<sup>3i</sup> Wasserstoff, C<sub>1-4</sub>-Alkyl, C<sub>7-12</sub>-Arylcarbonyl, C<sub>7-12</sub>-Aryloxy carbonyl, C<sub>8-13</sub>-Aralkyloxy carbonyl, C<sub>2-7</sub>-Alkylaminocarbonyl, Di-C<sub>1-4</sub>-alkylaminocarbonyl, alicyclisches Aminocarbonyl oder C<sub>1-4</sub>-Alkylsulfonyl ist und R<sup>4b</sup> Wasserstoff oder C<sub>1-4</sub>-Alkyl ist, oder ein Salz davon ist.

25. Verfahren gemäß Anspruch 24, wobei R<sup>1a</sup> Halogenpyridyl oder Halogenthiazolyl ist.

26. Verfahren gemäß Anspruch 1, wobei die Verbindung durch die Formel

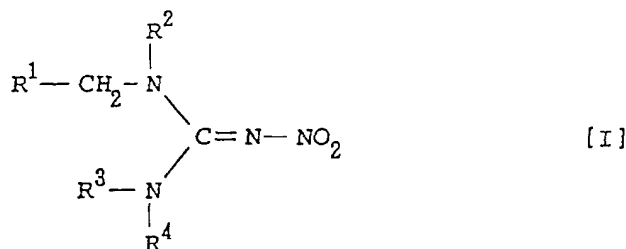


dargestellt wird, wobei  $\text{R}^{1b}$  Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist,  $\text{R}^{2h}$   $\text{C}_{2-7}$ -Alkoxycarbonyl ist,  $\text{R}^{3j}$  Wasserstoff ist und  $\text{R}^{4c}$  Methyl oder Ethyl ist; oder ein Salz davon ist.

**27.** Verfahren gemäß Anspruch 24, wobei die Verbindung oder das Salz davon ausgewählt ist aus:

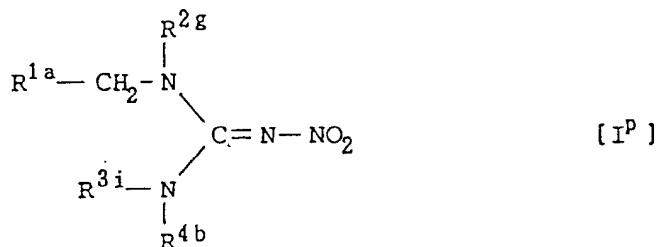
1-(2-Chlor-5-thiazolylmethyl)-1-cyan-3,3-dimethyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-1-methansulfonyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(t-Butoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-ethoxycarbonyl-3-methyl-2-nitroguanidin; 1-(2-Chlor-5-thiazolylmethyl)-1-n-propoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-isopropoxycarbonyl-3-methyl-2-nitroguanidin;  
 1-(n-Butoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(Isobutoxycarbonyl)-1-(2-chlor-5-thiazolylmethyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-1-(1-chlorethoxycarbonyl)-3-methyl-2-nitroguanidin;  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-pyrrolidinocarbonyl-2-nitroguanidin; und  
 1-(2-Chlor-5-thiazolylmethyl)-3-methyl-1-benzyloxycarbonyl-2-nitroguanidin.

**28.** Pestizidzusammensetzung, die eine wirksame Menge der substituierten Nitroguanidinverbindung der Formel [I]



wobei  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  und  $\text{R}^4$  wie in Anspruch 1 definiert sind, oder eines Salzes davon im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

**29.** Pestizidzusammensetzung, die eine wirksame Menge einer substituierten Nitroguanidinverbindung der Formel

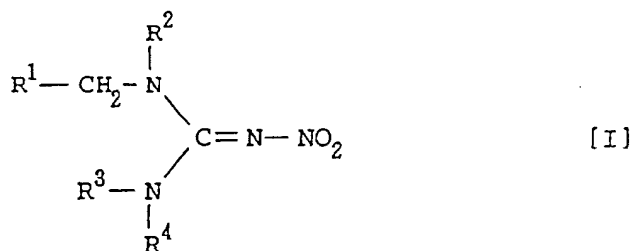


wobei  $\text{R}^{1a}$  Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist,  $\text{R}^{2g}$   $\text{C}_{7-12}$ -Aryloxythiocarbonyl ist,  $\text{R}^{3i}$  Wasserstoff,  $\text{C}_{1-4}$ -Alkyl,  $\text{C}_{7-12}$ -Arylcarbonyl,  $\text{C}_{7-12}$ -Aryloxycarbonyl,  $\text{C}_{8-13}$ -Aralkyloxycarbonyl,  $\text{C}_{2-7}$ -Alkylaminocarbonyl, Di- $\text{C}_{1-4}$ -alkylaminocarbonyl, alicyclisches Aminocarbonyl oder  $\text{C}_{1-4}$ -Alkylsulfonyl ist und  $\text{R}^{4b}$  Wasserstoff oder  $\text{C}_{1-4}$ -Alkyl ist, oder eines Salzes davon im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

30. Pestizidzusammensetzung, die eine wirksame Menge der substituierten Nitroguanidinverbindung oder ihres Salzes, die gemäß Anspruch 27 hergestellt wurde, im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

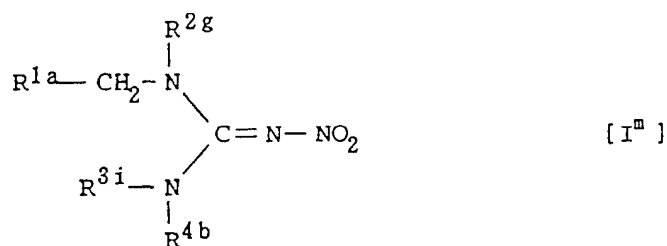
31. Pestizidzusammensetzung, die eine wirksame Menge 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidin oder eines Salzes davon im Gemisch mit einem geeigneten Träger, Vehikel, Verdünnungsmittel oder Exzipienten umfasst.

32. Verwendung einer substituierten Nitroguanidinverbindung der Formel [I]



wobei  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  und  $\text{R}^4$  wie in Anspruch 1 definiert sind, oder eines Salzes davon zur Herstellung einer Pestizidzusammensetzung.

33. Verwendung eines substituierten Nitroguanidins der Formel

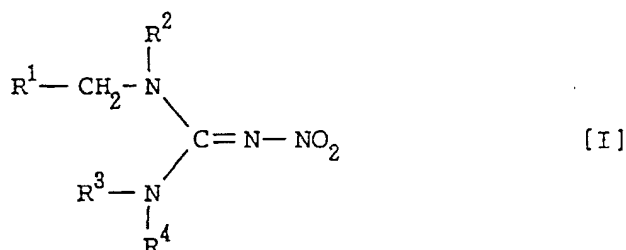


wobei  $\text{R}^{1a}$  Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist,  $\text{R}^{2g}$   $\text{C}_{7-12}$ -Aryloxythiocarbonyl ist,  $\text{R}^{3i}$  Wasserstoff,  $\text{C}_{1-4}$ -Alkyl,  $\text{C}_{7-12}$ -Arylcarbonyl,  $\text{C}_{7-12}$ -Aryloxycarbonyl,  $\text{C}_{8-13}$ -Aralkyloxycarbonyl,  $\text{C}_{2-7}$ -Alkylaminocarbonyl, Di- $\text{C}_{1-4}$ -alkylaminocarbonyl, alicyclisches Aminocarbonyl oder  $\text{C}_{1-4}$ -Alkylsulfonyl ist und  $\text{R}^{4b}$  Wasserstoff oder  $\text{C}_{1-4}$ -Alkyl ist, oder eines Salzes davon zur Herstellung einer Pestizidzusammensetzung.

34. Verwendung einer Verbindung gemäß Anspruch 33, wobei  $R^{1a}$  Halogenpyridyl oder Halogenthiazolyl ist.

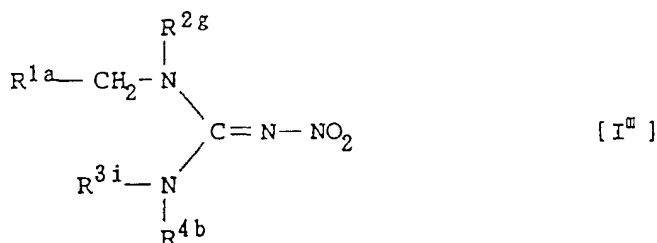
35. Verwendung einer Verbindung gemäß Anspruch 33 oder eines Salzes davon, wobei es sich um 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidin handelt.

36. Verfahren zur Bekämpfung eines Schädling, das das Aufbringen einer wirksamen Menge einer substituierten Nitroguanidinverbindung der Formel [I]



wobei  $R^1$ ,  $R^2$ ,  $R^3$  und  $R^4$  wie in Anspruch 1 definiert sind, oder eines Salzes davon umfasst, so dass der Schädling verhindert wird.

37. Verfahren zur Bekämpfung eines Schädling, das das Aufbringen einer wirksamen Menge einer substituierten Nitroguanidinverbindung der Formel



wobei  $R^{1a}$  Pyridyl, Halogenpyridyl, Thiazolyl oder Halogenthiazolyl ist,  $R^{2g}$   $C_{7-12}$ -Aryloxythiocarbonyl ist,  $R^{3i}$  Wasserstoff,  $C_{1-4}$ -Alkyl,  $C_{7-12}$ -Arylcarbonyl,  $C_{7-12}$ -Aryloxycarbonyl,  $C_{8-13}$ -Aalkyloxycarbonyl,  $C_{2-7}$ -Alkylaminocarbonyl, Di- $C_{1-4}$ -alkylaminocarbonyl, alicyclisches Aminocarbonyl oder  $C_{1-4}$ -Alkylsulfonyl ist und  $R^{4b}$  Wasserstoff oder  $C_{1-4}$ -Alkyl ist, oder eines Salzes davon umfasst, so dass der Schädling verhindert wird.

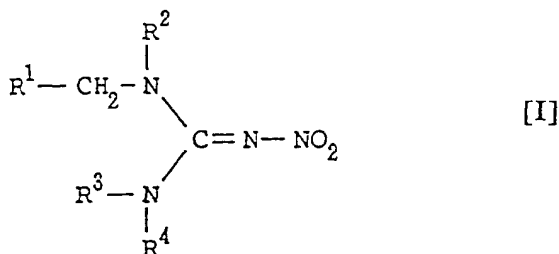
38. Verfahren gemäß Anspruch 37, wobei  $R^{1a}$  Halogenpyridyl oder Halogenthiazolyl ist.

39. Verfahren gemäß Anspruch 37, wobei es sich bei der Verbindung um 1-(2-Chlor-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidin oder ein Salz davon handelt.

## Revendications

Revendications pour les Etats contractants suivants : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

1. Composé de formule



dans laquelle

R<sup>1</sup> représente un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcyne en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, phénéthyle, nitro, hydroxy, mercapto, oxo, thioxo, cyano, carbamyle, carboxyle, (alcoxy en C<sub>1-4</sub>)carbonyle, sulfo, les atomes d'halogène, les groupes alcoxy en C<sub>1-4</sub>, aryloxy en C<sub>6-10</sub>, alkylthio en C<sub>1-4</sub>, arylthio en C<sub>6-10</sub>, alkylsulfynyle en C<sub>1-4</sub>, arylsulfynyle en C<sub>6-10</sub>, alkylsulfonyle en C<sub>1-4</sub>, arylsulfonyle en C<sub>6-10</sub>, amino, acylamino en C<sub>2-6</sub>, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino, cycloalkylamino en C<sub>3-6</sub>, arylamino en C<sub>6-10</sub>, acyle en C<sub>2-4</sub>, (aryle en C<sub>6-10</sub>)carbonyle, et les groupes hétérocycliques à 5 ou 6 chaînons contenant de 1 à 4 hétéroatomes choisis parmi les atomes d'oxygène, de soufre et d'azote.

les groupes cycloalkyle en C<sub>3-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, aryloxy en C<sub>6-10</sub>, arylthio en C<sub>6-10</sub>, arylsulfinyne en C<sub>6-10</sub>, arylsulfonyle en C<sub>6-10</sub> et arylamino en C<sub>6-10</sub>, ainsi que les groupes hétérocycliques, mentionnés ci-dessus pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes hydroxyle, alkyle en C<sub>1-4</sub>, alcényle en C<sub>2-4</sub>, alcynyle en C<sub>2-4</sub>, aryle en C<sub>6-10</sub>, alcoxy en C<sub>1-4</sub>, alkylthio en C<sub>1-4</sub> et phénylthio,

et les groupes alkyle en C<sub>1-15</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, alcoxy en C<sub>1-4</sub>, alkylthio en C<sub>1-4</sub>, alkylsulfinyle en C<sub>1-4</sub>, alkylsulfonyle en C<sub>1-4</sub>, amino, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino et cycloalkylamino en C<sub>3-6</sub> mentionnés ci-dessus pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes hydroxyle, alcoxy en C<sub>1-4</sub> et alkylthio en C<sub>1-4</sub> ;

$R^2$  représente

- un groupe cyano,
- un groupe de formule  $-S(=O)_nR^{13}$ , où  $n$  représente un nombre entier égal à 1 ou 2 et  $R^{13}$  représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcyne en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
- un groupe de formule  $-P(=O)R^{14}R^{15}$ , où  $R^{14}$  et  $R^{15}$  représentent chacun, indépendamment,
  - un groupe hydroxyle,
  - un groupe hydrocarboné lié par l'intermédiaire d'un atome d'oxygène, choisi parmi les groupes alcoxy en  $C_{1-15}$ , cycloalcoxy en  $C_{3-10}$ , alcényloxy en  $C_{2-10}$ , alcynyloxy en  $C_{2-10}$ , cycloalcényloxy en  $C_{3-10}$ , aryloxy en  $C_{6-10}$  ou aralkyloxy en  $C_{7-10}$ , ce groupe hydrocarboné portant éventuellement de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - un groupe hétérocyclyloxy comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en

C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,

- ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
- un groupe de formule -C(=O)OR<sup>6</sup>, où R<sup>6</sup> représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe de formule -C(=O)NR<sup>7</sup>R<sup>8</sup>, où R<sup>7</sup> et R<sup>8</sup>, identiques ou différents, représentent chacun, indépendamment,
    - un atome d'hydrogène,
    - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
    - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
    - ou bien R<sup>7</sup> et R<sup>8</sup> forment ensemble, avec l'atome d'azote auquel ils sont liés, un groupe amino cyclique portant éventuellement de 1 à 4 substituants alkyle en C<sub>1-4</sub> ;

R<sup>3</sup> représente

- un atome d'hydrogène,
- un groupe cyano,
- un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup> (excepté le cas d'un substituant oxo au niveau du site de liaison),
- un groupe de formule -S(=O)<sub>n</sub>R<sup>13</sup>, où n représente un nombre entier égal à 0, 1 ou 2 et R<sup>13</sup> représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 substituants,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
  - un groupe de formule -P(=O)R<sup>14</sup>R<sup>15</sup>, où R<sup>14</sup> et R<sup>15</sup> représentent chacun, indépendamment,
    - un groupe hydroxyle,
    - un groupe hydrocarboné lié par l'intermédiaire d'un atome d'oxygène, choisi parmi les groupes alcoxy en C<sub>1-15</sub>, cycloalcoxy en C<sub>3-10</sub>, alcényloxy en C<sub>2-10</sub>, alcynyloxy en C<sub>2-10</sub>, cycloalcényloxy en C<sub>3-10</sub>, aryloxy en C<sub>6-10</sub> ou aralkyloxy en C<sub>7-10</sub>, ce groupe hydrocarboné portant éventuellement de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
    - un groupe hétérocyclyloxy comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les



atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
- ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- un groupe de formule -C(=O)R<sup>9</sup> où R<sup>9</sup> représente

- un atome d'hydrogène,
- un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
- ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- un groupe de formule -C(=O)OR<sup>10</sup> où R<sup>10</sup> représente

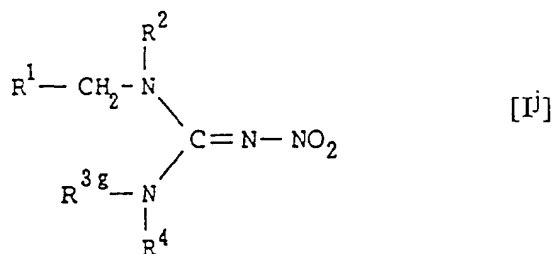
- un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
- ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> où R<sup>11</sup> et R<sup>12</sup>, identiques ou différents, représentent chacun, indépendamment,

- un atome d'hydrogène,
- un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
- ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
- ou bien R<sup>11</sup> et R<sup>12</sup> forment ensemble, avec l'atome d'azote auquel ils sont liés, un groupe amino cyclique portant éventuellement de 1 à 4 substituants alkyle en C<sub>1-4</sub> ;

et R<sup>4</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub> ; ou sel d'un tel composé.

## 2. Composé conforme à la revendication 1, de formule

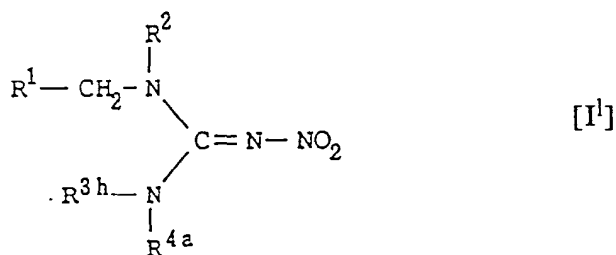


dans laquelle

$\text{R}^1$ ,  $\text{R}^2$  et  $\text{R}^4$  ont les significations indiquées dans la revendication 1, et  $\text{R}^{3g}$  représente un atome d'hydrogène ou un groupe hydrocarboné choisi parmi les groupes alkyle en  $\text{C}_{1-15}$ , cycloalkyle en  $\text{C}_{3-10}$ , alcényle en  $\text{C}_{2-10}$ , alcynyle en  $\text{C}_{2-10}$ , cycloalcényle en  $\text{C}_{3-10}$ , aryle en  $\text{C}_{6-10}$  ou aralkyle en  $\text{C}_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis à propos de  $\text{R}^1$  dans la revendication 1 (excepté le cas d'un substituant oxo au niveau du site de liaison),

ou sel d'un tel composé.

3. Composé conforme à la revendication 1, de formule



dans laquelle

$\text{R}^1$  et  $\text{R}^2$  ont les significations indiquées dans la revendication 1,  $\text{R}^{3h}$  représente un atome d'hydrogène, et  $\text{R}^{4a}$  représente un groupe alkyle en  $\text{C}_{1-4}$ ,

ou sel d'un tel composé.

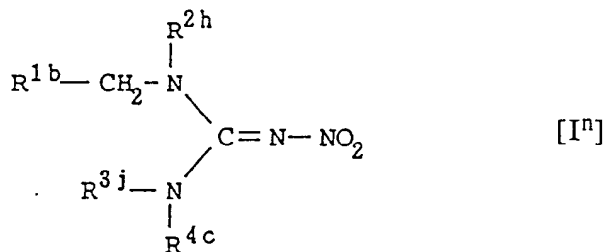
4. Composé conforme à la revendication 1, dans lequel le groupe hétérocyclique représenté par  $\text{R}^1$  est un groupe hétérocyclique azoté à 5 ou 6 chaînons.

5. Composé conforme à la revendication 1, dans lequel le groupe hétérocyclique représenté par  $\text{R}^1$  est un groupe 2- ou 3-thiényl, 2- ou 3-furyl, 2- ou 3-pyrrolyl, 2-, 3- ou 4-pyridyl, 2-, 4- ou 5-oxazolyl, 2-, 4- ou 5-thiazolyl, 3-, 4- ou 5-pyrazolyl, 2-, 4- ou 5-imidazolyl, 3-, 4- ou 5-isoxazolyl, 3-, 4- ou 5-isothiazolyl, 3- ou 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- ou 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- ou 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- ou 2H-tétrazolyl, N-oxy-2-, 3- ou 4-pyridyl, 2-, 4- ou 5-pyrimidinyl, N-oxy-2-, 4- ou 5-pyrimidinyl, 3- ou 4-pyridazinyl, pyrazinyl, N-oxy-3- ou 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tétrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazolyl, dioxotriazinyl, pyrrolidinyl, pipéridyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, pipérazinyl, benzoimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phtalazinyl, quinazolinyl, quinoxalinyl, indolizinyl, quinolizinyl, 1,8-naphtyridinyl, purinyl, ptéridinyl, dibenzofuranyle, carbazolyle, acridinyle, phénanthridinyle, phénazinyle, phénothiazinyle ou phénoxazinyle.

6. Composé conforme à la revendication 1, dans lequel  $\text{R}^1$  représente un groupe hétérocyclique azoté à 5 ou 6

chainons portant de 1 à 5 substituants choisis parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcyne en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, nitro, hydroxyle, mercapto, oxo, thioxo, cyano, carbamyle, carboxyle, (alcoxy en C<sub>1-4</sub>)carbonyle, sulfo, les atomes d'halogène, les groupes alcoxy en C<sub>1-4</sub>, aryloxy en C<sub>6-10</sub>, alkylthio en C<sub>1-4</sub>, arylthio en C<sub>6-10</sub>, alkylsulfinyle en C<sub>1-4</sub>, arylsulfinyle en C<sub>6-10</sub>, alkylsulfonyle en C<sub>1-4</sub>, arylsulfonyle en C<sub>6-10</sub>, amino, acylamino en C<sub>2-6</sub>, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino, arylamino en C<sub>6-10</sub>, acyle en C<sub>2-4</sub>, (aryle en C<sub>6-10</sub>)carbonyle, 2- ou 3-thiényle, 2- ou 3-furyle, 3-, 4- ou 5-pyrazolyle, 2-, 4- ou 5-thiazolyle, 3-, 4- ou 5-isothiazolyle, 2-, 4- ou 5-oxazolyle, 3-, 4- ou 5-isoxazolyle, 2-, 4- ou 5-imidazolyle, 1,2,3- ou 1,2,4-triazolyle, 1H- ou 2H-tétrazolyle, 2-, 3- ou 4-pyridyle, 2-, 4- ou 5-pyrimidinyle, 3- ou 4-pyridazinyle, quinolyle, isoquinolyle et indolyle.

7. Composé conforme à la revendication 1, dans lequel R<sup>1</sup> représente un groupe 2-, 3- ou 4-pyridyle ou 2-, 4- ou 5-thiazolylo portant de 1 à 4 atomes d'halogène en tant que substituants.
8. Composé conforme à la revendication 1, dans lequel R<sup>3</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub> ou cycloalcényle en C<sub>3-10</sub>.
9. Composé conforme à la revendication 1, dans lequel R<sup>3</sup> représente un groupe cyano, un groupe de formule -C(=O)R<sup>9</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)OR<sup>10</sup> tel qu'il est défini dans la revendication 1 ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> tel qu'il est défini dans la revendication 1.
10. Composé conforme à la revendication 9, dans lequel R<sup>9</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub> ou cycloalcényle en C<sub>3-10</sub>.
11. Composé conforme à la revendication 9, dans laquelle R<sup>10</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>.
12. Composé conforme à la revendication 1 dans lequel R<sup>3</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, acyle en C<sub>1-7</sub>, arylcarbonyle en C<sub>7-12</sub>, alcoxycarbonyle en C<sub>2-7</sub>, aryloxy-carbonyle en C<sub>7-12</sub>, aralkyloxy-carbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino cyclique saturé)carbonyle ou alkylsulfonyle en C<sub>1-4</sub>.
13. Composé conforme à la revendication 1, dans lequel R<sup>2</sup> représente un groupe cyano, un groupe de formule -C(=O)OR<sup>6</sup> tel qu'il est défini dans la revendication 1, ou un groupe de formule -C(=O)NR<sup>7</sup>R<sup>8</sup> tel qu'il est défini dans la revendication 1.
14. Composé conforme à la revendication 1, dans lequel R<sup>2</sup> représente un groupe de formule -C(=O)OR<sup>6</sup> tel qu'il est défini dans la revendication 1.
15. Composé conforme à la revendication 14, dans lequel R<sup>6</sup> représente un groupe alkyle en C<sup>1-15</sup>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>.
16. Composé conforme à la revendication 1, dans lequel R<sup>2</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>.
17. Composé conforme à la revendication 1, dans lequel R<sup>4</sup> représente un groupe alkyle en C<sub>1-4</sub>.
18. Composé conforme à la revendication 1, de formule



dans laquelle

R<sup>1b</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,

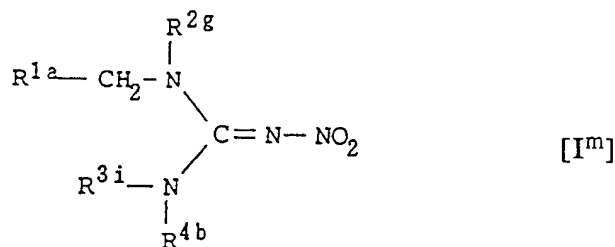
R<sup>2h</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>,

R<sup>3j</sup> représente un atome d'hydrogène, et

R<sup>4c</sup> représente un groupe méthyle ou éthyle,

ou sel d'un tel composé.

19. Composé conforme à la revendication 1, de formule :



dans laquelle

R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,

R<sup>2g</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>, aryloxy carbonyle en C<sub>7-12</sub>, aralkyloxy carbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyl en C<sub>1-4</sub>,

R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyl en C<sub>7-12</sub>, aryloxy carbonyl en C<sub>7-12</sub>, aralkyloxy carbonyl en C<sub>8-13</sub>, alkylaminocarbonyl en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyl, (amino alicyclique)carbonyl ou alkylsulfonyl en C<sub>1-4</sub>, et

R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>,

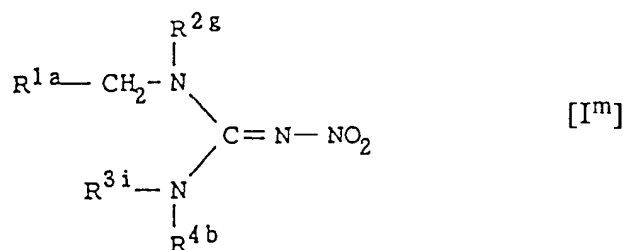
ou sel d'un tel composé.

20. Composé conforme à la revendication 19, dans lequel R<sup>1a</sup> représente un groupe halogénopyridyle ou halogénothiazolyle.

21. Composé conforme à la revendication 19, ou sel d'un tel composé, choisi parmi les suivants :

1-(2-chloro-5-thiazolylméthyl)-1-cyano-3,3-diméthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1,3-diphénoxy carbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-diméthylaminocarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-morpholinocarbonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-1-méthylsulfonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-méthoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-éthoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-n-propoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-isopropoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(n-butoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 1-(isobutoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-(1-chloroéthoxycarbonyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-pyrrolidinocarbonyl-2-nitroguanidine, et  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-benzyloxy carbonyl-2-nitroguanidine.

22. Composé de formule



dans laquelle

R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,

R<sup>2g</sup> représente un groupe aryloxythiocarbonyle en C<sub>7-12</sub>,

R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyle en C<sub>7-12</sub>, aryloxycarbonyle en C<sub>7-12</sub>, aralkyloxycarbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyle en C<sub>1-4</sub>, et

R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>,

ou sel d'un tel composé.

23. Composé conforme à la revendication 22, dans lequel R<sup>1a</sup> représente un groupe halogénopyridyle ou halogénothiazolyle.

24. Composé conforme à la revendication 22, qui est la 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-2-nitro-1-phénoxythiocarbonylguanidine ou un sel de celle-ci.

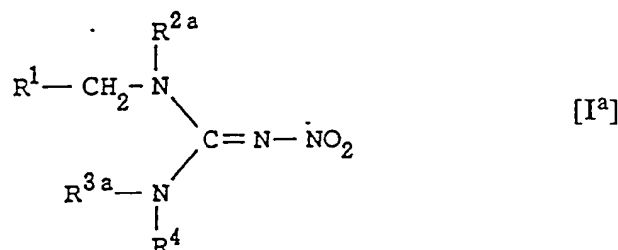
25. Composition pesticide comprenant, en une quantité efficace, une nitroguanidine substituée conforme à l'une des revendications 1 à 21 ou un sel d'un tel composé, mélangé avec un support, véhicule, diluant ou excipient acceptable.

26. Composition pesticide comprenant, en une quantité efficace, une nitroguanidine substituée conforme à l'une des revendications 22 à 24, mélangée avec un support, véhicule, diluant ou excipient acceptable.

27. Utilisation d'une nitroguanidine substituée conforme à la revendication 1 ou 22, ou d'un sel d'un tel composé, pour la fabrication d'une composition pesticide.

28. Procédé de lutte contre un nuisible, qui comporte le fait d'appliquer une quantité efficace d'une nitroguanidine substituée, conforme à la revendication 1 ou 22, ou d'un sel d'un tel composé, afin d'inhiber ce nuisible.

29. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>a</sup>] :

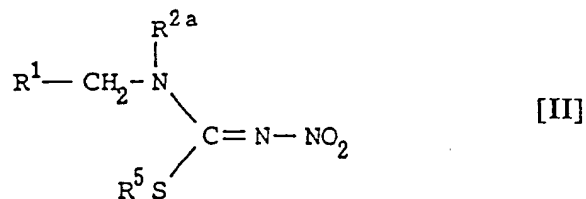


dans laquelle

R<sup>1</sup> a la signification indiquée dans la revendication 1,

R<sup>2a</sup> a la signification indiquée pour R<sup>2</sup> dans la revendication 1,

R<sup>3a</sup> représente un atome d'hydrogène ou un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> et aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis dans la revendication 1 à propos de R<sup>1</sup>, et R<sup>4</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [II] :

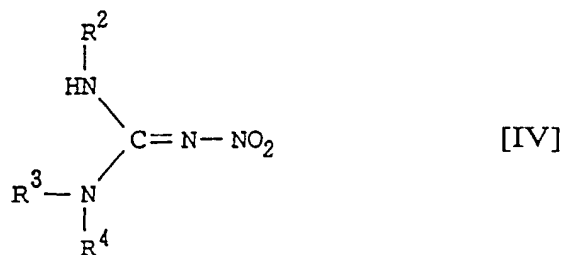


dans laquelle R<sup>1</sup> et R<sup>2a</sup> ont les significations indiquées ci-dessus et R<sup>5</sup> représente un groupe hydrocarboné portant ou non un substituant ou un groupe acyle portant ou non un substituant, ou un sel d'un tel composé, avec un composé de formule [III] :



dans laquelle R<sup>3a</sup> et R<sup>4</sup> ont les significations indiquées ci-dessus, ou avec un sel d'un tel composé.

30. Procédé de préparation d'une nitroguanidine substituée de formule [I], conforme à la revendication 1, ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [IV] :

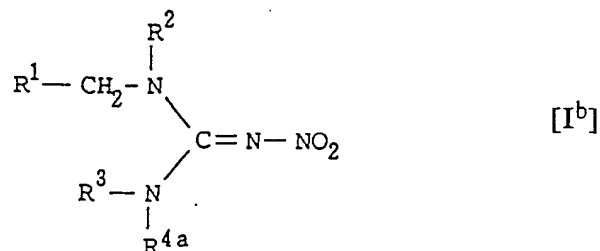


dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [V] :

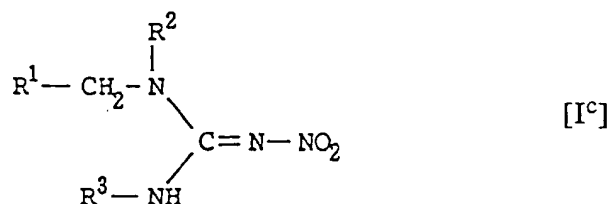


dans laquelle R<sup>1</sup> a la signification indiquée plus haut et Y représente un groupe partant.

31. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>b</sup>] :



dans laquelle  $R^1$ ,  $R^2$  et  $R^3$  ont les significations indiquées dans la revendication 1, et  $R^{4a}$  représente un groupe alkyle en  $C_{1-4}$ ,  
ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>c</sup>] :

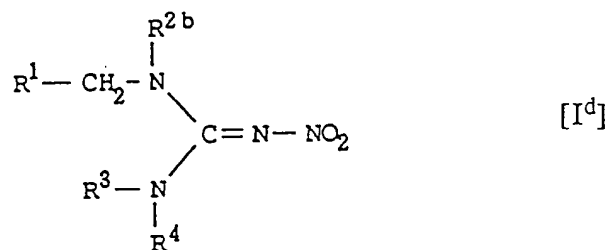


dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VI] :



dans laquelle chaque symbole a la signification indiquée plus haut.

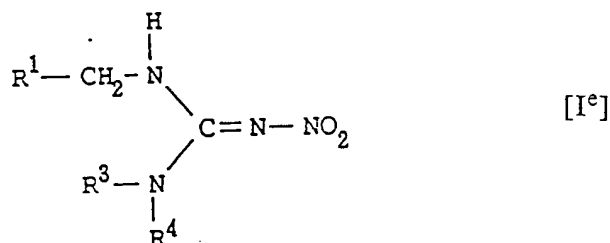
**32.** Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>d</sup>] :



dans laquelle

$R^1$  a la signification indiquée dans la revendication 1,  
 $R^{2b}$  a la signification indiquée pour  $R^2$  dans la revendication 1,  
 $R^3$  a la signification indiquée dans la revendication 1, et  
 $R^4$  a la signification indiquée dans la revendication 1,

ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>e</sup>] :



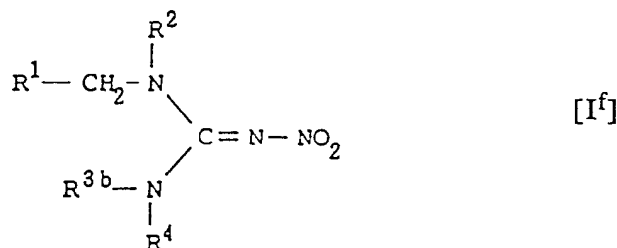
dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VII] :



[VII]

dans laquelle chaque symbole a la signification indiquée plus haut.

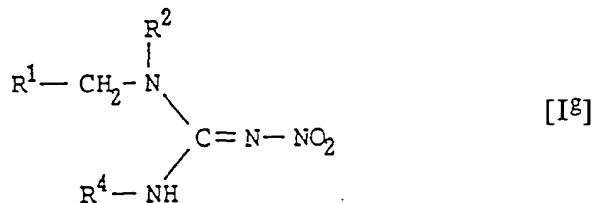
33. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>f</sup>] :



dans laquelle

R<sup>1</sup>, R<sup>2</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1, et R<sup>3b</sup> représente un groupe cyano, un groupe hydrocarboné tel qu'il est défini dans la revendication 1, un groupe de formule -S(=O)<sub>n</sub>R<sup>13</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -P(=O)R<sup>14</sup>R<sup>15</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)R<sup>9</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)OR<sup>10</sup> tel qu'il est défini dans la revendication 1, ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> tel qu'il est défini dans la revendication 1,

ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>g</sup>] :



dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VIII] :

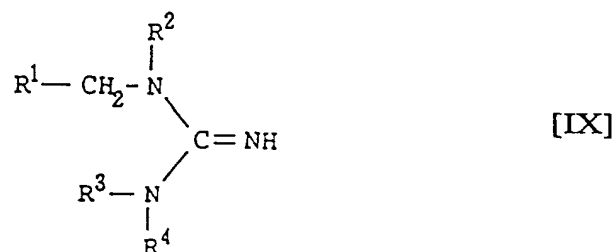


[VII]

dans laquelle chaque symbole a la signification indiquée plus haut.

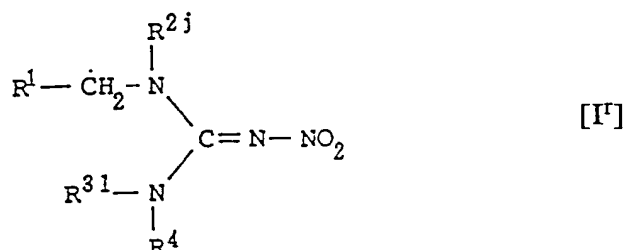
34. Procédé de préparation d'une nitroguanidine substituée de formule [I], conforme à la revendication 1, ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [IX] :





dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un réactif de nitration.

35. Procédé de préparation d'une nitroguanidine substituée de formule [I'] :

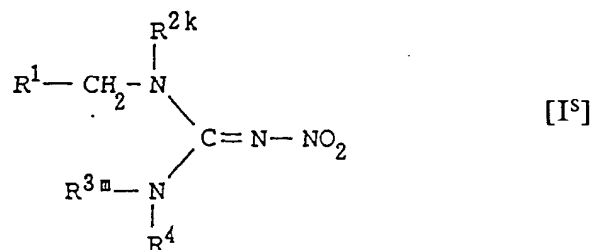


dans laquelle

R<sup>1</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1,  
 R<sup>2j</sup> a la signification indiquée pour R<sup>2</sup> dans la revendication 1, et  
 R<sup>3l</sup> a la signification indiquée pour R<sup>3</sup> dans la revendication 1,

sous réserve qu'au moins l'un des symboles R<sup>2j</sup> et R<sup>3l</sup> représente un groupe aminocarbonyle portant ou non un substituant, tel qu'il est défini plus haut,

ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>s</sup>] :



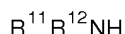
dans laquelle

R<sup>1</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1,  
 R<sup>2k</sup> a la signification indiquée pour R<sup>2</sup> dans la revendication 1, et  
 R<sup>3m</sup> a la signification indiquée pour R<sup>3</sup> dans la revendication 1, sous réserve qu'au moins l'un des symboles R<sup>2k</sup> et R<sup>3m</sup> représente un groupe ester réactif, respectivement —C(=O)OR<sup>6</sup> ou —C(=O)OR<sup>10</sup>,

ou un sel d'un tel composé, avec un composé de formule



ou

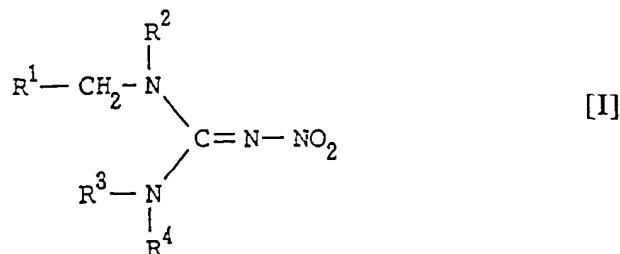


[XI]

où chaque symbole a la signification indiquée plus haut.

# Revendications pour l'Etat contractant suivant : ES

1. Procédé de préparation d'un composé qui est une nitroguanidine substituée de formule [I] :



dans laquelle

R<sup>1</sup> représente un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcyne en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, phénéthyle, nitro, hydroxy, mercapto, oxo, thioxo, cyano, carbamyle, carboxyle, (alcoxy en C<sub>1-4</sub>)carbonyle, sulfo, les atomes d'halogène, les groupes alcoxy en C<sub>1-4</sub>, aryloxy en C<sub>6-10</sub>, alkylthio en C<sub>1-4</sub>, arylthio en C<sub>6-10</sub>, alkylsulfinyle en C<sub>1-4</sub>, arylsulfinyle en C<sub>6-10</sub>, alkylsulfonyl en C<sub>1-4</sub>, arylsulfonyl en C<sub>6-10</sub>, amino, acylamino en C<sub>2-6</sub>, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino, cycloalkylamino en C<sub>3-6</sub>, arylamino en C<sub>6-10</sub>, acyle en C<sub>2-4</sub>, (aryle en C<sub>6-10</sub>)carbonyl, et les groupes hétérocycliques à 5 ou 6 chaînons contenant de 1 à 4 hétéroatomes choisis parmi les atomes d'oxygène, de soufre et d'azote,

les groupes cycloalkyle en C<sub>3-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, aryloxy en C<sub>6-10</sub>, arylthio en C<sub>6-10</sub>, arylsulfinyle en C<sub>6-10</sub>, arylsulfonyl en C<sub>6-10</sub> et arylamino en C<sub>6-10</sub>, ainsi que les groupes hétérocycliques, mentionnés ci-dessus pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes hydroxyle, alkyle en C<sub>1-4</sub>, alcényle en C<sub>2-4</sub>, alcyne en C<sub>2-4</sub>, aryle en C<sub>6-10</sub>, alcoxy en C<sub>1-4</sub>, alkylthio en C<sub>1-4</sub> et phénylthio,

et les groupes alkyle en C<sub>1-15</sub>, alcényle en C<sub>2-10</sub>, alcyne en C<sub>2-10</sub>, alcoxy en C<sub>1-4</sub>, alkylthio en C<sub>1-4</sub>, alkylsulfinyle en C<sub>1-4</sub>, alkylsulfonyl en C<sub>1-4</sub>, amino, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino et cycloalkylamino en C<sub>3-6</sub> mentionnés ci-dessus pouvant porter de 1 à 5 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes hydroxyle, alcoxy en C<sub>1-4</sub> et alkylthio en C<sub>1-4</sub> ;

R<sup>2</sup> représente

- un groupe cyano,
- un groupe de formule -S(=O)<sub>n</sub>R<sup>13</sup>, où n représente un nombre entier égal à 1 ou 2 et R<sup>13</sup> représente

— un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcyne en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,

— ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- un groupe de formule  $-P(=O)R^{14}R^{15}$ , où  $R^{14}$  et  $R^{15}$  représentent chacun, indépendamment,
  - un groupe hydroxyle,
  - un groupe hydrocarboné lié par l'intermédiaire d'un atome d'oxygène, choisi parmi les groupes alcoxy en  $C_{1-15}$ , cycloalcoxy en  $C_{3-10}$ , alcényloxy en  $C_{2-10}$ , alcynyloxy en  $C_{2-10}$ , cycloalcényloxy en  $C_{3-10}$ , aryloxy en  $C_{6-10}$  ou aralkyloxy en  $C_{7-10}$ , ce groupe hydrocarboné portant éventuellement de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - un groupe hétérocycloxy comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcynyle en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
- un groupe de formule  $-C(=O)OR^6$ , où  $R^6$  représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcynyle en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
- ou un groupe de formule  $-C(=O)NR^7R^8$ , où  $R^7$  et  $R^8$ , identiques ou différents, représentent chacun, indépendamment,
  - un atome d'hydrogène,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcynyle en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de  $R^1$ ,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de  $R^1$ ,
  - ou bien  $R^7$  et  $R^8$  forment ensemble, avec l'atome d'azote auquel ils sont liés, un groupe amino cyclique portant éventuellement de 1 à 4 substituants alkyle en  $C_{1-4}$  ;

$R^3$  représente

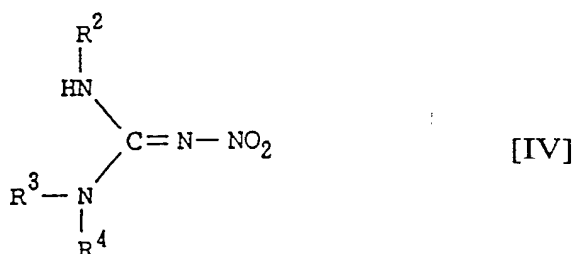
- un atome d'hydrogène,
- un groupe cyano,
- un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcynyle en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de  $R^1$  (excepté le cas d'un substituant oxo au niveau du site de liaison),
- un groupe de formule  $-S(=O)_nR^{13}$ , où  $n$  représente un nombre entier égal à 0, 1 ou 2 et  $R^{13}$  représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en  $C_{1-15}$ , cycloalkyle en  $C_{3-10}$ , alcényle en  $C_{2-10}$ , alcynyle en  $C_{2-10}$ , cycloalcényle en  $C_{3-10}$ , aryle en  $C_{6-10}$  ou aralkyle en  $C_{7-10}$ , ce groupe pouvant porter de 1 à 5 substituants,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les

atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,

- un groupe de formule -P(=O)R<sup>14</sup>R<sup>15</sup>, où R<sup>14</sup> et R<sup>15</sup> représentent chacun, indépendamment,
  - un groupe hydroxyle,
  - un groupe hydrocarboné lié par l'intermédiaire d'un atome d'oxygène, choisi parmi les groupes alcoxy en C<sub>1-15</sub>, cycloalcoxy en C<sub>3-10</sub>, alcényloxy en C<sub>2-10</sub>, alcynyloxy en C<sub>2-10</sub>, cycloalcényloxy en C<sub>3-10</sub>, aryloxy en C<sub>6-10</sub> ou aralkyloxy en C<sub>7-10</sub>, ce groupe hydrocarboné portant éventuellement de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - un groupe hétérocycliloxy comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
- un groupe de formule -C(=O)R<sup>9</sup> où R<sup>9</sup> représente
  - un atome d'hydrogène,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
- un groupe de formule -C(=O)OR<sup>10</sup> où R<sup>10</sup> représente
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
- ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> où R<sup>11</sup> et R<sup>12</sup>, identiques ou différents, représentent chacun, indépendamment,
  - un atome d'hydrogène,
  - un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis plus haut à propos de R<sup>1</sup>,
  - ou un groupe hétérocyclique comportant un seul cycle ou des cycles condensés, chaque cycle étant constitué de 5 à 8 chaînons et comportant de 1 à 5 hétéroatomes choisis indépendamment parmi les atomes d'oxygène, d'azote et de soufre, ledit groupe hétérocyclique pouvant porter de 1 à 5 substituants, identiques ou différents, parmi ceux définis plus haut à propos de R<sup>1</sup>,
  - ou bien R<sup>11</sup> et R<sup>12</sup> forment ensemble, avec l'atome d'azote auquel ils sont liés, un groupe amino cyclique portant éventuellement de 1 à 4 substituants alkyle en C<sub>1-4</sub> ;

et R<sup>4</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub> ; ou d'un sel d'un tel composé, lequel

procédé comporte le fait de faire réagir un composé de formule [IV] :

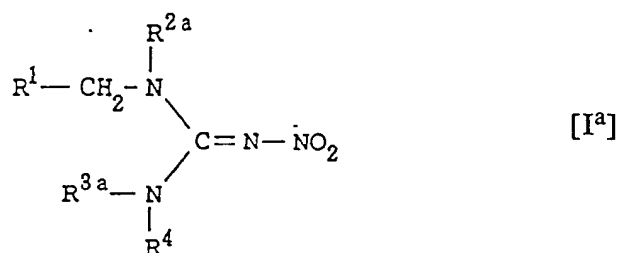


dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [V] :



dans laquelle  $\text{R}^1$  a la signification indiquée plus haut et Y représente un groupe partant.

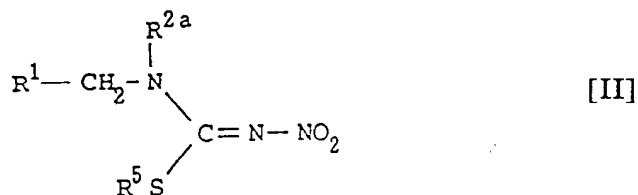
2. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>a</sup>] :



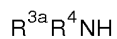
dans laquelle

$\text{R}^1$  et  $\text{R}^4$  ont les significations indiquées dans la revendication 1,  $\text{R}^{2a}$  a la signification indiquée pour  $\text{R}^2$  dans la revendication 1, et  $\text{R}^{3a}$  représente un atome d'hydrogène ou un groupe hydrocarboné choisi parmi les groupes alkyle en  $\text{C}_{1-15}$ , cycloalkyle en  $\text{C}_{3-10}$ , alcényle en  $\text{C}_{2-10}$ , alcynyle en  $\text{C}_{2-10}$ , cycloalcényle en  $\text{C}_{3-10}$ , aryle en  $\text{C}_{6-10}$  et aralkyle en  $\text{C}_{7-10}$ , ce groupe pouvant porter de 1 à 5 des substituants définis dans la revendication 1 à propos de  $\text{R}^1$ ,

ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [II] :



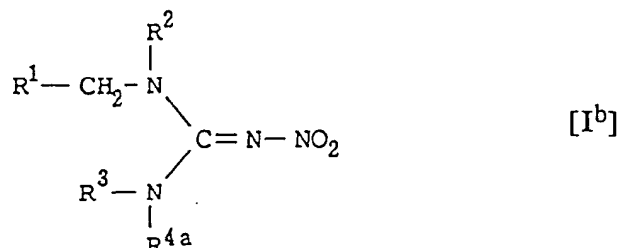
dans laquelle  $\text{R}^1$  et  $\text{R}^{2a}$  ont les significations indiquées ci-dessus et  $\text{R}^5$  représente un groupe hydrocarboné portant ou non un substituant ou un groupe acyle portant ou non un substituant, ou un sel d'un tel composé, avec un composé de formule [III] :



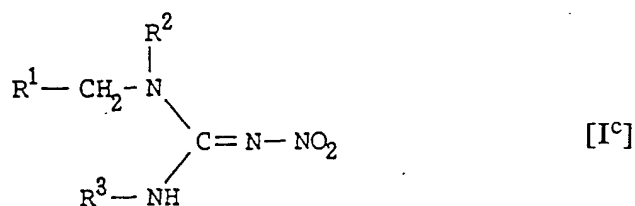
[III]

dans laquelle  $R^{3a}$  et  $R^4$  ont les significations indiquées ci-dessus, ou avec un sel d'un tel composé.

3. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>b</sup>] :



dans laquelle  $R^1$ ,  $R^2$  et  $R^3$  ont les significations indiquées dans la revendication 1, et  $R^{4a}$  représente un groupe alkyle en  $C_{1-4}$ , ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>c</sup>] :



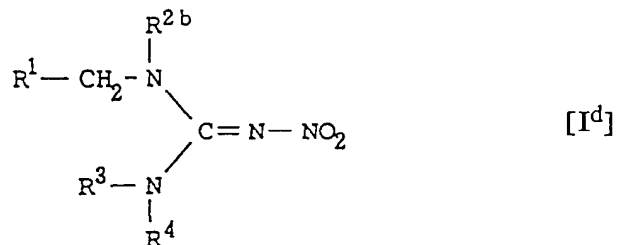
dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VI] :



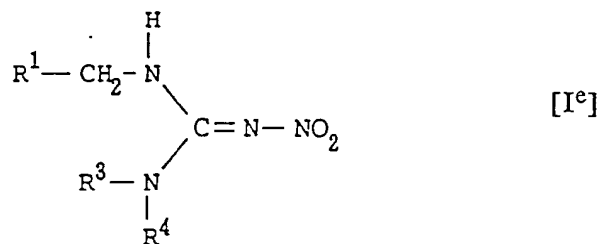
[VI]

dans laquelle chaque symbole a la signification indiquée plus haut.

4. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>d</sup>] :



dans laquelle  $R^1$ ,  $R^3$  et  $R^4$  ont les significations indiquées dans la revendication 1, et  $R^{2b}$  a la signification indiquée pour  $R^2$  dans la revendication 1, ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>e</sup>] :

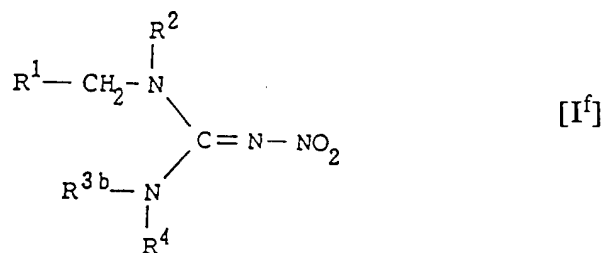


dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VII] :



dans laquelle chaque symbole a la signification indiquée plus haut.

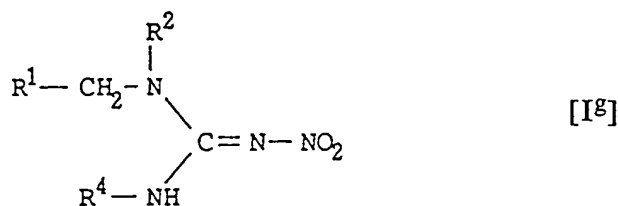
5. Procédé de préparation d'une nitroguanidine substituée de formule [I<sup>f</sup>] :



dans laquelle

R<sup>1</sup>, R<sup>2</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1, et R<sup>3b</sup> représente un groupe cyano, un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> et aralkyle en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis dans la revendication 1 à propos de R<sup>1</sup> (excepté le cas d'un substituant oxo au niveau du site de liaison), un groupe de formule -S(=O)<sub>n</sub>R<sup>13</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -P(=O)R<sup>14</sup>R<sup>15</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)R<sup>9</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)OR<sup>10</sup> tel qu'il est défini dans la revendication 1, ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> tel qu'il est défini dans la revendication 1,

ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>g</sup>] :



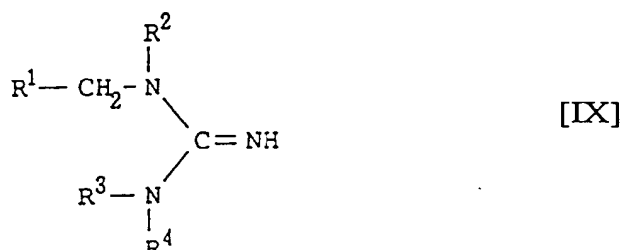
dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un composé de formule [VIII] :



[VIII]

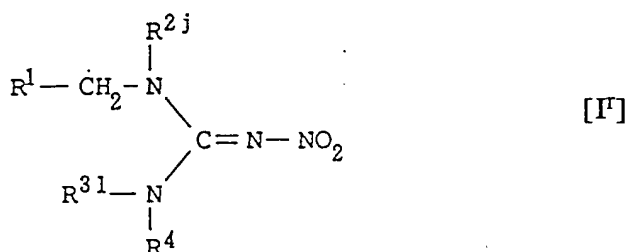
dans laquelle chaque symbole a la signification indiquée plus haut.

6. Procédé, conforme à la revendication 1, de préparation d'une nitroguanidine substituée de formule [I] ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [IX] :



dans laquelle chaque symbole a la signification indiquée plus haut, ou un sel d'un tel composé, avec un réactif de nitration.

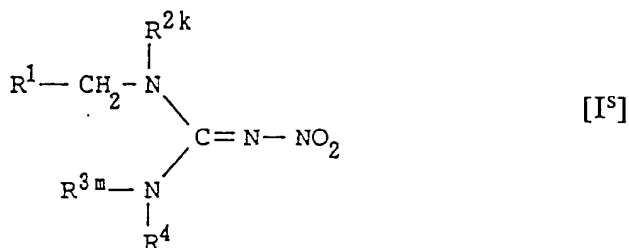
7. Procédé de préparation d'une nitroguanidine substituée de formule [I'] :



dans laquelle

$R^1$  et  $R^4$  ont les significations indiquées dans la revendication 1,  
 $R^{2j}$  a la signification indiquée pour  $R^2$  dans la revendication 1, et  
 $R^{3l}$  a la signification indiquée pour  $R^3$  dans la revendication 1,

sous réserve qu'au moins l'un des symboles  $R^{2j}$  et  $R^{3l}$  représente un groupe aminocarbonyle portant ou non un substituant, tel qu'il est défini plus haut,  
ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule [I<sup>s</sup>]:



dans laquelle



R<sup>1</sup> et R<sup>4</sup> ont les significations indiquées plus haut,  
 R<sup>2k</sup> a la signification indiquée plus haut pour R<sup>2</sup>, et  
 R<sup>3m</sup> a la signification indiquée plus haut pour R<sup>3</sup>,

sous réserve qu'au moins l'un des symboles R<sup>2k</sup> et R<sup>3m</sup> représente un groupe ester réactif, respectivement  
 -C(=O)OR<sup>6</sup> ou -C(=O)OR<sup>10</sup>, ou un sel d'un tel composé, avec un composé de formule

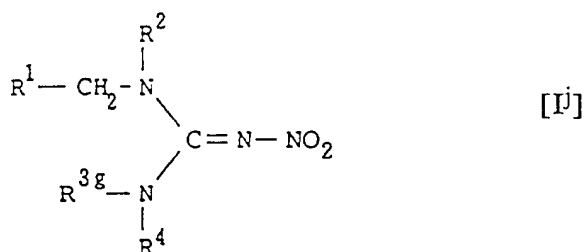


ou



où chaque symbole a la signification indiquée plus haut.

8. Procédé conforme à la revendication 1, dans lequel ledit composé est représenté par la formule :

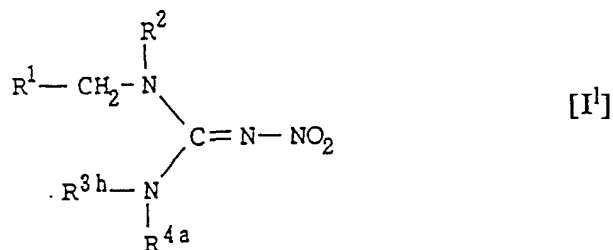


dans laquelle

R<sup>1</sup>, R<sup>2</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1,  
 et R<sup>3g</sup> représente un atome d'hydrogène ou un groupe hydrocarboné choisi parmi les groupes alkyle en C<sub>1-15</sub>,  
 cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle  
 en C<sub>7-10</sub>, ce groupe pouvant porter de 1 à 5 des substituants définis à propos de R<sup>1</sup> dans la revendication 1  
 (excepté le cas d'un substituant oxo au niveau du site de liaison),

ou est un sel d'un tel composé.

9. Procédé conforme à la revendication 1, dans lequel ledit composé est représenté par la formule :



dans laquelle

R<sup>1</sup> et R<sup>2</sup> ont les significations indiquées dans la revendication 1,  
 R<sup>3h</sup> représente un atome d'hydrogène,  
 et R<sup>4a</sup> représente un groupe alkyle en C<sub>1-4</sub>,

ou est un sel d'un tel composé.

10. Procédé conforme à la revendication 1, dans lequel le groupe hétérocyclique représenté par R<sup>1</sup> est un groupe hétérocyclique azoté à 5 ou 6 chaînons.

11. Procédé conforme à la revendication 1, dans lequel le groupe hétérocyclique représenté par R<sup>1</sup> est un groupe 2- ou 3-thiényne, 2- ou 3-furyle, 2- ou 3-pyrrolyle, 2-, 3- ou 4-pyridyle, 2-, 4- ou 5-oxazolyle, 2-, 4- ou 5-thiazolyle, 3-, 4- ou 5-pyrazolyle, 2-, 4- ou 5-imidazolyle, 3-, 4- ou 5-isoxazolyle, 3-, 4- ou 5-isothiazolyle, 3- ou 5-(1,2,4-oxadiazolyle), 1,3,4-oxadiazolyle, 3- ou 5-(1,2,4-thiadiazolyle), 1,3,4-thiadiazolyle, 4- ou 5-(1,2,3-thiadiazolyle), 1,2,5-thiadiazolyle, 1,2,3-triazolyle, 1,2,4-triazolyle, 1H- ou 2H-tétrazolyle, N-oxy-2-, 3- ou 4-pyridyle, 2-, 4- ou 5-pyrimidinyle, N-oxy-2-, 4- ou 5-pyrimidinyle, 3- ou 4-pyridazinyle, pyrazinyle, N-oxy-3- ou 4-pyridazinyle, benzofuryle, benzothiazolyle, benzoxazolyle, triazinyle, oxotriazinyle, tétrazolo[1,5-b]pyridazinyle, triazolo[4,5-b]pyridazinyle, oxoimidazolyle, dioxotriazinyle, pyrrolidinyle, pipéridyle, pyranyle, thiopyranyle, 1,4-oxazinyle, morpholinyle, 1,4-thiazinyle, 1,3-thiazinyle, pipérazinyle, benzoimidazolyle, quinolyle, isoquinolyle, cinnolinyle, phtalazinyle, quinoxalinyle, quinoxalinyle, indolizinyle, quinolizinyle, 1,8-naphtyridinyle, purinyle, ptéridinyle, dibenzofuranyle, carbazolyle, acridinyle, phénanthridinyle, phénazinyle, phénothiazinyle ou phénoxazinyle.

12. Procédé conforme à la revendication 1, dans lequel R<sup>1</sup> représente un groupe hétérocyclique azoté à 5 ou 6 chaînons portant de 1 à 5 substituants choisis parmi les groupes alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub>, aralkyle en C<sub>7-10</sub>, nitro, hydroxyle, mercapto, oxo, thioxo, cyano, carbamyle, carboxyle, (alcoxy en C<sub>1-4</sub>)carbonyle, sulfo, les atomes d'halogène, les groupes alcoxy en C<sub>1-4</sub>, aryloxy en C<sub>6-10</sub>, alkylthio en C<sub>1-4</sub>, arylthio en C<sub>6-10</sub>, alkylsulfonyle en C<sub>1-4</sub>, arylsulfonyle en C<sub>6-10</sub>, alkylsulfonyle en C<sub>1-4</sub>, arylsulfonyle en C<sub>6-10</sub>, amino, acylamino en C<sub>2-6</sub>, mono(alkyle en C<sub>1-4</sub>)amino, di(alkyle en C<sub>1-4</sub>)amino, arylamino en C<sub>6-10</sub>, acyle en C<sub>2-4</sub>, (aryle en C<sub>6-10</sub>)carbonyle, 2- ou 3-thiényne, 2- ou 3-furyle, 3-, 4- ou 5-pyrazolyle, 2-, 4- ou 5-thiazolyle, 3-, 4- ou 5-isothiazolyle, 2-, 4- ou 5-oxazolyle, 3-, 4- ou 5-isoxazolyle, 2-, 4- ou 5-imidazolyle, 1,2,3- ou 1,2,4-triazolyle, 1H- ou 2H-tétrazolyle, 2-, 3- ou 4-pyridyle, 2-, 4- ou 5-pyrimidinyle, 3- ou 4-pyridazinyle, quinolyle, isoquinolyle et indolyle.

13. Procédé conforme à la revendication 1, dans lequel R<sup>1</sup> représente un groupe 2-, 3- ou 4-pyridyle ou 2-, 4- ou 5-thiazolyle portant de 1 à 4 atomes d'halogène en tant que substituants.

14. Procédé conforme à la revendication 1, dans lequel R<sup>3</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub> ou cycloalcényle en C<sub>3-10</sub>.

15. Procédé conforme à la revendication 1, dans lequel R<sup>3</sup> représente un groupe cyano, un groupe de formule -C(=O)R<sup>9</sup> tel qu'il est défini dans la revendication 1, un groupe de formule -C(=O)OR<sup>10</sup> tel qu'il est défini dans la revendication 1 ou un groupe de formule -C(=O)NR<sup>11</sup>R<sup>12</sup> tel qu'il est défini dans la revendication 1.

16. Procédé conforme à la revendication 15, dans lequel R<sup>9</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub> ou cycloalcényle en C<sub>3-10</sub>.

17. Procédé conforme à la revendication 15, dans lequel R<sup>3</sup> représente un groupe de formule -C(=O)OR<sup>10</sup> tel qu'il est défini dans la revendication 1.

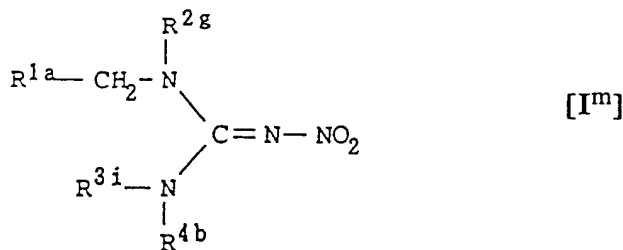
18. Procédé conforme à la revendication 17, dans laquelle R<sup>10</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>.

19. Procédé conforme à la revendication 1, dans lequel R<sup>3</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, acyle en C<sub>1-7</sub>, arylcarbonyle en C<sub>7-12</sub>, alcoxycarbonyle en C<sub>2-7</sub>, aryloxy-carbonyle en C<sub>7-12</sub>, aralkyloxy-carbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino cyclique saturé)carbonyle ou alkylsulfonyle en C<sub>1-4</sub>.

20. Procédé conforme à la revendication 1, dans lequel R<sup>2</sup> représente un groupe cyano, un groupe de formule -C(=O)OR<sup>6</sup> tel qu'il est défini dans la revendication 1, ou un groupe de formule -C(=O)NR<sup>7</sup>R<sup>8</sup> tel qu'il est défini dans la revendication 1.

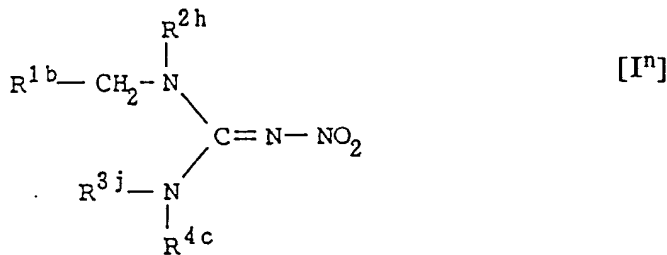
21. Procédé conforme à la revendication 20, dans lequel R<sup>6</sup> représente un groupe alkyle en C<sub>1-15</sub>, cycloalkyle en C<sub>3-10</sub>, alcényle en C<sub>2-10</sub>, alcynyle en C<sub>2-10</sub>, cycloalcényle en C<sub>3-10</sub>, aryle en C<sub>6-10</sub> ou aralkyle en C<sub>7-10</sub>.

- 22.** Procédé conforme à la revendication 1, dans lequel R<sup>2</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>.
- 23.** Procédé conforme à la revendication 1, dans lequel R<sup>4</sup> représente un groupe alkyle en C<sub>1-4</sub>.
- 24.** Procédé conforme à la revendication 1, dans lequel ledit composé est représenté par la formule :



dans laquelle

- R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolye ou halogénothiazolye,  
R<sup>2g</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>, aryloxy carbonyle en C<sub>7-12</sub>, aralkyloxy carbonyle en C<sub>8-13</sub>,  
alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyl  
en C<sub>1-4</sub>,  
R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyl en C<sub>7-12</sub>, aryloxy carbonyle  
en C<sub>7-12</sub>, aralkyloxy carbonyle en C<sub>8-13</sub>, alkylaminocarbonyl en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyl, (amino  
alicyclique)carbonyl ou alkylsulfonyl en C<sub>1-4</sub>, et  
R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, ou est un sel d'un tel composé.
- 25.** Procédé conforme à la revendication 24, dans lequel R<sup>1a</sup> représente un groupe halogénopyridyle ou halogénothiazolye.
- 26.** Procédé conforme à la revendication 1, dans lequel ledit composé est représenté par la formule :

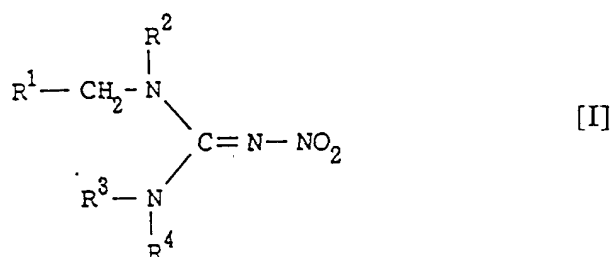


dans laquelle

- R<sup>1b</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,  
R<sup>2h</sup> représente un groupe alcoxycarbonyle en C<sub>2-7</sub>,  
R<sup>3j</sup> représente un atome d'hydrogène, et  
R<sup>4c</sup> représente un groupe méthyle ou éthyle,
- ou est un sel d'un tel composé.
- 27.** Procédé conforme à la revendication 24, dans lequel ledit composé est choisi parmi les suivants, y compris leurs sels :
- 1-(2-chloro-5-thiazolylméthyl)-1-cyano-3,3-diméthyl-2-nitroguanidine,  
1-(2-chloro-5-thiazolylméthyl)-1,3-diphénoxy-carbonyl-3-méthyl-2-nitroguanidine,

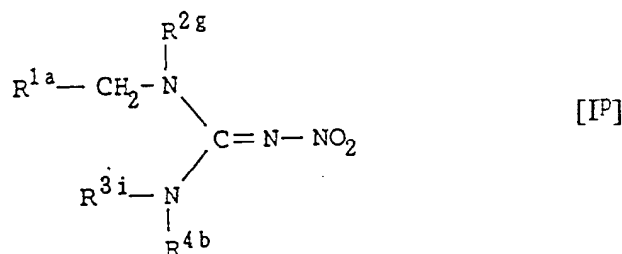
1-(2-chloro-5-thiazolylméthyl)-1-diméthylaminocarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-morpholinocarbonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-1-méthylsulfonyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-méthoxycarbonyl-3-méthyl-2-nitroguanidine,  
 5 1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-éthoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-n-propoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-isopropoxycarbonyl-3-méthyl-2-nitroguanidine,  
 1-(n-butoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 10 1-(isobutoxycarbonyl)-1-(2-chloro-5-thiazolylméthyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-1-(1-chloroéthoxycarbonyl)-3-méthyl-2-nitroguanidine,  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-pyrrolidinocarbonyl-2-nitroguanidine, et  
 1-(2-chloro-5-thiazolylméthyl)-3-méthyl-1-benzyloxycarbonyl-2-nitroguanidine.

28. Composition pesticide comprenant, en une quantité efficace, une nitroguanidine substituée de formule [I] :



dans laquelle R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1,  
 ou un sel d'un tel composé, mélangé avec un support, véhicule, diluant ou excipient acceptable.

29. Composition pesticide comprenant, en une quantité efficace, une nitroguanidine substituée de formule :



dans laquelle

R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,

R<sup>2g</sup> représente un groupe aryloxythiocarbonyle en C<sub>7-12</sub>,

R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyle en C<sub>7-12</sub>, aryloxy-carbonyle en C<sub>7-12</sub>, aralkyloxy-carbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyl en C<sub>1-4</sub>, et

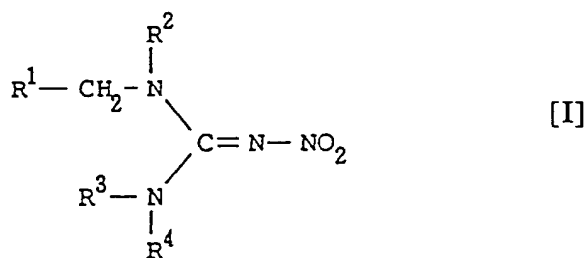
R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, ou un sel d'un tel composé, mélangé avec un support, véhicule, diluant ou excipient acceptable.

30. Composition pesticide comprenant, en une quantité efficace, une nitroguanidine substituée, préparée conformément à la revendication 27, ou un sel d'un tel composé, mélangé avec un support, véhicule, diluant ou excipient acceptable.

31. Composition pesticide comprenant, en une quantité efficace, de la 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-2-nitro-1-phénoxythiocarbonylguanidine ou un sel de celle-ci, mélangé avec un support, véhicule, diluant ou ex-

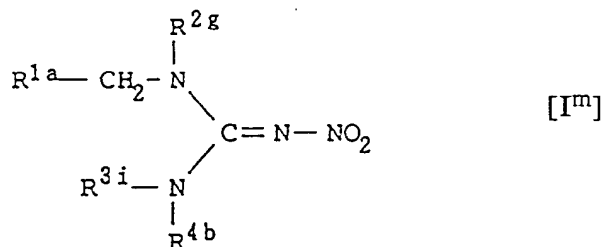
ciement acceptable.

32. Utilisation d'une nitroguanidine substituée de formule [I] :



dans laquelle R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1, ou d'un sel d'un tel composé, pour la fabrication d'une composition pesticide.

33. Utilisation d'une nitroguanidine substituée de formule :



dans laquelle

R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénouthiazolyle,

R<sup>2g</sup> représente un groupe aryloxythiocarbonyle en C<sub>7-12</sub>,

R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyle en C<sub>7-12</sub>, aryloxycarbonyle en C<sub>7-12</sub>, aralkyloxycarbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyle en C<sub>1-4</sub>, et

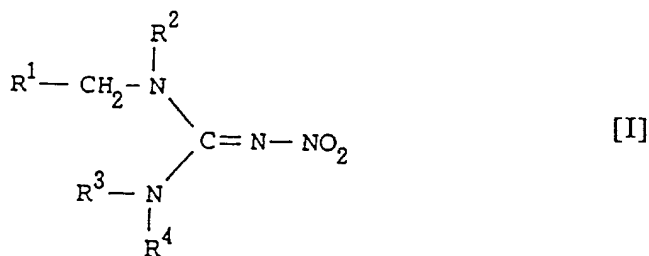
R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>,

ou d'un sel d'un tel composé, pour la fabrication d'une composition pesticide.

34. Utilisation, conforme à la revendication 33, d'un composé dans lequel R<sup>1a</sup> représente un groupe halogénopyridyle ou halogénouthiazolyle.

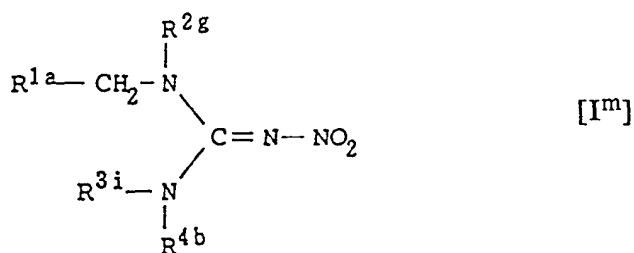
35. Utilisation, conforme à la revendication 33, d'un composé qui est la 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-2-nitro-1-phénoxythiocarbonylguanidine ou un sel de celle-ci.

36. Procédé de lutte contre un nuisible, qui comporte le fait d'appliquer une quantité efficace d'une nitroguanidine substituée, de formule [I] :



dans laquelle R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> et R<sup>4</sup> ont les significations indiquées dans la revendication 1, ou d'un sel d'un tel composé, afin d'inhiber ce nuisible.

37. Procédé de lutte contre un nuisible, qui comporte le fait d'appliquer une quantité efficace d'une nitroguanidine substituée, de formule :



dans laquelle

R<sup>1a</sup> représente un groupe pyridyle, halogénopyridyle, thiazolyle ou halogénothiazolyle,  
 R<sup>2g</sup> représente un groupe aryloxythiocarbonyle en C<sub>7-12</sub>,  
 R<sup>3i</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>, arylcarbonyle en C<sub>7-12</sub>, aryloxy-carbonyle en C<sub>7-12</sub>, aralkyloxy-carbonyle en C<sub>8-13</sub>, alkylaminocarbonyle en C<sub>2-7</sub>, di(alkyle en C<sub>1-4</sub>)aminocarbonyle, (amino alicyclique)carbonyle ou alkylsulfonyl en C<sub>1-4</sub>, et  
 R<sup>4b</sup> représente un atome d'hydrogène ou un groupe alkyle en C<sub>1-4</sub>,

ou d'un sel d'un tel composé, afin d'inhiber ce nuisible.

38. Procédé conforme à la revendication 37, dans lequel R<sup>1a</sup> représente un groupe halogénopyridyle ou halogénothiazolyle.
39. Procédé conforme à la revendication 37, dans lequel le composé est la 1-(2-chloro-5-thiazolylméthyl)-3,3-diméthyl-2-nitro-1-phénoxythiocarbonylguanidine ou un sel de celle-ci.